```
=> fil reg
COST IN U.S. DOLLARS                                    SINCE FILE      TOTAL
                                                          ENTRY     SESSION
FULL ESTIMATED COST                                        0.21        0.21

FILE 'REGISTRY' ENTERED AT 12:15:06 ON 24 APR 2007
USE IS SUBJECT TO THE TERMS OF YOUR STN CUSTOMER AGREEMENT.
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Property values tagged with IC are from the ZIC/VINITI data file
provided by InfoChem.

STRUCTURE FILE UPDATES:   22 APR 2007  HIGHEST RN 931834-80-9
DICTIONARY FILE UPDATES:  22 APR 2007  HIGHEST RN 931834-80-9

New CAS Information Use Policies, enter HELP USAGETERMS for details.

TSCA INFORMATION NOW CURRENT THROUGH December 2, 2006

  Please note that search-term pricing does apply when
  conducting SmartSELECT searches.

REGISTRY includes numerically searchable data for experimental and
predicted properties as well as tags indicating availability of
experimental property data in the original document.  For information
on property searching in REGISTRY, refer to:

http://www.cas.org/support/stngen/stndoc/properties.html

=>
Uploading C:\Program Files\Stnexp\Queries\10509417-V.str
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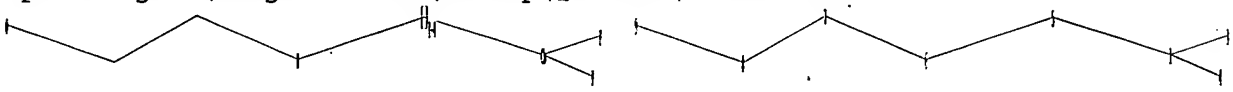

```
chain nodes :
1  3  4  5
ring/chain nodes :
6  7  8  9
chain bonds :
1-3  1-4  1-5  5-6
ring/chain bonds :
6-7  7-8  8-9
exact/norm bonds :
5-6  6-7  7-8  8-9
exact bonds :
1-3  1-4  1-5


Match level :
1:Atom  3:CLASS  4:CLASS  5:CLASS  6:CLASS  7:CLASS  8:CLASS  9:CLASS
Generic attributes :
1:
Saturation              : Unsaturated
Number of Carbon Atoms  : less than 7
Type of Ring System     : Monocyclic

Element Count :
Node 1: Limited
    C,C6
```

```
L1      STRUCTURE UPLOADED

=>
Uploading C:\Program Files\Stnexp\Queries\10509417-VX.str
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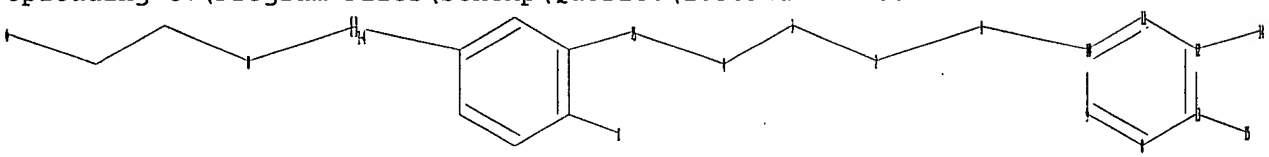

```
chain nodes :
1  14  15
ring nodes :
8  9  10  11  12  13
ring/chain nodes :
2  3  4  5
chain bonds :
1-2  1-10  12-14  13-15
ring/chain bonds :
2-3  3-4  4-5
ring bonds :
8-9  8-13  9-10  10-11  11-12  12-13
exact/norm bonds :
1-2  2-3  3-4  4-5
exact bonds :
1-10  12-14  13-15
normalized bonds :
8-9  8-13  9-10  10-11  11-12  12-13
isolated ring systems :
containing 8 :


Match level :
1:CLASS  2:CLASS  3:CLASS  4:CLASS  5:CLASS  8:Atom  9:Atom  10:CLASS  11:CLASS
12:Atom  13:Atom  14:CLASS  15:CLASS



L2      STRUCTURE UPLOADED

=> screen 1838
L3    SCREEN CREATED

=> screen 1841
L4    SCREEN CREATED

=> screen 1929
L5    SCREEN CREATED

=> s ((l3 and l5) not l4) and l1
SAMPLE SEARCH INITIATED 12:16:37 FILE 'REGISTRY'
SAMPLE SCREEN SEARCH COMPLETED -    19369 TO ITERATE

 10.3% PROCESSED     2000 ITERATIONS                          41 ANSWERS
INCOMPLETE SEARCH (SYSTEM LIMIT EXCEEDED)
SEARCH TIME: 00.00.01
```

```
FULL FILE PROJECTIONS:  ONLINE  **COMPLETE**
                        BATCH   **COMPLETE**
PROJECTED ITERATIONS:       379048 TO   395712
PROJECTED ANSWERS:            6746 TO     9136

L6           41 SEA SSS SAM ((L3 AND L5) NOT L4) AND L1


=> s ((l3 and l5) not l4) and l1 sss full
FULL SEARCH INITIATED 12:17:24 FILE 'REGISTRY'
FULL SCREEN SEARCH COMPLETED -   389668 TO ITERATE

100.0% PROCESSED   389668 ITERATIONS                          6935 ANSWERS
SEARCH TIME: 00.00.04

L7         6935 SEA SSS FUL ((L3 AND L5) NOT L4) AND L1

=> sav tem V509417/a l7



=> s l2
SAMPLE SEARCH INITIATED 12:19:08 FILE 'REGISTRY'
SAMPLE SCREEN SEARCH COMPLETED -    48700 TO ITERATE

  4.1% PROCESSED     2000 ITERATIONS                            29 ANSWERS
INCOMPLETE SEARCH (SYSTEM LIMIT EXCEEDED)
SEARCH TIME: 00.00.01

FULL FILE PROJECTIONS:  ONLINE  **COMPLETE**
                        BATCH   **COMPLETE**
PROJECTED ITERATIONS:       960831 TO   987169
PROJECTED ANSWERS:           12529 TO    15717

L8           29 SEA SSS SAM L2


=> s l2 sss full
FULL SEARCH INITIATED 12:19:44 FILE 'REGISTRY'
FULL SCREEN SEARCH COMPLETED -   969595 TO ITERATE

100.0% PROCESSED   969595 ITERATIONS                         10917 ANSWERS
SEARCH TIME: 00.00.05

L9        10917 SEA SSS FUL L2

=> s l7 or l9
L10      15995 L7 OR L9

=> sav tem VX509417/a l9


=> sav tem vto509417/a l10



=> act vto509417/a
L1              STR
L2              STR
L3              SCR 1838
L4              SCR 1841
```

```
L5              SCR 1929
L6   (      6935)SEA FILE=REGISTRY SSS FUL ((L3 AND L5) NOT L4) AND L1
L7   (     10917)SEA FILE=REGISTRY SSS FUL L2
L8         15995 SEA FILE=REGISTRY ABB=ON  PLU=ON  L6 OR L7
```

```
=> d his

     (FILE 'HOME' ENTERED AT 14:54:32 ON 24 APR 2007)

     FILE 'REGISTRY' ENTERED AT 14:54:47 ON 24 APR 2007
                SET NOTICE PERM 200
                ACT VTO509417/A
               ---------
L1              STR
L2              STR
L3              SCR 1838
L4              SCR 1841
L5              SCR 1929
L6   (      6935)SEA FILE=REGISTRY SSS FUL ((L3 AND L5) NOT L4) AND L1
L7   (     10917)SEA FILE=REGISTRY SSS FUL L2
L8         15995 SEA FILE=REGISTRY ABB=ON  PLU=ON  L6 OR L7
               ---------
L9              STRUCTURE UPLOADED
L10             STRUCTURE UPLOADED
L11           50 S L9 SAM SUB=L8

     FILE 'STNGUIDE' ENTERED AT 14:59:18 ON 24 APR 2007

     FILE 'REGISTRY' ENTERED AT 15:01:08 ON 24 APR 2007

     FILE 'STNGUIDE' ENTERED AT 15:01:27 ON 24 APR 2007

     FILE 'REGISTRY' ENTERED AT 15:08:17 ON 24 APR 2007
L12             STRUCTURE UPLOADED
L13           50 S L10 SAM SUB=L8

     FILE 'STNGUIDE' ENTERED AT 15:10:55 ON 24 APR 2007

     FILE 'REGISTRY' ENTERED AT 15:14:30 ON 24 APR 2007
L14             STRUCTURE UPLOADED
L15             STRUCTURE UPLOADED
L16            1 S L15 SAM SUB=L8
L17           12 S L14 SAM SUB=L8

     FILE 'STNGUIDE' ENTERED AT 15:16:45 ON 24 APR 2007

     FILE 'REGISTRY' ENTERED AT 15:24:22 ON 24 APR 2007
L18             STRUCTURE UPLOADED
L19             STRUCTURE UPLOADED
L20           12 S L18 SAM SUB=L8
L21            1 S L19 SAM SUB=L8

     FILE 'STNGUIDE' ENTERED AT 15:26:15 ON 24 APR 2007

     FILE 'REGISTRY' ENTERED AT 15:27:13 ON 24 APR 2007
L22          203 S L18 SSS FULL SUB=L8
                SAV TEM L22 V509417/A
L23           53 S L19 SSS FULL SUB=L8
                SAV TEM L23 VF509417/A
L24          239 S L22 OR L23
                SAV TEM VTO509417/A L24

     FILE 'CAPLUS' ENTERED AT 15:30:12 ON 24 APR 2007
L25          148 S L24

     FILE 'STNGUIDE' ENTERED AT 15:30:29 ON 24 APR 2007

     FILE 'REGISTRY' ENTERED AT 15:40:12 ON 24 APR 2007
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```
L26             STRUCTURE UPLOADED
L27             STRUCTURE UPLOADED
L28           0 S L26 SAM SUB=L8
L29           2 S L27 SAM SUB=L8
L30           4 S L26 SSS FULL SUB=L8
L31          19 S L27 SSS FULL SUB=L8
L32          20 S L30 OR L31
                SAV TEM VTO509417/A L32

     FILE 'CAPLUS' ENTERED AT 15:43:05 ON 24 APR 2007
L33          42 S L32
                SAV TEM L33 VAN509417/A
L34             STRUCTURE UPLOADED

     FILE 'REGISTRY' ENTERED AT 16:06:58 ON 24 APR 2007
L35             STRUCTURE UPLOADED
L36             STRUCTURE UPLOADED
L37           0 S L35 SAM SUB=L8
L38           0 S L36 SAM SUB=L8

     FILE 'STNGUIDE' ENTERED AT 16:08:21 ON 24 APR 2007

     FILE 'REGISTRY' ENTERED AT 16:09:01 ON 24 APR 2007
L39           1 S L35 SSS FULL SUB=L8
L40           3 S L36 SSS FULL SUB=L8
L41           3 S L39 OR L40
                SAV TEM IIB509417/A L41

     FILE 'CAPLUS' ENTERED AT 16:10:17 ON 24 APR 2007
L42           2 S L41

     FILE 'STNGUIDE' ENTERED AT 16:10:58 ON 24 APR 2007

     FILE 'REGISTRY' ENTERED AT 16:22:54 ON 24 APR 2007
L43             STRUCTURE UPLOADED
L44             STRUCTURE UPLOADED
L45           1 S L43 SSS FULL SUB=L8
L46           3 S L44 SSS FULL SUB=L8
L47           3 S L45 OR L46
                SAV TEM IIB509417/A L47

     FILE 'CAPLUS' ENTERED AT 16:24:17 ON 24 APR 2007
L48           2 S L47

     FILE 'REGISTRY' ENTERED AT 16:33:40 ON 24 APR 2007
L49             STRUCTURE UPLOADED
L50             STRUCTURE UPLOADED
L51          14 S L49 SAM SUB=L8
L52          50 S L50 SAM SUB=L8

     FILE 'STNGUIDE' ENTERED AT 16:35:45 ON 24 APR 2007

     FILE 'REGISTRY' ENTERED AT 16:43:20 ON 24 APR 2007
L53             STRUCTURE UPLOADED
L54             STRUCTURE UPLOADED
L55           0 S L53 SAM SUB=L8
L56           0 S L54 SAM SUB=L8

     FILE 'STNGUIDE' ENTERED AT 16:44:16 ON 24 APR 2007

     FILE 'REGISTRY' ENTERED AT 16:44:26 ON 24 APR 2007
L57           5 S L54 SSS FULL SUB=L8
L58          22 S L53 SSS FULL SUB=L8
L59          22 S L57 OR L58
```

```
                SAV TEM II509417/A L59

     FILE 'CAPLUS' ENTERED AT 16:45:37 ON 24 APR 2007
L60           18 S L59
                SAV TEM L60 IIA509417/A

     FILE 'REGISTRY' ENTERED AT 17:12:17 ON 24 APR 2007
L61               STRUCTURE UPLOADED
L62               STRUCTURE UPLOADED
L63            1 S L62 SAM SUB=L8
L64            1 S L61 SAM SUB=L8
L65           11 S L61 SSS FULL SUB=L8
L66            5 S L62 SSS FULL SUB=L8
L67           11 S L66 OR L65
                SAV TEM 509417/A L67 FIN509417/A

     FILE 'CAPLUS' ENTERED AT 17:14:56 ON 24 APR 2007
L68            3 S L67
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I

L68 ANSWER 1 OF 3 CAPLUS COPYRIGHT 2007 ACS on STN
AN 2003:796496 CAPLUS <<LOGINID::20070424>>
DN 139:307772
TI Preparation of acylaminomethylmorpholines for use as CCR-3 antagonists for the treatment of inflammatory diseases
IN Ancliff, Rachael Ann; Cook, Caroline Mary; Eldred, Colin David; Gore, Paul Martin; Harrison, Lee Andrew; Hayes, Martin Alistair; Hodgson, Simon Teanby; Judd, Duncan Bruce; Keeling, Suzanne Elaine; Lewell, Xiao Qing; Mills, Gail; Robertson, Graeme Michael; Swanson, Stephen; Walker, Andrew John; Wilkinson, Mark
PA Glaxo Group Limited, UK
SO PCT Int. Appl., 93 pp.
CODEN: PIXXD2
DT Patent
LA English
FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 2003082294	A1	20031009	WO 2003-EP3348	20030327
	W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
	RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
	AU 2003226762	A1	20031013	AU 2003-226762	20030327
	EP 1492537	A1	20050105	EP 2003-745299	20030327
	R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, SK				
	JP 2006504625	T	20060209	JP 2003-579831	20030327
PRAI	GB 2002-7443	A	20020328		
	WO 2003-EP3348	W	20030327		

OS MARPAT 139:307772
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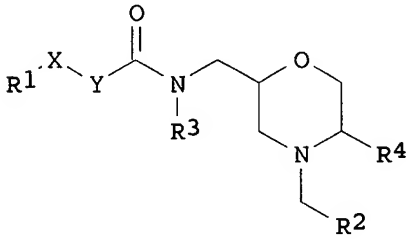

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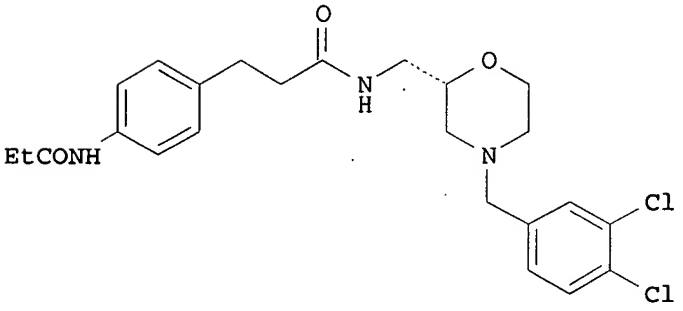


II

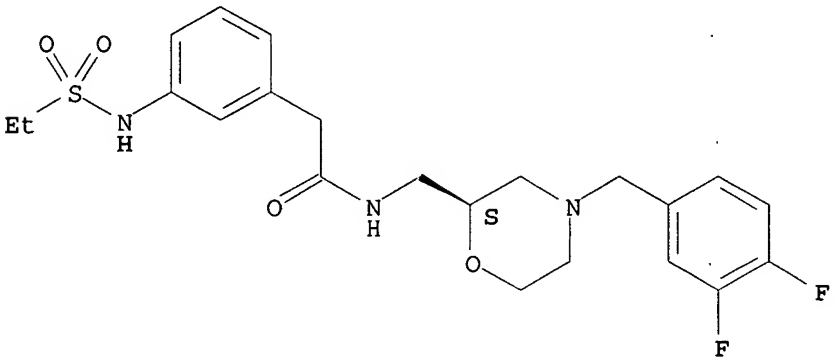
AB Title compds. I [R1 = (un)substituted aryl; X = O, bond; Y = (un)substituted CH2; R2 = (un)substituted aryl, heteroaryl; R3, R4 = H, alkyl] and salts and solvates thereof are CCR-3 antagonists and are therefore indicated to be useful in therapy of inflammatory conditions. Thus, 3,4-Cl2C6H3CH2NHCH2CH2OH was treated with (S)-oxiranylmethylphthalimide which was hydrolyzed to 1-[(2S)-4-(3,4-dichlorobenzyl)morpholin-2-yl]methylamine, acylated with 4-H2NC6H4CH2CH2CO2H, and then with EtCOCl to give the title compound II. II had fpKi = 8.0 in the CCR-3 eosinophil chemotaxis assay.

IT 610779-38-9P
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of acylaminomethylmorpholines for use as CCR-3 antagonists for the treatment of inflammatory diseases)

RN 610779-38-9 CAPLUS

CN Benzeneacetamide, N-[[(2S)-4-[(3,4-difluorophenyl)methyl]-2-morpholinyl]methyl]-3-[(ethylsulfonyl)amino]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

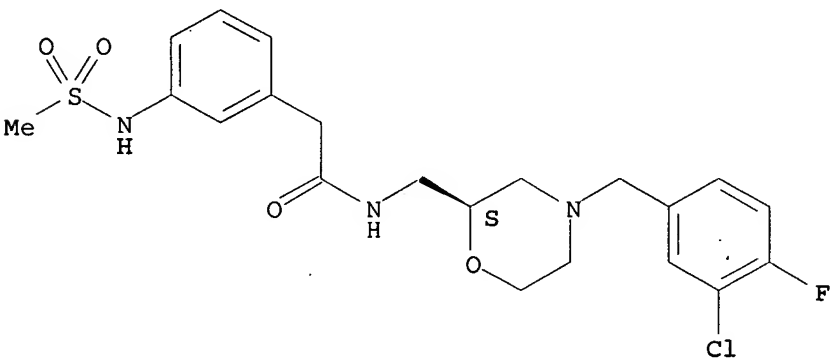


IT 610779-16-3P 610779-20-9P 610779-34-5P
610779-51-6P 610779-52-7P 610779-82-3P
RL: SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of acylaminomethylmorpholines for use as CCR-3 antagonists for the treatment of inflammatory diseases)

RN 610779-16-3 CAPLUS

CN Benzeneacetamide, N-[[(2S)-4-[(3-chloro-4-fluorophenyl)methyl]-2-morpholinyl]methyl]-3-[(methylsulfonyl)amino]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

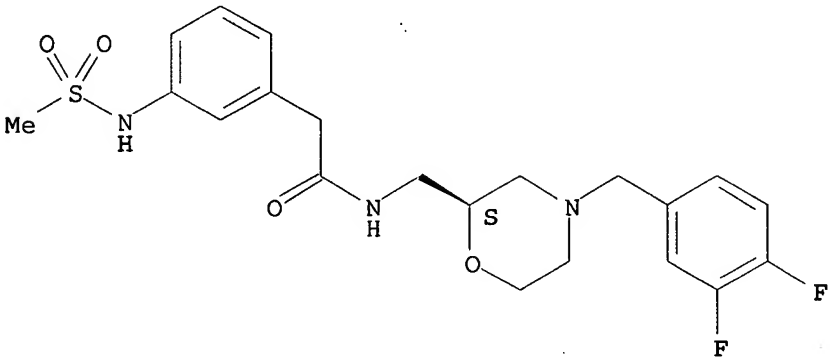


RN 610779-20-9 CAPLUS
CN Benzeneacetamide, N-[[(2S)-4-[(3,4-difluorophenyl)methyl]-2-morpholinyl]methyl]-3-[(methylsulfonyl)amino]-, mono(trifluoroacetate) (9CI) (CA INDEX NAME)

CM 1

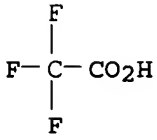
CRN 610769-17-0
CMF C21 H25 F2 N3 O4 S

Absolute stereochemistry.



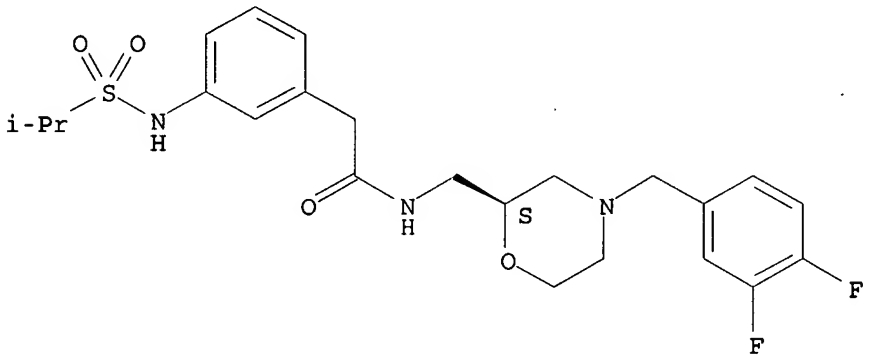
CM 2

CRN 76-05-1
CMF C2 H F3 O2



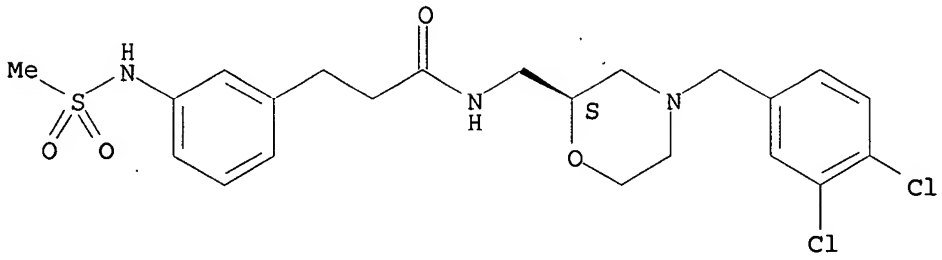
RN 610779-34-5 CAPLUS
CN Benzeneacetamide, N-[[(2S)-4-[(3,4-difluorophenyl)methyl]-2-morpholinyl]methyl]-3-[[(1-methylethyl)sulfonyl]amino]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 610779-51-6 CAPLUS
CN Benzenepropanamide, N-[[(2S)-4-[(3,4-dichlorophenyl)methyl]-2-morpholinyl]methyl]-3-[(methylsulfonyl)amino]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

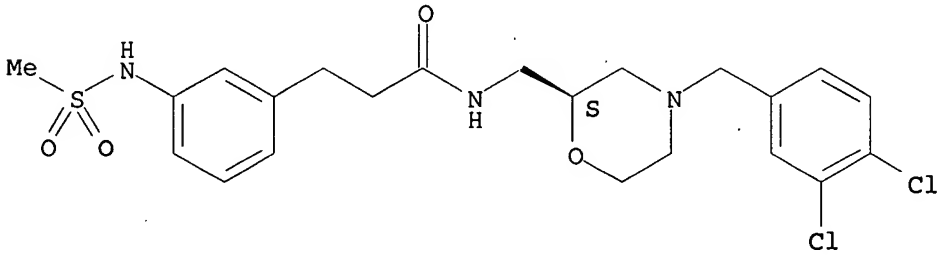


RN 610779-52-7 CAPLUS
CN Formic acid, compd. with N-[[(2S)-4-[(3,4-dichlorophenyl)methyl]-2-morpholinyl]methyl]-3-[(methylsulfonyl)amino]benzenepropanamide (1:1) (9CI) (CA INDEX NAME)

CM 1

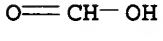
CRN 610779-51-6
CMF C22 H27 Cl2 N3 O4 S

Absolute stereochemistry.



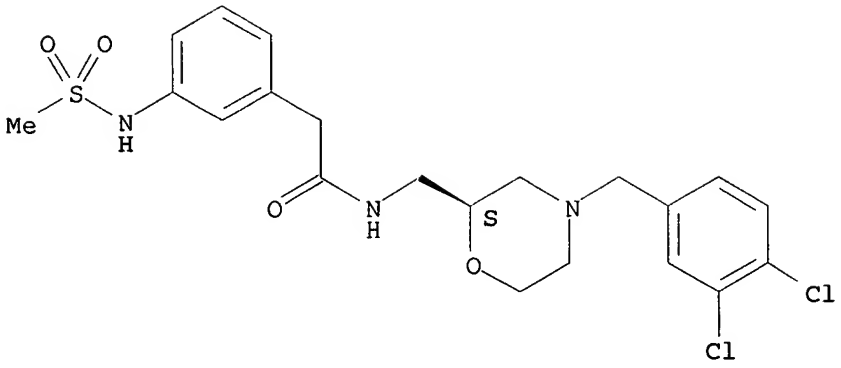
CM 2

CRN 64-18-6
CMF C H2 O2



RN 610779-82-3 CAPLUS
CN Benzeneacetamide, N-[[(2S)-4-[(3,4-dichlorophenyl)methyl]-2-morpholinyl]methyl]-3-[(methylsulfonyl)amino]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



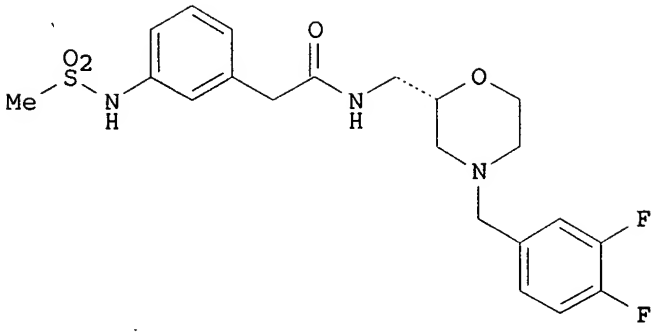
RE.CNT 3 THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L68 ANSWER 2 OF 3 CAPLUS COPYRIGHT 2007 ACS on STN
AN 2003:796493 CAPLUS <<LOGINID::20070424>>
DN 139:307769
TI Preparation of N-[[(2S)-4-(3,4-difluorobenzyl)morpholin-2-yl]methyl]-2-[3-[(methylsulfonyl)amino]phenylacetamide as a chemokine CCR3 antagonist for the treatment of inflammatory conditions
IN Ancliff, Rachael Ann; Cook, Caroline Mary; Eldred, Colin David; Gore, Paul Martin; Harrison, Lee Andrew; Hayes, Martin Alistair; Hodgson, Simon Teanby; Judd, Duncan Bruce; Keeling, Suzanne Elaine; Lewell, Xiao Qing; Mills, Gail; Robertson, Graeme Michael; Swanson, Stephen; Walker, Andrew John; Wilkinson, Mark
PA Glaxo Group Limited, UK
SO PCT Int. Appl., 27 pp.
CODEN: PIXXD2
DT Patent
LA English
FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 2003082291	A1	20031009	WO 2003-EP3339	20030327
	W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
	RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
	CA 2479910	A1	20031009	CA 2003-2479910	20030327
	AU 2003216905	A1	20031013	AU 2003-216905	20030327
	EP 1487453	A1	20041222	EP 2003-712117	20030327
	R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, SK				
	BR 2003008719	A	20050104	BR 2003-8719	20030327
	CN 1642553	A	20050720	CN 2003-806865	20030327
	JP 2005525390	T	20050825	JP 2003-579828	20030327
	IN 2004KN01219	A	20060217	IN 2004-KN1219	20040820
	ZA 2004006990	A	20051108	ZA 2004-6990	20040901
	NO 2004004098	A	20041004	NO 2004-4098	20040927
	US 2006058299	A1	20060316	US 2005-509417	20050512
PRAI	GB 2002-7449	A	20020328		
	WO 2003-EP3339	W	20030327		

OS MARPAT 139:307769

GI



I

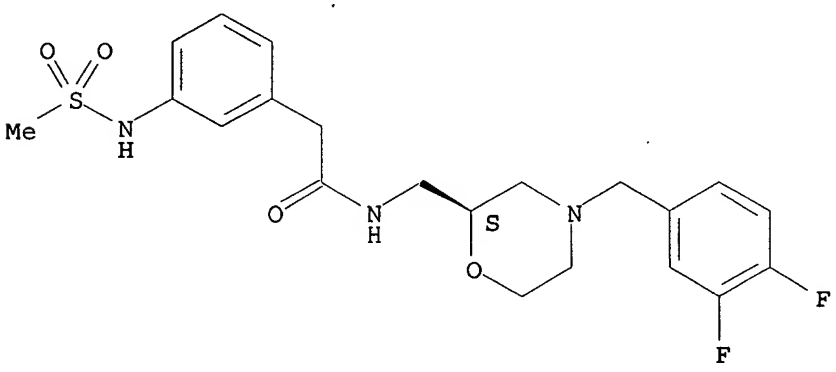
AB Title compound (I) was prepared To a stirred solution of 3-[(methylsulfonyl)amino]phenylacetic acid in DMF was added a solution of 1-(3-dimethylaminopropyl)-3-ethylcarbodiimide hydrochloride, 1-hydroxybenzotriazole, and 1-[(2S)-4-(3,4-difluorobenzyl)morpholin-2-yl]methylamine in DMF at 22° N,N-diisopropylethylamine was added to the mixture which was then stirred at 22° for 18 h. to give I. In the CCR-3 binding assay I possessed a pIC50 = 8.0 in the CCR-3 eosinophil chemotaxis inhibitory assay possessed an fpKi = 8.4.

IT 610769-17-0P
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of difluorobenzylmorpholinylmethylmethylsulfonylaminophenylacetamide as a chemokine CCR3 antagonist for the treatment of inflammatory conditions)

RN 610769-17-0 CAPLUS

CN Benzeneacetamide, N-[[(2S)-4-[(3,4-difluorophenyl)methyl]-2-morpholinyl]methyl]-3-[(methylsulfonyl)amino]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RE.CNT 5 THERE ARE 5 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L68 ANSWER 3 OF 3 CAPLUS COPYRIGHT 2007 ACS on STN

AN 2002:256245 CAPLUS <<LOGINID::20070424>>

DN 136:294835

TI Preparation of morpholinylacetamides for the treatment of inflammatory

diseases.

IN Ancliff, Rachael Anne; Cook, Caroline Mary; Eldred, Colin David; Gore, Paul Martin; Harrison, Lee Andrew; Hodgson, Simon Teanby; Judd, Duncan Bruce; Keeling, Suzanne Elaine; Lewell, Xiao Qing; Robertson, Graeme Michael; Swanson, Stephen

PA Glaxo Group Limited, UK

SO PCT Int. Appl., 94 pp.
CODEN: PIXXD2

DT Patent

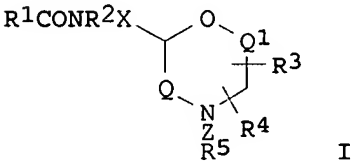
LA English

FAN.CNT 2

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 2002026722	A1	20020404	WO 2001-GB4345	20010928
	W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW				
	RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
	CA 2423251	A1	20020404	CA 2001-2423251	20010928
	AU 200190143	A	20020408	AU 2001-90143	20010928
	BR 2001014323	A	20030701	BR 2001-14323	20010928
	EP 1324990	A1	20030709	EP 2001-970023	20010928
	R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR				
	HU 200302302	A2	20031229	HU 2003-2302	20010928
	JP 2004509952	T	20040402	JP 2002-531106	20010928
	NZ 525056	A	20041126	NZ 2001-525056	20010928
	CN 1678594	A	20051005	CN 2001-819636	20010928
	ZA 2003002411	A	20040705	ZA 2003-2411	20030327
	NO 2003001442	A	20030526	NO 2003-1442	20030328
	IN 2003KN00416	A	20050311	IN 2003-KN416	20030408
	US 2004058923	A1	20040325	US 2003-381767	20030815
	US 7101882	B2	20060905		
	US 2006079525	A1	20060413	US 2005-284544	20051122
PRAI	GB 2000-23902	A	20000929		
	GB 2001-7644	A	20010327		
	WO 2001-GB4345	W	20010928		
	US 2003-381767	A3	20030815		

OS MARPAT 136:294835

GI



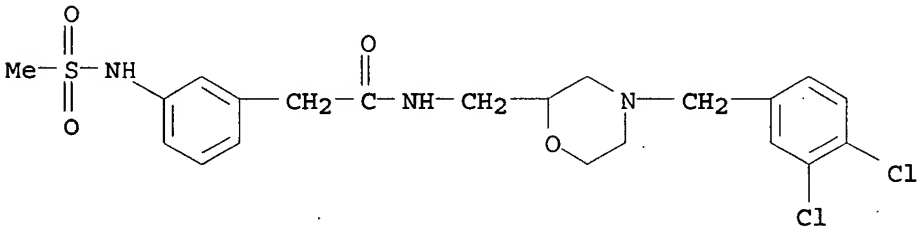
I

AB Title compds. [I; R1 = alkyl, alkenyl, alkynyl, aryl, heteroaryl, etc.; R2 = H, alkyl; X = ethylene, CReRf; Re, Rf = H, alkyl; ReRfC = cycloalkyl; R3, R4 = H, alkyl; Z = bond, CO, SO2, CR9R6(CH2)n, CHR6(CH2)nO, CHR6(CH2)nS, CHR6(CH2)nOCO, CHR6(CH2)nCO, COCHR6(CH2)n, SO2CHR6(CH2)n, etc.; R5 = alkyl, alkenyl, aryl, hetaroaryl, etc.; R6 = H, alkyl, alkoxycarbonyl, aminocarbonyl; R9 = H, alkyl; Q = (CH2)p; Q1 = (CH2)q; n = 0-4; p, q = 1, 2; p+q = 2, 3], were prepared Thus, [4-(3,4-

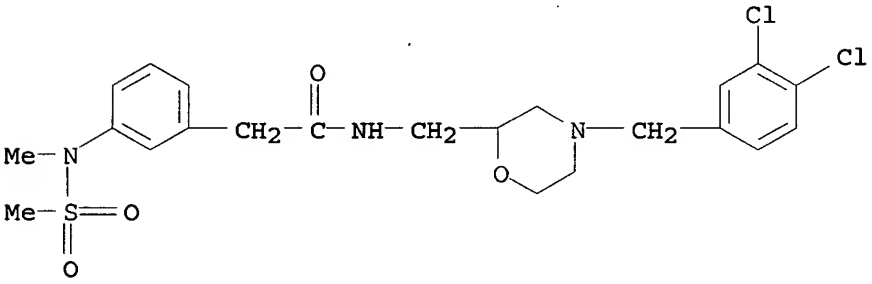
dichlorobenzyl)morpholin-2-yl]methylamine (preparation given) and PhCH2CO2H in N-methylpyrrolidone were microwaved at 600W for 4 min. to give N-[[4-(3,4-dichlorobenzyl)morpholin-2-yl]methyl]-2-phenylacetamide. Tested I bound to CCR-3 with pIC50 = 6.08-8.17.

IT 407638-98-6P 407639-10-5P 407640-95-3P
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of morpholinylacetamides for the treatment of inflammatory diseases)

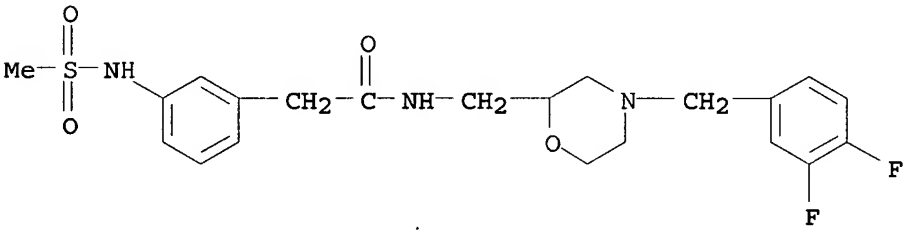
RN 407638-98-6 CAPLUS
CN Benzeneacetamide, N-[[4-[(3,4-dichlorophenyl)methyl]-2-morpholinyl]methyl]-3-[(methylsulfonyl)amino]- (9CI) (CA INDEX NAME)



RN 407639-10-5 CAPLUS
CN Benzeneacetamide, N-[[4-[(3,4-dichlorophenyl)methyl]-2-morpholinyl]methyl]-3-[methyl(methylsulfonyl)amino]- (9CI) (CA INDEX NAME)



RN 407640-95-3 CAPLUS
CN Benzeneacetamide, N-[[4-[(3,4-difluorophenyl)methyl]-2-morpholinyl]methyl]-3-[(methylsulfonyl)amino]- (9CI) (CA INDEX NAME)



RE.CNT 6 THERE ARE 6 CITED REFEREN

II

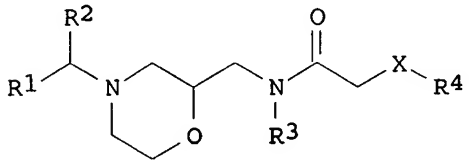
L60 ANSWER 1 OF 18 CAPLUS COPYRIGHT 2007 ACS on STN
AN 2007:86452 CAPLUS <<LOGINID::20070424>>
DN 146:184476
TI Preparation of N-benzylmorpholine derivatives as modulators of chemokine receptor
IN Luckhurst, Christopher; Springthorpe, Brian
PA Astrazeneca AB, Swed.
SO PCT Int. Appl., 71pp.
CODEN: PIXXD2
DT Patent
LA English
FAN.CNT 1

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI WO 2007011292	A1	20070125	WO 2006-SE892	20060719

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HN, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KN, KP, KR, KZ, LA, LC, LK, LR, LS, LT, LU, LV, LY, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RS, RU, SC, SD, SE, SG, SK, SL, SM, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, ZA, ZM, ZW

RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM

PRAI SE 2005-1718 A 20050721
OS MARPAT 146:184476
GI



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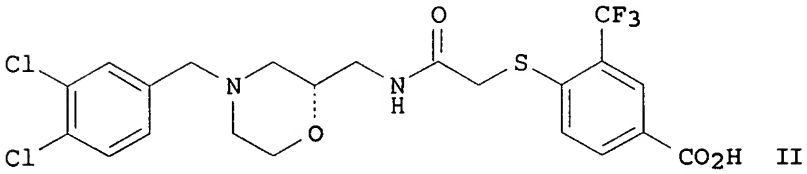


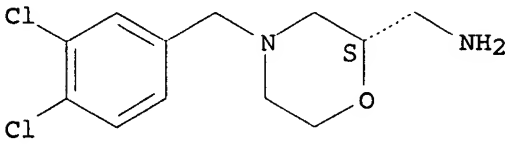
II

AB The title N-benzylmorpholine derivs. I [wherein R1 = (un)substituted Ph; R2 and R3 = independently H or alkyl; X = O, S, S(=O), or SO2; R4 = substituted Ph, naphthyl, or heteroaryl], N-oxides, or pharmaceutically acceptable salts thereof were prepared for the treatment of chemokine mediated diseases (no data). For example, II was prepared in a multi-step synthesis. II showed affinity with pIC50 of 9.7 towards human recombinant CCR3 receptor.

IT 407640-11-3P 407640-13-5P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(intermediate; preparation of N-benzylmorpholine derivs. as modulators of chemokine receptor)

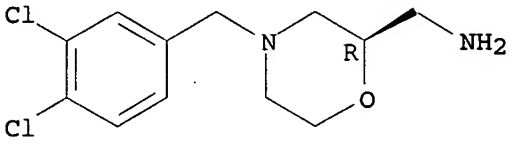
RN 407640-11-3 CAPLUS
CN 2-Morpholinemethanamine, 4-[(3,4-dichlorophenyl)methyl]-, (2S)- (CA INDEX NAME)

Absolute stereochemistry.



RN 407640-13-5 CAPLUS
CN 2-Morpholinemethanamine, 4-[(3,4-dichlorophenyl)methyl]-, (2R)- (CA INDEX NAME)

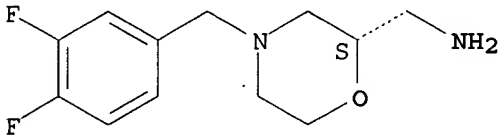
Absolute stereochemistry.



IT 407640-25-9 921212-13-7
RL: RCT (Reactant); RACT (Reactant or reagent)
(preparation of N-benzylmorpholine derivs. as modulators of chemokine receptor)

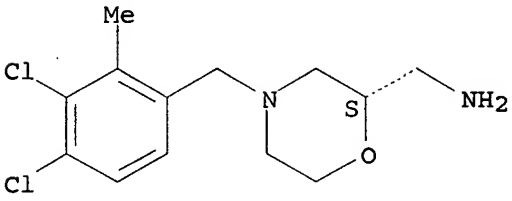
RN 407640-25-9 CAPLUS
CN 2-Morpholinemethanamine, 4-[(3,4-difluorophenyl)methyl]-, (2S)- (CA INDEX NAME)

Absolute stereochemistry.



RN 921212-13-7 CAPLUS
CN 2-Morpholinemethanamine, 4-[(3,4-dichloro-2-methylphenyl)methyl]-, (2S)- (CA INDEX NAME)

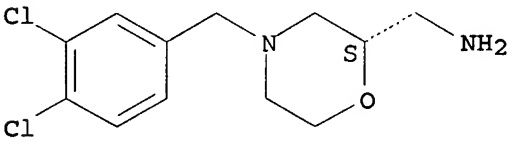
Absolute stereochemistry.



RE.CNT 6 THERE ARE 6 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L60 ANSWER 2 OF 18 CAPLUS COPYRIGHT 2007 ACS on STN
AN 2006:1044021 CAPLUS <<LOGINID::20070424>>
DN 146:7898
TI A Mitsunobu diol cyclisation to chiral morpholines and dioxanes
AU Wilkinson, Mark C.; Bell, Rebecca; Landon, Robert; Nikiforov, Petar O.; Walker, Andrew J.
CS GlaxoSmithKline, Chemical Development, Medicines Research Centre, Stevenage, SG1 2NY, UK
SO Synlett (2006), (13), 2151-2153
CODEN: SYNLES; ISSN: 0936-5214
PB Georg Thieme Verlag
DT Journal
LA English
OS CASREACT 146:7898
AB A diol cyclization under Mitsunobu conditions is presented, which allows access to chiral substituted morpholines and dioxanes. Using this pathway, aminomethylmorpholine derivative was obtained in good overall yield. This method provided a promising route for the large scale synthesis of aminomethylmorpholine derivative
IT 407640-11-3P
RL: SPN (Synthetic preparation); PREP (Preparation)
(regioselective preparation of aminomethyl(N-dichlorobenzyl)morpholine via ring-opening of epichlorohydrin with (dichlorobenzyl)aminoethanol followed by Mitsunobu cyclization, azido-substitution and reduction)
RN 407640-11-3 CAPLUS
CN 2-Morpholinemethanamine, 4-[(3,4-dichlorophenyl)methyl]-, (2S)- (CA INDEX NAME)

Absolute stereochemistry.



RE.CNT 6 THERE ARE 6 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L60 ANSWER 3 OF 18 CAPLUS COPYRIGHT 2007 ACS on STN
AN 2006:240494 CAPLUS <<LOGINID::20070424>>
DN 144:312096
TI Preparation of morpholine compounds as CCR3 antagonists
IN Tanaka, Yoshihito; Takeda, Shuzo; Higashi, Hidemitsu; Matsuura, Mamoru; Kobayashi, Fujio; Hamada, Maiko; Tanaka, Minoru
PA Mitsubishi Pharma Corporation, Japan
SO PCT Int. Appl., 275 pp.
CODEN: PIXXD2
DT Patent
LA Japanese
FAN.CNT 1

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI WO 2006028284	A1	20060316	WO 2005-JP17002	20050908

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SM, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW

RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM

PRAI JP 2004-261655 A 20040908

OS MARPAT 144:312096

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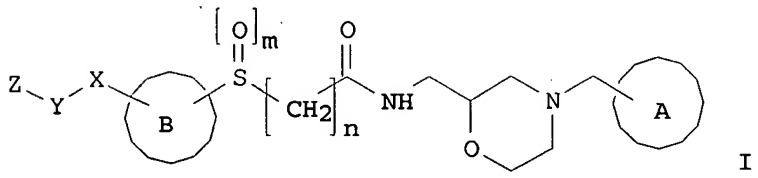

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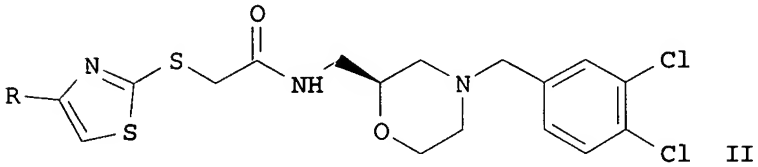


II

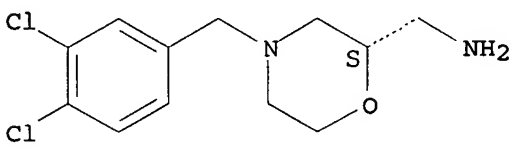
AB Title compds. I [ring A = (un)substituted aryl, (un)substituted heteroaryl; ring B = (un)substituted arylene, (un)substituted divalent heterocycle, (un)substituted cycloalkylene; m = 0-2; n = 1-5; X = bond, -NH-, -CO-, etc.; Y = bond, -NH-, -CO-, etc.; Z = H, halo, (un)substituted alkyl, etc.] were prepared For example, reaction of (2S)-N-[[4-(3,4-dichlorobenzyl)morpholin-2-yl]methyl]chloroacetamide·HCl, e.g., prepared from (2S)-2-aminomethyl-4-(3,4-dichlorobenzyl)morpholine·2HCl in 2 steps, with 4-ethoxycarbonyl-2-mercaptothiazole followed by hydrolysis using NaOH afforded compound II [R = CO2H]. In eosinophil-chemokine binding inhibition assays, the IC50 value of compound II [R = CH2CO2H] was 2.4 nmol/L. Compds. I are claimed useful for the treatment of asthma, sinusitis, etc.

IT 879403-38-0 879403-44-8 879403-45-9
RL: RCT (Reactant); RACT (Reactant or reagent)
(preparation of morpholine compds. as CCR3 antagonists for treatment of asthma, sinusitis, etc.)

RN 879403-38-0 CAPLUS

CN 2-Morpholinemethanamine, 4-[(3,4-dichlorophenyl)methyl]-, dihydrochloride, (2S)- (9CI) (CA INDEX NAME)

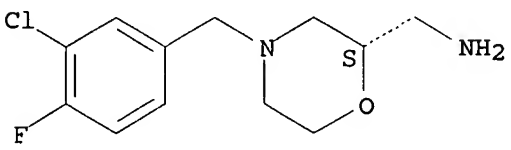
Absolute stereochemistry.



●2 HCl

RN 879403-44-8 CAPLUS
CN 2-Morpholinemethanamine, 4-[(3-chloro-4-fluorophenyl)methyl]-, dihydrochloride, (2S)- (9CI) (CA INDEX NAME)

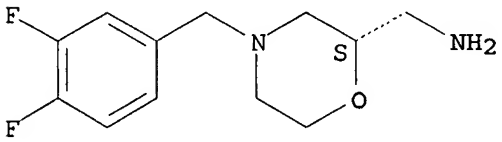
Absolute stereochemistry.



●2 HCl

RN 879403-45-9 CAPLUS
CN 2-Morpholinemethanamine, 4-[(3,4-difluorophenyl)methyl]-, dihydrochloride, (2S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

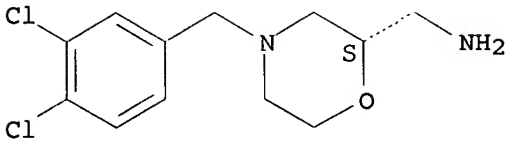


●2 HCl

RE.CNT 26 THERE ARE 26 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L60 ANSWER 4 OF 18 CAPLUS COPYRIGHT 2007 ACS on STN
AN 2005:510460 CAPLUS <<LOGINID::20070424>>
DN 143:193961
TI Asymmetric synthesis of an aminomethyl morpholine via double allylic substitution
AU Wilkinson, Mark. C.
CS GlaxoSmithKline, Chemical Development Division, Stevenage, SG1 2NY, UK
SO Tetrahedron Letters (2005), 46(28), 4773-4775
CODEN: TELEAY; ISSN: 0040-4039
PB Elsevier B.V.
DT Journal
LA English
OS CASREACT 143:193961
AB The development of an asym. route to an aminomethyl morpholine intermediate via palladium-catalyzed allylic substitution is described.
IT 407640-11-3P
RL: SPN (Synthetic preparation); PREP (Preparation)
(asym. preparation of aminomethyl morpholine intermediate by allylic substitution)
RN 407640-11-3 CAPLUS
CN 2-Morpholinemethanamine, 4-[(3,4-dichlorophenyl)methyl]-, (2S)- (CA INDEX NAME)

Absolute stereochemistry.

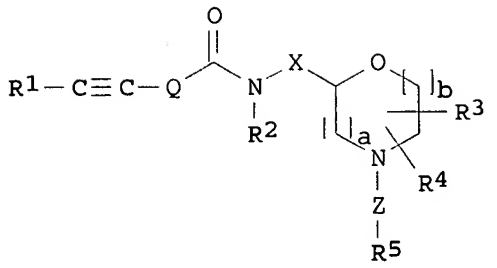


RE.CNT 9 THERE ARE 9 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L60 ANSWER 5 OF 18 CAPLUS COPYRIGHT 2007 ACS on STN
AN 2003:950845 CAPLUS <<LOGINID::20070424>>
DN 140:16734
TI Preparation of N-(morpholinylmethyl) alkynamides for the treatment of inflammatory diseases
IN Cook, Caroline Mary; Eldred, Colin David; Harrison, Lee Andrew
PA Glaxo Group Limited, UK
SO PCT Int. Appl., 35 pp.
CODEN: PIXXD2
DT Patent
LA English
FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 2003099287	A1	20031204	WO 2003-EP5717	20030527
	W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NI, NO, NZ, OM, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
	RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
	AU 2003232844	A1	20031212	AU 2003-232844	20030527
PRAI	GB 2002-12355	A	20020529		
	WO 2003-EP5717	W	20030527		

OS MARPAT 140:16734
GI



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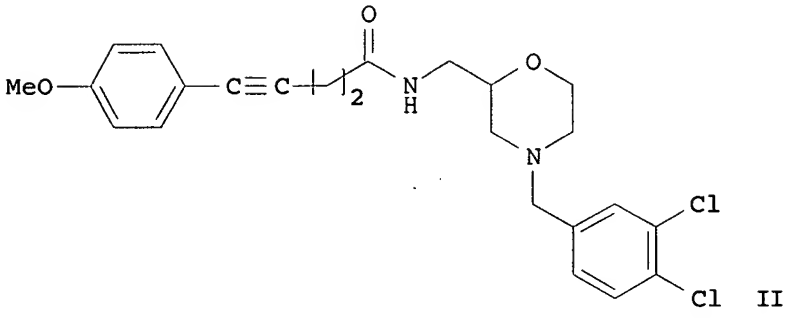


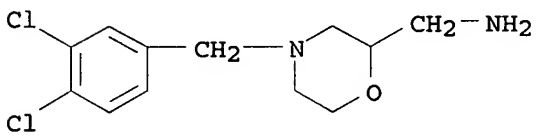
II

AB The title compds. [I; R1 = cycloalkyl-Y1-, aryl-Y1-, heteroaryl-Y1-, etc.; Q = (CR18R19)(CR18aR19a)t (wherein t = 0-3); R2 = H, alkyl; X = ethylene, CReRf (wherein Re and Rf = H, alkyl or Re and Rf may together with the carbon atom to which they are attached form a cycloalkyl); R3, R4 = H, alkyl; Z = a bond, CO, SO2, etc.; R5 = aryl, heteroaryl or arylalkenyl; a, b = 1-2, such that a+b = 2-3; G = S, SO2, SO2NR20, NR20SO2, NR20; R18, R19, R18a, R19a, R20 = H, alkyl; Y1 = a bond, (CH2)pCRcRd(CH2)q (wherein Rc, Rd = H, alkyl or Rc and Rd may together with the carbon atom to which they are attached form cycloalkyl; p, q = 0-5 and p+q = 0-5)] which are CCR3 receptor antagonists and are thus indicated to be useful in therapy, were prepared E.g., a 4-step synthesis of II (starting from morpholin-2-ylmethylamine) which showed pIC50 of 7.86 in the CCR-3 binding assay, was given. Pharmaceutical composition comprising the compound I is claimed.

IT 407640-03-3P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation of N-(morpholinylmethyl) alkynamides for the treatment of inflammatory diseases)

RN 407640-03-3 CAPLUS

CN 2-Morpholinemethanamine, 4-[(3,4-dichlorophenyl)methyl]- (9CI) (CA INDEX NAME)



RE.CNT 2 THERE ARE 2 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

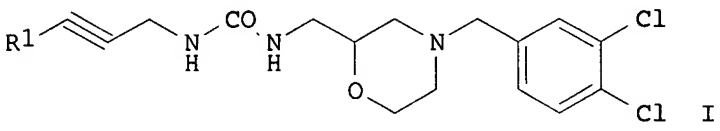
L60 ANSWER 6 OF 18 CAPLUS COPYRIGHT 2007 ACS on STN

AN 2003:943826 CAPLUS <<LOGINID::20070424>>

DN 142:56365
TI Preparation of morpholinylmethylureas for use in pharmaceutical compositions as chemokine CCR-3 receptor antagonists
IN Cook, Caroline Mary; Eldred, Colin David; Harrison, Lee Andrew
PA Glaxo Group Limited, UK
SO PCT Int. Appl., 52 pp.
CODEN: PIXXD2
DT Patent
LA English
FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 2003099798	A1	20031204	WO 2003-EP5597	20030526
	WO 2003099798	A8	20040115		
	W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NI, NO, NZ, OM, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
	RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
	AU 2003240730	A1	20031212	AU 2003-240730	20030526
PRAI	GB 2002-12357	A	20020529		
	WO 2003-EP5597	W	20030526		

OS MARPAT 142:56365
GI



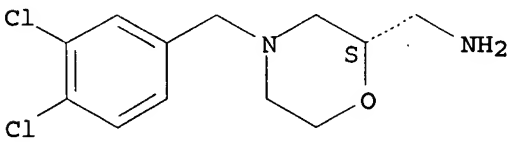
I

AB Morpholinylmethylureas, such as I [R1 = aryl, heteroaryl, cycloalkyl, arylmethyl, heteroarylmethyl, etc.], were prepared for therapeutic use as chemokine CCR-3 receptor antagonists and are claimed for use in the treatment of inflammatory conditions, such as asthma or rhinitis. Thus, I (R1 = Ph) was prepared via a reaction of the corresponding propynylurea I (R1 = H) with iodobenzene using (PPh3)2PdCl2, copper iodide, PPh3 and Et3N in DMF. The prepared morpholinylmethylureas were assayed for CCR-3 binding activity and for their inhibitory effect on eosinophil chemotaxis.

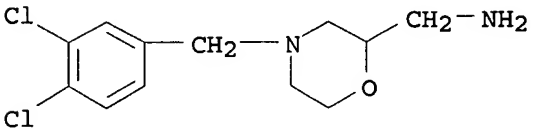
IT 407640-11-3P
RL: PUR (Purification or recovery); RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation of morpholinylmethylureas for use in pharmaceutical compns. as chemokine CCR-3 receptor antagonists)

RN 407640-11-3 CAPLUS
CN 2-Morpholinemethanamine, 4-[(3,4-dichlorophenyl)methyl]-, (2S)- (CA INDEX NAME)

Absolute stereochemistry.



IT 407640-03-3P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation of morpholinylmethylureas for use in pharmaceutical compns. as chemokine CCR-3 receptor antagonists)
RN 407640-03-3 CAPLUS
CN 2-Morpholinemethanamine, 4-[(3,4-dichlorophenyl)methyl]- (9CI) (CA INDEX NAME)

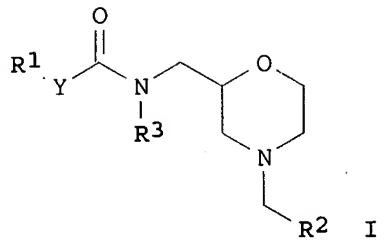


RE.CNT 4 THERE ARE 4 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L60 ANSWER 7 OF 18 CAPLUS COPYRIGHT 2007 ACS on STN
AN 2003:796699 CAPLUS <<LOGINID::20070424>>
DN 139:307779
TI Preparation of N-(morpholin-2-yl)methylacetamides as CCR-3 antagonists useful in the treatment of inflammatory diseases
IN Ancliff, Rachael Ann; Cook, Caroline Mary; Eldred, Colin David; Gore, Paul Martin; Harrison, Lee Andrew; Hayes, Martin Alistair; Hodgson, Simon Teanby; Judd, Duncan Bruce; Keeling, Suzanne Elaine; Lewell, Xiao Qing; Mills, Gail; Robertson, Graeme Michael; Swanson, Stephen; Walker, Andrew John; Wilkinson, Mark
PA Glaxo Group Limited, UK
SO PCT Int. Appl., 50 pp.
CODEN: PIXXD2
DT Patent
LA English
FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 2003082863	A1	20031009	WO 2003-EP3350	20030327
	W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
	RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
	AU 2003215677	A1	20031013	AU 2003-215677	20030327
	EP 1495020	A1	20050112	EP 2003-745300	20030327
	R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, SK				
	JP 2005529094	T	20050929	JP 2003-580328	20030327
PRAI	GB 2002-7445	A	20020328		
	WO 2003-EP3350	W	20030327		

OS MARPAT 139:307779
GI



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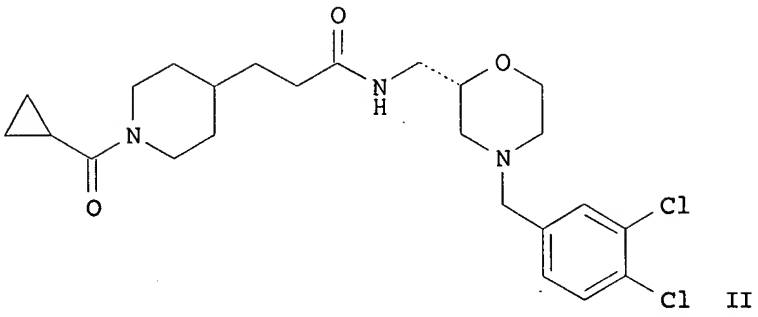


II

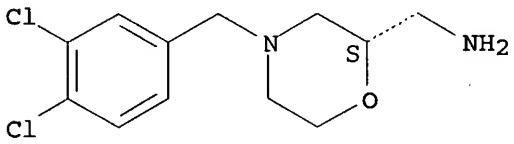
AB Title compds. I [R1 = (un)substituted heterocyclyl; Y = (un)substituted CH2; R2 = (un)substituted aryl, heteroaryl; R3 = H, alkyl] and salts and solvates thereof are CCR-3 antagonists and are thus indicated to be useful in therapy. Thus, the morpholine II had fpKi 8.2 in the eosinophil chemotaxis assay. II was obtained by treating 3,4-Cl2C6H3CH2NHCH2CH2OH with (S)-oxiranylmethylphthalimide, hydrolysis to the amine, which was treated with 3-(1-tert.-butoxycarbonyl-4-piperidnyl)propionic acid, deblocked, and acylated.

IT 407640-11-3P
RL: PUR (Purification or recovery); RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation of N-(morpholin-2-yl)methylacetamides as CCR-3 antagonists useful in the treatment of inflammatory diseases)

RN 407640-11-3 CAPLUS

CN 2-Morpholinemethanamine, 4-[(3,4-dichlorophenyl)methyl]-, (2S)- (CA INDEX NAME)

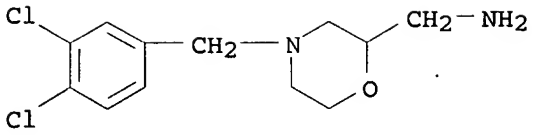
Absolute stereochemistry.



IT 407640-03-3P 407640-12-4P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation of N-(morpholin-2-yl)methylacetamides as CCR-3 antagonists useful in the treatment of inflammatory diseases)

RN 407640-03-3 CAPLUS

CN 2-Morpholinemethanamine, 4-[(3,4-dichlorophenyl)methyl]- (9CI) (CA INDEX NAME)

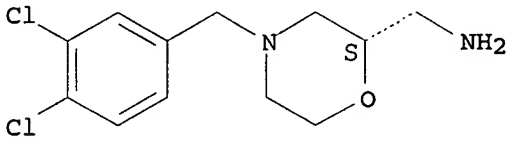


RN 407640-12-4 CAPLUS
CN 2-Morpholinemethanamine, 4-[(3,4-dichlorophenyl)methyl]-, (2S)-, (2S,3S)-2,3-dihydroxybutanedioate (1:1) (9CI) (CA INDEX NAME)

CM 1

CRN 407640-11-3
CMF C12 H16 Cl2 N2 O

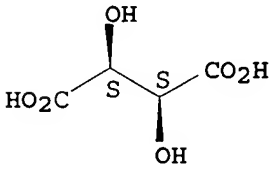
Absolute stereochemistry.



CM 2

CRN 147-71-7
CMF C4 H6 O6

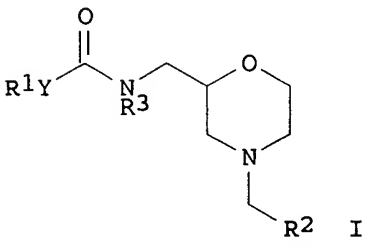
Absolute stereochemistry.



RE.CNT 4 THERE ARE 4 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L60 ANSWER 8 OF 18 CAPLUS COPYRIGHT 2007 ACS on STN
AN 2003:796698 CAPLUS <<LOGINID::20070424>>
DN 139:307778
TI Preparation of 2-acylaminomethyl-4-benzylmorpholines as CCR3 antagonists useful as antiinflammatories.
IN Ancliff, Rachael Ann; Cook, Caroline Mary; Eldred, Colin David; Gore, Paul Martin; Harrison, Lee Andrew; Hayes, Martin Alistair; Hodgson, Simon Teanby; Judd, Duncan Bruce; Keeling, Suzanne Elaine; Lewell, Xiao Qing; Mills, Gail; Robertson, Graeme Michael; Swanson, Stephen; Walker, Andrew John; Wilkinson, Mark
PA Glaxo Group Limited, UK
SO PCT Int. Appl., 96 pp.
CODEN: PIXXD2
DT Patent
LA English
FAN.CNT 1
PATENT NO. KIND DATE APPLICATION NO. DATE

PI	WO 2003082862	A1	20031009	WO 2003-EP3347	20030327
	W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
	RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
	AU 2003216907	A1	20031013	AU 2003-216907	20030327
	EP 1487827	A1	20041222	EP 2003-712119	20030327
	R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, SK				
	JP 2005527564	T	20050915	JP 2003-580327	20030327
PRAI	GB 2002-8158	A	20020328		
	WO 2003-EP3347	W	20030327		
OS	MARPAT 139:307778				
GI					



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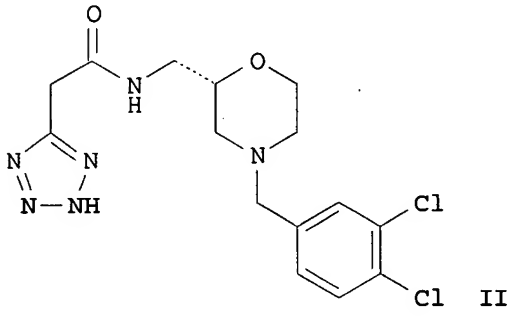


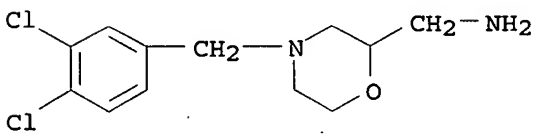
II

AB Title compds. [I; R1 = (substituted) heteroaryl; Y = (CRaRb)n; Ra, Rb = H, alkyl; n = 1-5; R2 = (substituted) aryl, heteroaryl; R3 = H, alkyl], were prepared Thus, 1H-tetrazole-5-acetic acid, 1-[(2S)-4-(3,4-dichlorobenzyl)morpholin-2-yl]methylamine (preparation given), 1-hydroxybenzotriazole, 1-(3-dimethylaminopropyl)-3-ethylcarbodiimide hydrochloride, and diisopropylethylamine were stirred together for 6 days in DMF to give title compound (II). I showed pIC50 values of 5.5-8.6 in a CCR3 binding assay.

IT 407640-03-3P 407640-11-3P 407640-12-4P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation of acylaminomethylbenzylmorpholines as CCR3 antagonists useful as antiinflammatories)

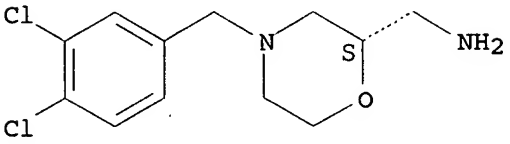
RN 407640-03-3 CAPLUS

CN 2-Morpholinemethanamine, 4-[(3,4-dichlorophenyl)methyl]- (9CI) (CA INDEX NAME)



RN 407640-11-3 CAPLUS
CN 2-Morpholinemethanamine, 4-[(3,4-dichlorophenyl)methyl]-, (2S)- (CA INDEX NAME)

Absolute stereochemistry.

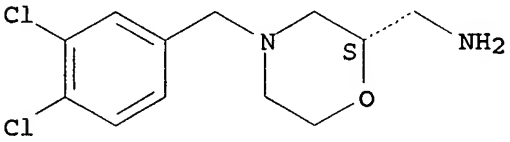


RN 407640-12-4 CAPLUS
CN 2-Morpholinemethanamine, 4-[(3,4-dichlorophenyl)methyl]-, (2S)-, (2S,3S)-2,3-dihydroxybutanedioate (1:1) (9CI) (CA INDEX NAME)

CM 1

CRN 407640-11-3
CMF C12 H16 Cl2 N2 O

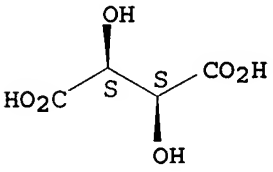
Absolute stereochemistry.



CM 2

CRN 147-71-7
CMF C4 H6 O6

Absolute stereochemistry.



RE.CNT 4 THERE ARE 4 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L60 ANSWER 9 OF 18 CAPLUS COPYRIGHT 2007 ACS on STN
AN 2003:796697 CAPLUS <<LOGINID::20070424>>
DN 139:307777
TI Preparation of morpholinylmethylureas as CCR-3 antagonists
IN Ancliff, Rachael Ann; Cook, Caroline Mary; Eldred, Colin David; Gore, Paul Martin; Harrison, Lee Andrew; Hayes, Martin Alistair; Hodgson, Simon Teanby; Judd, Duncan Bruce; Keeling, Suzanne Elaine; Lewell, Xiao Qing; Mills, Gail; Robertson, Graeme Michael; Swanson, Stephen; Walker, Andrew John; Wilkinson, Mark; et al.
PA Glaxo Group Limited, UK

SO PCT Int. Appl., 111 pp.
CODEN: PIXXD2
DT Patent
LA English
FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 2003082861	A2	20031009	WO 2003-EP3335	20030327
	WO 2003082861	A3	20040311		
	W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
	RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
	CA 2480106	A1	20031009	CA 2003-2480106	20030327
	AU 2003226757	A1	20031013	AU 2003-226757	20030327
	EP 1487828	A2	20041222	EP 2003-745294	20030327
	R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, SK				
	BR 2003008780	A	20041228	BR 2003-8780	20030327
	CN 1656092	A	20050817	CN 2003-811550	20030327
	JP 2005526815	T	20050908	JP 2003-580326	20030327
	IN 2004KN01402	A	20060512	IN 2004-KN1402	20040922
	NO 2004004448	A	20041026	NO 2004-4448	20041019
	US 2006063765	A1	20060323	US 2005-509162	20050610
PRAI	GB 2002-7434	A	20020328		
	GB 2003-1608	A	20030124		
	WO 2003-EP3335	W	20030327		

OS MARPAT 139:307777
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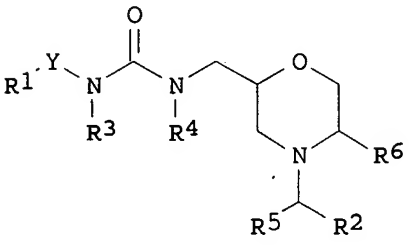


I

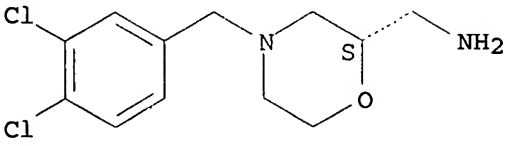
AB Title compds. I [R1 = (un)substituted heteroaryl; Y = bond, (un)substituted alkylene; R2 = (un)substituted aryl, heteroaryl; R3-R6 = H, alkyl] and salts and solvates thereof are CCR-3 antagonists and are thus indicated to be useful in therapy. Thus, (S)-I [Y = CH2, R1 = 5-methylcarbamoyl-1,2,4-oxadiazol-3-yl, R2 = 3,4-Cl2C6H3, R3-R6 = H] had fpKi 8.8 in the eosinophil chemotaxis test.

IT 407640-11-3P
RL: PUR (Purification or recovery); RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation of morpholinylmethylureas as CCR-3 antagonists)

RN 407640-11-3 CAPLUS

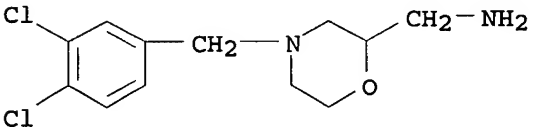
CN 2-Morpholinemethanamine, 4-[(3,4-dichlorophenyl)methyl]-, (2S)- (CA INDEX NAME)

Absolute stereochemistry.



IT 407640-03-3P 407640-12-4P 407640-25-9P
610779-86-7P 612512-27-3P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation of morpholinylmethylureas as CCR-3 antagonists)

RN 407640-03-3 CAPLUS
CN 2-Morpholinemethanamine, 4-[(3,4-dichlorophenyl)methyl]- (9CI) (CA INDEX NAME)

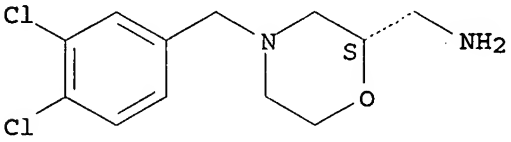


RN 407640-12-4 CAPLUS
CN 2-Morpholinemethanamine, 4-[(3,4-dichlorophenyl)methyl]-, (2S)-, (2S,3S)-2,3-dihydroxybutanedioate (1:1) (9CI) (CA INDEX NAME)

CM 1

CRN 407640-11-3
CMF C12 H16 Cl2 N2 O

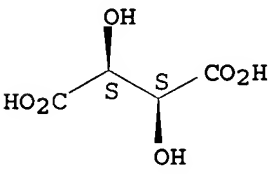
Absolute stereochemistry.



CM 2

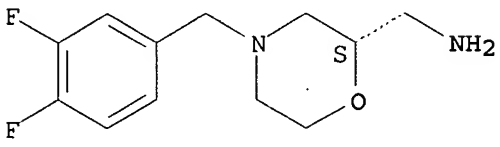
CRN 147-71-7
CMF C4 H6 O6

Absolute stereochemistry.



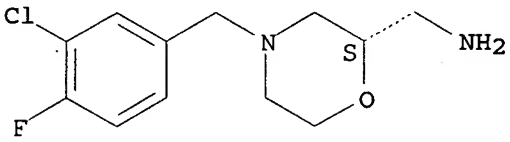
RN 407640-25-9 CAPLUS
CN 2-Morpholinemethanamine, 4-[(3,4-difluorophenyl)methyl]-, (2S)- (CA INDEX NAME)

Absolute stereochemistry.



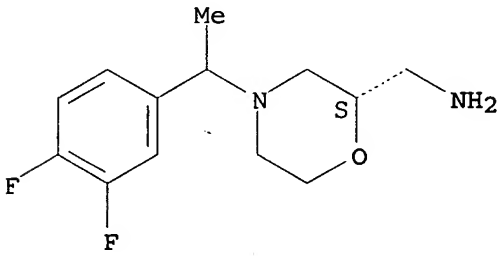
RN 610779-86-7 CAPLUS
CN 2-Morpholinemethanamine, 4-[(3-chloro-4-fluorophenyl)methyl]-, (2S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 612512-27-3 CAPLUS
CN 2-Morpholinemethanamine, 4-[1-(3,4-difluorophenyl)ethyl]-, monohydrochloride, (2S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



● HCl

L60 ANSWER 10 OF 18 CAPLUS COPYRIGHT 2007 ACS on STN
AN 2003:796674 CAPLUS <<LOGINID::20070424>>
DN 139:307774
TI Process for the preparation of aminoalkylmorpholines from hydroxyalkylamines and aminoalkylepoxides.
IN Hayes, Martin Alistair; Mills, Gail; Swanson, Stephen; Walker, Andrew John; Wilkinson, Mark
PA Glaxo Group Limited, UK
SO PCT Int. Appl., 35 pp.
CODEN: PIXXD2
DT Patent
LA English
FAN.CNT 2

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 2003082835	A1	20031009	WO 2003-EP3343	20030327
	W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
	RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
	CA 2479819	A1	20031009	CA 2003-2479819	20030327
	AU 2003226760	A1	20031013	AU 2003-226760	20030327
	EP 1487809	A1	20041222	EP 2003-745297	20030327
	R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, SK				
	BR 2003008720	A	20050104	BR 2003-8720	20030327
	CN 1642928	A	20050720	CN 2003-807386	20030327
	JP 2005532281	T	20051027	JP 2003-580303	20030327
	IN 2004KN01175	A	20060512	IN 2004-KN1175	20040813
	ZA 2004006548	A	20050919	ZA 2004-6548	20040817
	NO 2004004036	A	20040929	NO 2004-4036	20040924
	US 2005222147	A1	20051006	US 2005-509519	20050502
PRAI	GB 2002-7450	A	20020328		
	GB 2000-23902	A	20000929		
	GB 2001-7644	A	20010327		
	WO 2003-EP3343	W	20030327		

OS MARPAT 139:307774

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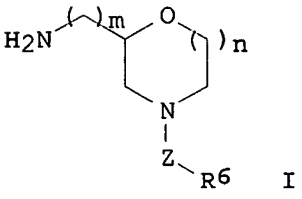


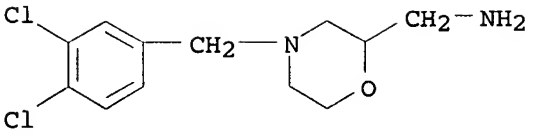
I

AB Title compds. [I; Z = bond, CO, SO2, CR10R7(CH2)p, (CH2)pCR10R7, CHR7(CH2)pO, CHR7(CH2)pS, CHR7(CH2)pOCO, CHR7(CH2)pCO, COCHR7(CH2)p, SO2CHR7(CH2)p; p = 0-4; R6 = alkyl, alkenyl, aryl, heteroaryl, aralkenyl, CN, heterocyclyl(alkyl); R7 = H, alkyl, CONR8R9, CO2alkyl; R8-R10 = H, alkyl; m, n = 1, 2], were prepared by reaction of HO(CH2)nCH2NHZR6 (variables as above) with an enantiomer of A(CH2)mE (A = protected amino; E = oxiranyl) followed by deprotection. Thus, 2-[(3,4-dichlorobenzyl)amino]ethanol and (S)-2-(oxiran-2-ylmethyl)-1H-isoindole-1,3(2H)-dione were refluxed in THF followed by cooling to 3°, addition of Ph3P and diisopropyl azodicarboxylate, and warming to 22° to give 2-[[(2R)-4-(3,4-dichlorobenzyl)morpholin-2-yl]methyl]-1H-isoindole-1,3(2H)-dione. This was refluxed with aqueous H2SO4 to give [(2S)-4-(3,4-dichlorobenzyl)morpholin-2-yl]methylamine.

IT 407640-03-3
RL: RCT (Reactant); RACT (Reactant or reagent)
(kinetic enzymic resolution; process for the preparation of aminoalkylmorpholines from hydroxyalkylamines and aminoalkylepoxides)

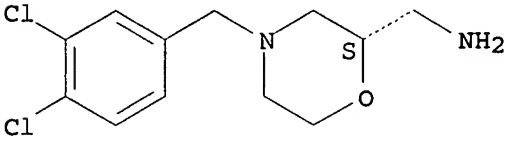
RN 407640-03-3 CAPLUS

CN 2-Morpholinemethanamine, 4-[(3,4-dichlorophenyl)methyl]- (9CI) (CA INDEX NAME)



IT 407640-11-3P
RL: BPN (Biosynthetic preparation); IMF (Industrial manufacture); PUR (Purification or recovery); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation)
(process for the preparation of aminoalkylmorpholines from hydroxyalkylamines and aminoalkylepoxides)
RN 407640-11-3 CAPLUS
CN 2-Morpholinemethanamine, 4-[(3,4-dichlorophenyl)methyl]-, (2S)- (CA INDEX NAME)

Absolute stereochemistry.

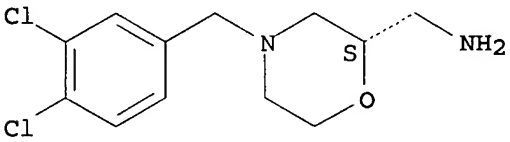


IT 407640-12-4
RL: RCT (Reactant); RACT (Reactant or reagent)
(process for the preparation of aminoalkylmorpholines from hydroxyalkylamines and aminoalkylepoxides)
RN 407640-12-4 CAPLUS
CN 2-Morpholinemethanamine, 4-[(3,4-dichlorophenyl)methyl]-, (2S)-, (2S,3S)-2,3-dihydroxybutanedioate (1:1) (9CI) (CA INDEX NAME)

CM 1

CRN 407640-11-3
CMF C12 H16 Cl2 N2 O

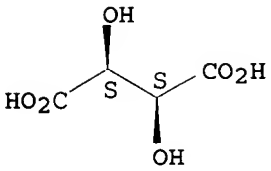
Absolute stereochemistry.



CM 2

CRN 147-71-7
CMF C4 H6 O6

Absolute stereochemistry.



RE.CNT 7 THERE ARE 7 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L60 ANSWER 11 OF 18 CAPLUS COPYRIGHT 2007 ACS on STN
AN 2003:796673 CAPLUS <<LOGINID::20070424>>
DN 139:292256
TI Preparation of 3-[[[[[[(2S)-4-(3,4-dichlorobenzyl)morpholin-2-yl]methyl]amino]carbonyl]amino]methyl]-N-ethylbenzamide as a chemokine CCR-3 antagonist.
IN Ancliff, Rachael Ann; Cook, Caroline Mary; Eldred, Colin David; Gore, Paul Martin; Harrison, Lee Andrew; Hayes, Martin Alistair; Hodgson, Simon Teanby; Judd, Duncan Bruce; Keeling, Suzanne Elaine; Lewell, Xiao Qing; Mills, Gail; Robertson, Graeme Michael; Swanson, Stephen; Walker, Andrew John; Wilkinson, Mark
PA Glaxo Group Limited, UK
SO PCT Int. Appl., 25 pp.
CODEN: PIXXD2
DT Patent
LA English
FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 2003082834	A2	20031009	WO 2003-EP3338	20030327
	WO 2003082834	A3	20040325		
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	RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
	AU 2003226758	A1	20031013	AU 2003-226758	20030327
	EP 1490345	A2	20041229	EP 2003-745295	20030327
	R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, SK				
	JP 2006504626	T	20060209	JP 2003-580302	20030327
PRAI	GB 2002-7447	A	20020328		
	WO 2003-EP3338	W	20030327		

OS MARPAT 139:292256
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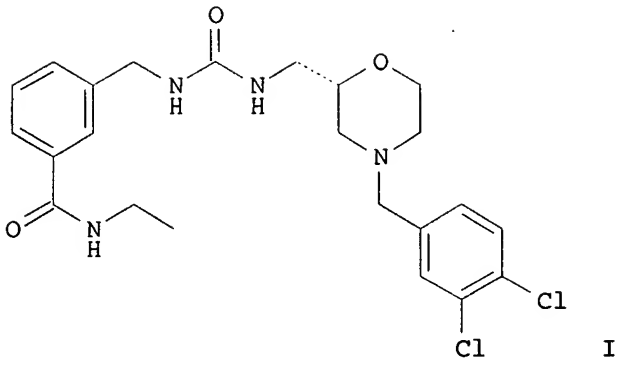
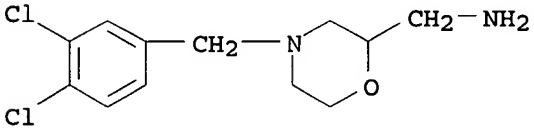


I

AB Title compound (I) was prepared To a stirred solution of 3-[[[[[[(2S)-4-(3,4-dichlorobenzyl)morpholin-2-yl]methyl]amino]carbonyl]amino]methyl]benzoic acid (preparation given) in DMF was added a solution of 1-(3-dimethylaminopropyl)-3-ethylcarbodiimide hydrochloride and 1-hydroxybenzotriazole in DMF at 20°. N,N-diisopropylethylamine and a 2 M solution of EtNH2 in THF were added, and the mixture was stirred at 20° for 18.5 h to give I. I showed pIC50 = 9.2 in a CCR3 binding assay and showed fpKi = 10.0 in a CCR3 eosinophil chemotaxis inhibition assay.

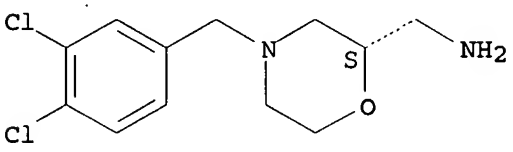
IT 407640-03-3P 407640-11-3P 407640-12-4P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation of dichlorobenzylmorpholinylmethylaminocarbonylaminomethylethyl benzamide as a chemokine CCR-3 antagonist)

RN 407640-03-3 CAPLUS
CN 2-Morpholinemethanamine, 4-[(3,4-dichlorophenyl)methyl]- (9CI) (CA INDEX NAME)



RN 407640-11-3 CAPLUS
CN 2-Morpholinemethanamine, 4-[(3,4-dichlorophenyl)methyl]-, (2S)- (CA INDEX NAME)

Absolute stereochemistry.

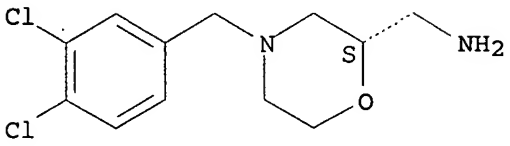


RN 407640-12-4 CAPLUS
CN 2-Morpholinemethanamine, 4-[(3,4-dichlorophenyl)methyl]-, (2S)-, (2S,3S)-2,3-dihydroxybutanedioate (1:1) (9CI) (CA INDEX NAME)

CM 1

CRN 407640-11-3
CMF C12 H16 Cl2 N2 O

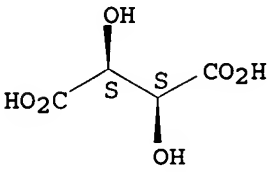
Absolute stereochemistry.



CM 2

CRN 147-71-7
CMF C4 H6 O6

Absolute stereochemistry.



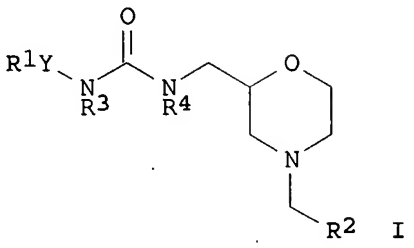
L60 ANSWER 12 OF 18 CAPLUS COPYRIGHT 2007 ACS on STN
AN 2003:796497 CAPLUS <<LOGINID::20070424>>
DN 139:307773
TI Preparation of heterocyclylalkylureidomethylmorpholines as chemokine CCR-3 antagonists for the treatment of inflammatory conditions
IN Ancliff, Rachael Ann; Cook, Caroline Mary; Eldred, Colin David; Gore, Paul Martin; Harrison, Lee Andrew; Hayes, Martin Alistair; Hodgson, Simon Teanby; Judd, Duncan Bruce; Keeling, Suzanne Elaine; Lewell, Xiao Qing; Mills, Gail; Robertson, Graeme Michael; Swanson, Stephen; Walker, Andrew John; Wilkinson, Mark
PA Glaxo Group Limited, UK; et al.
SO PCT Int. Appl., 39 pp.
CODEN: PIXXD2
DT Patent
LA English
FAN.CNT 1

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI WO 2003082295	A1	20031009	WO 2003-EP3349	20030327
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
AU 2003226763	A1	20031013	AU 2003-226763	20030327
EP 1487454	A1	20041222	EP 2003-745197	20030327
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, SK				

	JP 2005527557	T	20050915	JP 2003-579832	20030327
PRAI	GB 2002-7439	A	20020328		
	WO 2003-EP3349	W	20030327		

OS MARPAT 139:307773

GI



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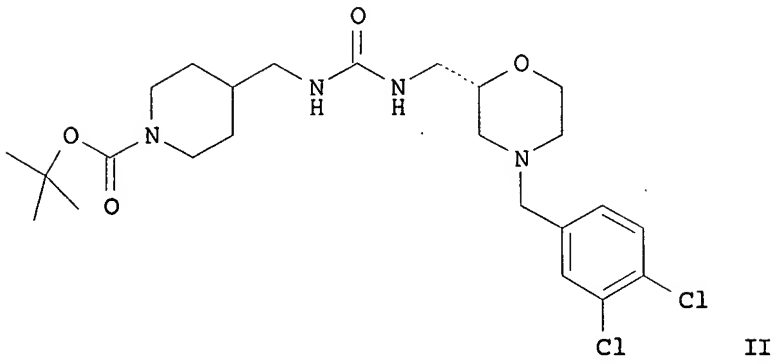


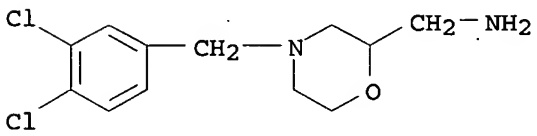
II

AB Title compds. [I; R1 = (substituted) heterocyclyl; Y = (CRaRb)n; Ra, Rb = H, alkyl; n = 1-5; R2 = (substituted) aryl, heteroaryl; R3, R4 = H, alkyl], were prepared Thus, 4-aminomethyl-1-tert-butoxycarbonylpiperidine in CH2Cl2 was added to a stirred solution of 4-nitrophenyl [(2S)-4-(3,4-dichlorobenzyl)morpholin-2-yl]methylcarbamate (preparation given) and N,N-diisopropylethylamine in CH2Cl2 at 22° and the mixture was stirred at 22° for 17 h to give title compound (II). I showed pIC50 = 7.3-8.1 in a CCR3 binding assay.

IT 407640-03-3P 407640-11-3P 407640-12-4P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation of heterocyclylalkylureidomethylmorpholines as chemokine CCR-3 antagonists for the treatment of inflammatory conditions)

RN 407640-03-3 CAPLUS

CN 2-Morpholinemethanamine, 4-[(3,4-dichlorophenyl)methyl]- (9CI) (CA INDEX NAME)

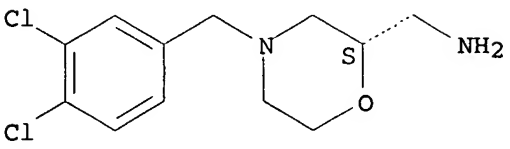


RN 407640-11-3 CAPLUS

CN 2-Morpholinemethanamine, 4-[(3,4-dichlorophenyl)methyl]-, (2S)- (CA INDEX

NAME)

Absolute stereochemistry.

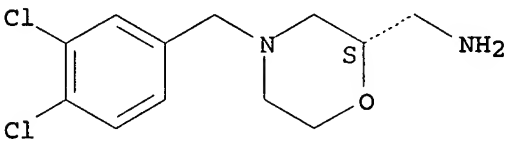


RN 407640-12-4 CAPLUS
CN 2-Morpholinemethanamine, 4-[(3,4-dichlorophenyl)methyl]-, (2S)-, (2S,3S)-2,3-dihydroxybutanedioate (1:1) (9CI) (CA INDEX NAME)

CM 1

CRN 407640-11-3
CMF C12 H16 Cl2 N2 O

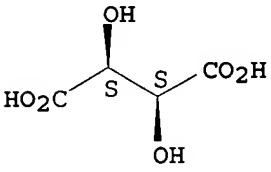
Absolute stereochemistry.



CM 2

CRN 147-71-7
CMF C4 H6 O6

Absolute stereochemistry.



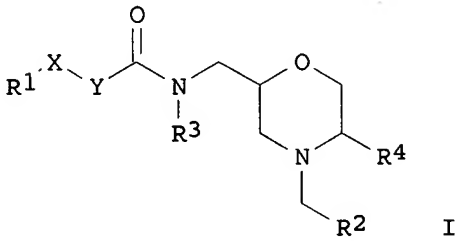
RE.CNT 3 THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L60 ANSWER 13 OF 18 CAPLUS COPYRIGHT 2007 ACS on STN
AN 2003:796496 CAPLUS <<LOGINID::20070424>>
DN 139:307772
TI Preparation of acylaminomethylmorpholines for use as CCR-3 antagonists for the treatment of inflammatory diseases
IN Ancliff, Rachael Ann; Cook, Caroline Mary; Eldred, Colin David; Gore, Paul Martin; Harrison, Lee Andrew; Hayes, Martin Alistair; Hodgson, Simon Teanby; Judd, Duncan Bruce; Keeling, Suzanne Elaine; Lewell, Xiao Qing; Mills, Gail; Robertson, Graeme Michael; Swanson, Stephen; Walker, Andrew John; Wilkinson, Mark
PA Glaxo Group Limited, UK
SO PCT Int. Appl., 93 pp.
CODEN: PIXXD2

DT Patent
LA English
FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 2003082294	A1	20031009	WO 2003-EP3348	20030327
	W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
	RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
	AU 2003226762	A1	20031013	AU 2003-226762	20030327
	EP 1492537	A1	20050105	EP 2003-745299	20030327
	R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, SK				
	JP 2006504625	T	20060209	JP 2003-579831	20030327
PRAI	GB 2002-7443	A	20020328		
	WO 2003-EP3348	W	20030327		

OS MARPAT 139:307772
GI



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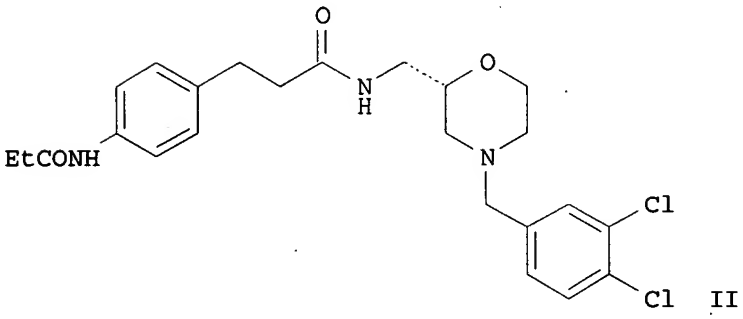


II

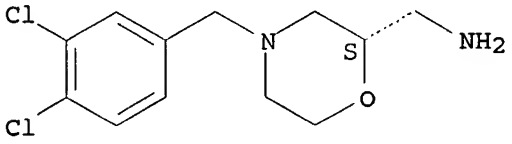
AB Title compds. I [R1 = (un)substituted aryl; X = O, bond; Y = (un)substituted CH2; R2 = (un)substituted aryl, heteroaryl; R3, R4 = H, alkyl] and salts and solvates thereof are CCR-3 antagonists and are therefore indicated to be useful in therapy of inflammatory conditions. Thus, 3,4-Cl2C6H3CH2NHCH2CH2OH was treated with (S)-oxiranylmethylphthalimide which was hydrolyzed to 1-[(2S)-4-(3,4-dichlorobenzyl)morpholin-2-yl]methylamine,acylated with 4-H2NC6H4CH2CH2CO2H, and then with EtCOCl to give the title compound II. II had fpKi = 8.0 in the CCR-3 eosinophil chemotaxis assay.

IT 407640-11-3P

RL: PUR (Purification or recovery); RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation of acylaminomethylmorpholines for use as CCR-3 antagonists for the treatment of inflammatory diseases)

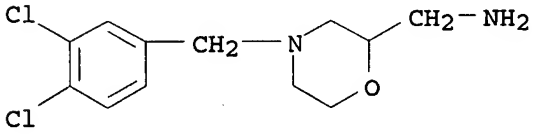
RN 407640-11-3 CAPLUS
CN 2-Morpholinemethanamine, 4-[(3,4-dichlorophenyl)methyl]-, (2S)- (CA INDEX NAME)

Absolute stereochemistry.



IT 407640-03-3P 407640-12-4P 407640-25-9P
610779-86-7P 610779-91-4P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation of acylaminomethylmorpholines for use as CCR-3 antagonists for the treatment of inflammatory diseases)

RN 407640-03-3 CAPLUS
CN 2-Morpholinemethanamine, 4-[(3,4-dichlorophenyl)methyl]- (9CI) (CA INDEX NAME)

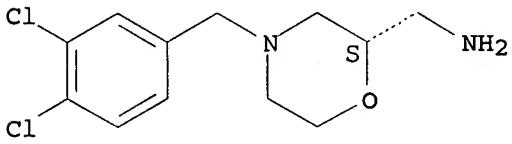


RN 407640-12-4 CAPLUS
CN 2-Morpholinemethanamine, 4-[(3,4-dichlorophenyl)methyl]-, (2S)-, (2S,3S)-2,3-dihydroxybutanedioate (1:1) (9CI) (CA INDEX NAME)

CM 1

CRN 407640-11-3
CMF C12 H16 Cl2 N2 O

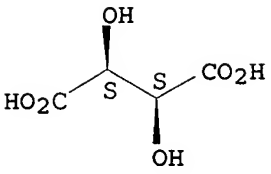
Absolute stereochemistry.



CM 2

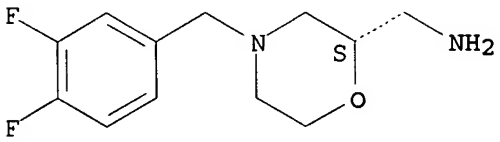
CRN 147-71-7
CMF C4 H6 O6

Absolute stereochemistry.



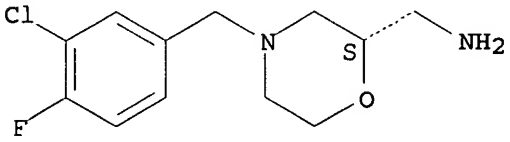
RN 407640-25-9 CAPLUS
CN 2-Morpholinemethanamine, 4-[(3,4-difluorophenyl)methyl]-, (2S)- (CA INDEX NAME)

Absolute stereochemistry.

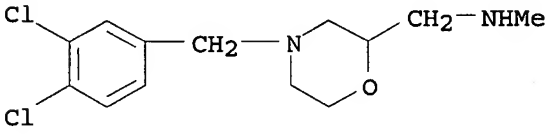


RN 610779-86-7 CAPLUS
CN 2-Morpholinemethanamine, 4-[(3-chloro-4-fluorophenyl)methyl]-, (2S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 610779-91-4 CAPLUS
CN 2-Morpholinemethanamine, 4-[(3,4-dichlorophenyl)methyl]-N-methyl- (9CI) (CA INDEX NAME)



RE.CNT 3 THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L60 ANSWER 14 OF 18 CAPLUS COPYRIGHT 2007 ACS on STN
AN 2003:796495 CAPLUS <<LOGINID::20070424>>
DN 139:307771
TI Preparation of 4-[[[[[[(2S)-4-(3,4-dichlorobenzyl)morpholin-2-yl]methyl]amino]carbonyl]amino]methyl]benzamide benzenesulfonate dihydrate and related solvates as a CCR-3 antagonists for the treatment of inflammatory conditions
IN Cook, John Spencer; Landon, Robert Philip; Walker, Andrew John; Wilkinson, Mark
PA Glaxo Group Limited, UK
SO PCT Int. Appl., 36 pp.

CODEN: PIXXD2
DT Patent
LA English
FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 2003082293	A1	20031009	WO 2003-EP3345	20030327
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	RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
	CA 2479912	A1	20031009	CA 2003-2479912	20030327
	AU 2003226761	A1	20031013	AU 2003-226761	20030327
	EP 1487456	A1	20041222	EP 2003-745298	20030327
	EP 1487456	B1	20060215		
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	BR 2003008480	A	20050118	BR 2003-8480	20030327
	CN 1642554	A	20050720	CN 2003-807364	20030327
	JP 2005526808	T	20050908	JP 2003-579830	20030327
	AT 317698	T	20060315	AT 2003-745298	20030327
	ES 2258724	T3	20060901	ES 2003-3745298	20030327
	IN 2004KN01286	A	20051230	IN 2004-KN1286	20040902
	ZA 2004007463	A	20051012	ZA 2004-7463	20040916
	NO 2004004323	A	20041027	NO 2004-4323	20041012
	US 2006089497	A1	20060427	US 2005-509521	20050610
PRAI	GB 2002-7432	A	20020328		
	WO 2003-EP3345	W	20030327		

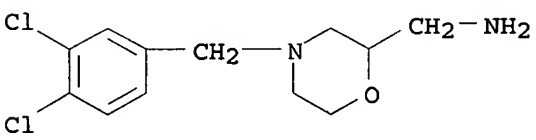
OS MARPAT 139:307771

AB 4-[[[[[[(2S)-4-(3,4-dichlorobenzyl)morpholin-2-yl]methyl]amino]carbonyl]amino]methyl]benzamide (I) benzenesulfonate.(ROH)n (R = H, alkyl; n = 0.8-2.2), were prepared Thus, I (preparation given) in EtOH/H2O at 40° was treated sequentially with aqueous PhSO3H, H2O, iso-Pr acetate, and EtOH followed by cooling to 0°, dilution with cyclohexane, and seeding with authentic product to give I benzenesulfonate dihydrate. This showed a pIC50 value of >5 in a CCR3 binding assay and inhibited CCR3 eosinophil chemotaxis with a fpKi value of >5.

IT 407640-03-3P 407640-11-3P 407640-12-4P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation of dichlorobenzylmorpholinylmethylaminocarbonylaminomethylbenzamide benzenesulfonate dihydrate and related solvates as CCR-3 antagonists for the treatment of inflammatory conditions)

RN 407640-03-3 CAPLUS

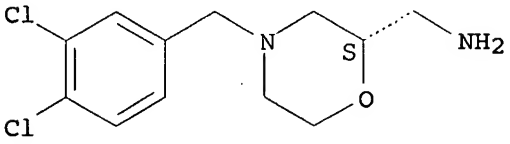
CN 2-Morpholinemethanamine, 4-[(3,4-dichlorophenyl)methyl]- (9CI) (CA INDEX NAME)



RN 407640-11-3 CAPLUS

CN 2-Morpholinemethanamine, 4-[(3,4-dichlorophenyl)methyl]-, (2S)- (CA INDEX NAME)

Absolute stereochemistry.

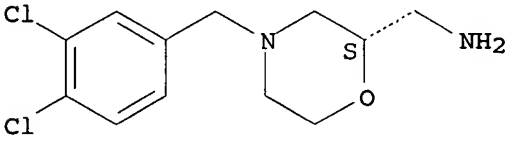


RN 407640-12-4 CAPLUS
CN 2-Morpholinemethanamine, 4-[(3,4-dichlorophenyl)methyl]-, (2S)-, (2S,3S)-2,3-dihydroxybutanedioate (1:1) (9CI) (CA INDEX NAME)

CM 1

CRN 407640-11-3
CMF C12 H16 Cl2 N2 O

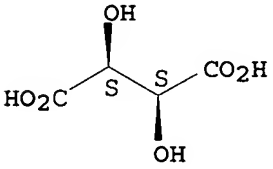
Absolute stereochemistry.



CM 2

CRN 147-71-7
CMF C4 H6 O6

Absolute stereochemistry.



RE.CNT 3 THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L60 ANSWER 15 OF 18 CAPLUS COPYRIGHT 2007 ACS on STN
AN 2003:796494 CAPLUS <<LOGINID::20070424>>
DN 139:307770
TI Preparation of aralkylureidomorpholines as CCR-3 antagonists for the treatment of inflammatory conditions
IN Ancliff, Rachael Ann; Cook, Caroline Mary; Eldred, Colin David; Gore, Paul Martin; Harrison, Lee Andrew; Hayes, Martin Alistair; Hodgson, Simon Teanby; Judd, Duncan Bruce; Keeling, Suzanne Elaine; Lewell, Xiao Qing; Mills, Gail; Robertson, Graeme Michael; Swanson, Stephen; Walker, Andrew John; Wilkinson, Mark
PA Glaxo Group Limited, UK
SO PCT Int. Appl., 61 pp.

CODEN: PIXXD2
DT Patent
LA English
FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 2003082292	A1	20031009	WO 2003-EP3340	20030327
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	RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
	AU 2003226759	A1	20031013	AU 2003-226759	20030327
	EP 1487455	A1	20041222	EP 2003-745296	20030327
	R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, SK				
	JP 2005526807	T	20050908	JP 2003-579829	20030327
PRAI	GB 2002-7436	A	20020328		
	WO 2003-EP3340	W	20030327		

OS MARPAT 139:307770
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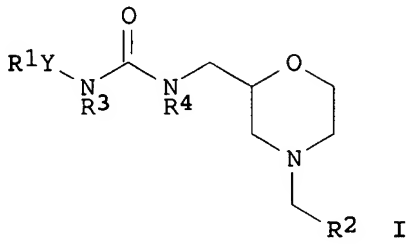

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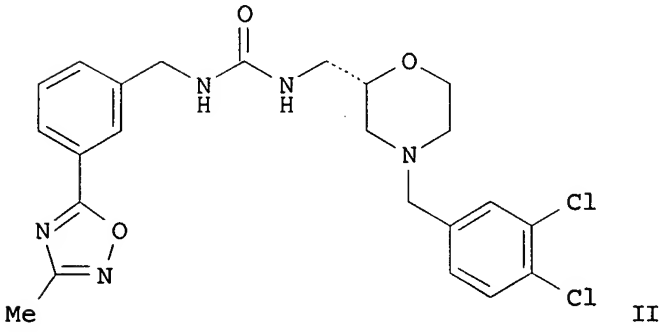


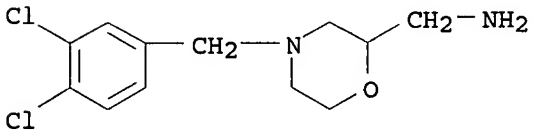
II

AB Title compds. [I; R1 = (substituted) aryl; Y = (CRaRb)n; Ra, Rb = H, alkyl; n = 1-5; R2 = (substituted) aryl, heteroaryl; R3, R4 = H, alkyl], were prepared Thus, 4-nitrophenyl [(2S)-4-(3,4-difluorobenzyl)morpholin-2-yl]methylcarbamate, N-hydroxyethanimidamide, NaOEt, and 4Å powdered mol. sieves were refluxed together in EtOH for 5 h to give title compound (II). I showed pIC50 = 6.6-9.1 in a CCR3 binding assay.

IT 407640-03-3P 407640-11-3P 407640-12-4P
407640-25-9P 610779-86-7P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT

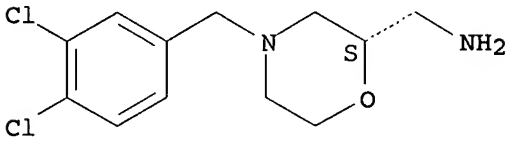
(Reactant or reagent)
(preparation of aralkylureidomorpholines as CCR-3 antagonists for the treatment of inflammatory conditions)

RN 407640-03-3 CAPLUS
CN 2-Morpholinemethanamine, 4-[(3,4-dichlorophenyl)methyl]- (9CI) (CA INDEX NAME)



RN 407640-11-3 CAPLUS
CN 2-Morpholinemethanamine, 4-[(3,4-dichlorophenyl)methyl]-, (2S)- (CA INDEX NAME)

Absolute stereochemistry.

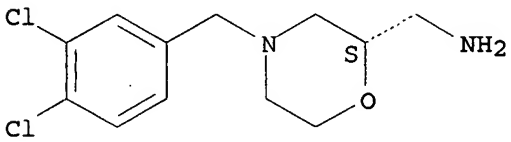


RN 407640-12-4 CAPLUS
CN 2-Morpholinemethanamine, 4-[(3,4-dichlorophenyl)methyl]-, (2S)-, (2S,3S)-2,3-dihydroxybutanedioate (1:1) (9CI) (CA INDEX NAME)

CM 1

CRN 407640-11-3
CMF C12 H16 Cl2 N2 O

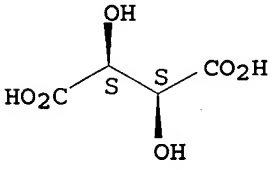
Absolute stereochemistry.



CM 2

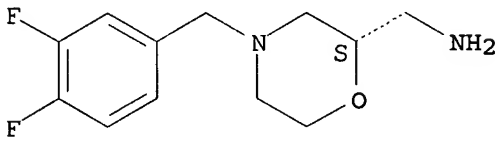
CRN 147-71-7
CMF C4 H6 O6

Absolute stereochemistry.



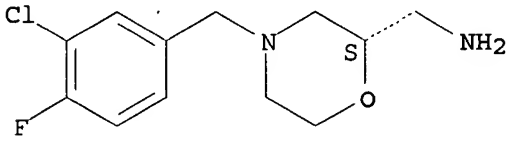
RN 407640-25-9 CAPLUS
CN 2-Morpholinemethanamine, 4-[(3,4-difluorophenyl)methyl]-, (2S)- (CA INDEX NAME)

Absolute stereochemistry.



RN 610779-86-7 CAPLUS
CN 2-Morpholinemethanamine, 4-[(3-chloro-4-fluorophenyl)methyl]-, (2S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RE.CNT 4 THERE ARE 4 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

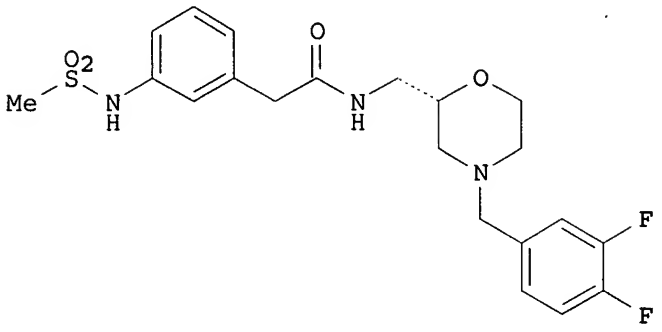
L60 ANSWER 16 OF 18 CAPLUS COPYRIGHT 2007 ACS on STN
AN 2003:796493 CAPLUS <<LOGINID::20070424>>
DN 139:307769
TI Preparation of N-[[(2S)-4-(3,4-difluorobenzyl)morpholin-2-yl]methyl]-2-[3-[(methylsulfonyl)amino]phenylacetamide as a chemokine CCR3 antagonist for the treatment of inflammatory conditions
IN Ancliff, Rachael Ann; Cook, Caroline Mary; Eldred, Colin David; Gore, Paul Martin; Harrison, Lee Andrew; Hayes, Martin Alistair; Hodgson, Simon Teanby; Judd, Duncan Bruce; Keeling, Suzanne Elaine; Lewell, Xiao Qing; Mills, Gail; Robertson, Graeme Michael; Swanson, Stephen; Walker, Andrew John; Wilkinson, Mark
PA Glaxo Group Limited, UK
SO PCT Int. Appl., 27 pp.
CODEN: PIXXD2
DT Patent
LA English
FAN.CNT 1

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI WO 2003082291	A1	20031009	WO 2003-EP3339	20030327
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
CA 2479910	A1	20031009	CA 2003-2479910	20030327
AU 2003216905	A1	20031013	AU 2003-216905	20030327

	EP 1487453	A1	20041222	EP 2003-712117	20030327
	R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, SK				
	BR 2003008719	A	20050104	BR 2003-8719	20030327
	CN 1642553	A	20050720	CN 2003-806865	20030327
	JP 2005525390	T	20050825	JP 2003-579828	20030327
	IN 2004KN01219	A	20060217	IN 2004-KN1219	20040820
	ZA 2004006990	A	20051108	ZA 2004-6990	20040901
	NO 2004004098	A	20041004	NO 2004-4098	20040927
	US 2006058299	A1	20060316	US 2005-509417	20050512
PRAI	GB 2002-7449	A	20020328		
	WO 2003-EP3339	W	20030327		

OS MARPAT 139:307769

GI



I

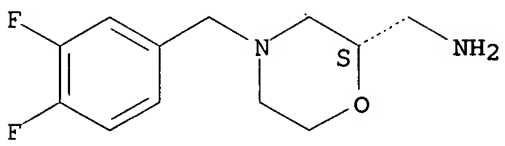
AB Title compound (I) was prepared To a stirred solution of 3-[(methylsulfonyl)amino]phenylacetic acid in DMF was added a solution of 1-(3-dimethylaminopropyl)-3-ethylcarbodiimide hydrochloride, 1-hydroxybenzotriazole, and 1-[(2S)-4-(3,4-difluorobenzyl)morpholin-2-yl]methylamine in DMF at 22° N,N-diisopropylethylamine was added to the mixture which was then stirred at 22° for 18 h. to give I. In the CCR-3 binding assay I possessed a pIC50 = 8.0 in the CCR-3 eosinophil chemotaxis inhibitory assay possessed an fpKi = 8.4.

IT 407640-25-9P 610769-19-2P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation of difluorobenzylmorpholinylmethylmethylsulfonylaminophenylacetamide as a chemokine CCR3 antagonist for the treatment of inflammatory conditions)

RN 407640-25-9 CAPLUS

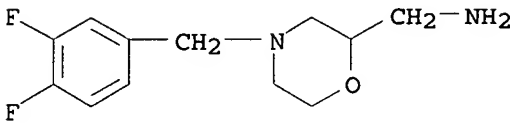
CN 2-Morpholinemethanamine, 4-[(3,4-difluorophenyl)methyl]-, (2S)- (CA INDEX NAME)

Absolute stereochemistry.



RN 610769-19-2 CAPLUS

CN 2-Morpholinemethanamine, 4-[(3,4-difluorophenyl)methyl]- (9CI) (CA INDEX NAME)



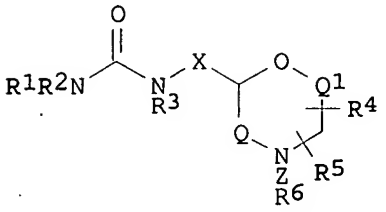
RE.CNT 5 THERE ARE 5 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L60 ANSWER 17 OF 18 CAPLUS COPYRIGHT 2007 ACS on STN
AN 2002:256246 CAPLUS <<LOGINID::20070424>>
DN 136:294836
TI Preparation of morpholinylmethylureas for the treatment of inflammatory diseases.
IN Ancliff, Rachael Anne; Cook, Caroline Mary; Eldred, Colin David; Gore, Paul Martin; Harrison, Lee Andrew; Hodgson, Simon Teanby; Judd, Duncan Bruce; Keeling, Suzanne Elaine; Lewell, Xiao Qing; Robertson, Graeme Michael; Swanson, Stephen
PA Glaxo Group Limited, UK
SO PCT Int. Appl., 86 pp.
CODEN: PIXXD2
DT Patent
LA English
FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 2002026723	A1	20020404	WO 2001-GB4350	20010928
	W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW				
	RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
	CA 2423305	A1	20020404	CA 2001-2423305	20010928
	AU 200190146	A	20020408	AU 2001-90146	20010928
	BR 2001014321	A	20030701	BR 2001-14321	20010928
	EP 1324991	A1	20030709	EP 2001-970027	20010928
	EP 1324991	B1	20061115		
	R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR				
	HU 200303107	A2	20040301	HU 2003-3107	20010928
	JP 2004509953	T	20040402	JP 2002-531107	20010928
	NZ 525055	A	20040924	NZ 2001-525055	20010928
	EP 1586567	A1	20051019	EP 2005-76503	20010928
	R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR				
	AT 345331	T	20061215	AT 2001-970027	20010928
	ZA 2003002461	A	20040628	ZA 2003-2461	20030327
	NO 2003001443	A	20030526	NO 2003-1443	20030328
	IN 2003KN00419	A	20050311	IN 2003-KN419	20030408
	US 2004058907	A1	20040325	US 2003-381871	20030721
	US 7157457	B2	20070102		
PRAI	GB 2000-23973	A	20000929		
	GB 2001-7643	A	20010327		
	EP 2001-970027	A3	20010928		
	WO 2001-GB4350	W	20010928		

Patent 7,101,882

OS MARPAT 136:294836
GI



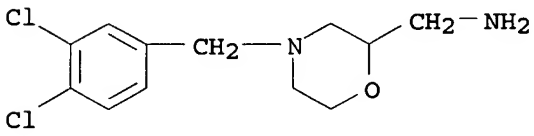
I

AB Title compds. [I; R1 = alkyl, alkenyl, aryl, heteroaryl, (substituted) cycloalkyl, alkynyl, etc.; R2 = H, alkyl, hydroxyalkyl; R3 = H, alkyl; R1R2N = heterocyclyl; R4, R5 = H, alkyl; R6 = alkyl, alkenyl, aryl, heteroaryl, aralkenyl, cyano, etc.; X = ethylene, CR6R7; R6, R7 = H, alkyl; R6R7C = cycloalkyl; Z = bond, CO, SO2, etc.; Q = (CH2)a; Q1 = (CH2)b; a, b = 1, 2; a+b = 2, 3], were prepared Thus, [4-(3,4-dichlorobenzyl)morpholin-2-yl]methylamine (preparation given) in CH2Cl2 was treated with PhCH2NCO and after 18 h with tris(2-aminoethyl)amine polystyrene; the mixture was stirred a further 72 h to give N-benzyl-N'-[[4-(3,4-dichlorobenzyl)morpholin-2-yl]methyl]urea. Tested I bound to CCR-3 receptors with pIC50 = 4.98-7.96.

IT 407640-03-3P 407640-04-4P 407640-07-7P
407640-11-3P 407640-12-4P 407640-13-5P
407640-25-9P 408304-85-8P 408304-93-8P
408304-99-4P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation of morpholinylmethylureas for the treatment of inflammatory diseases)

RN 407640-03-3 CAPLUS

CN 2-Morpholinemethanamine, 4-[(3,4-dichlorophenyl)methyl]- (9CI) (CA INDEX NAME)

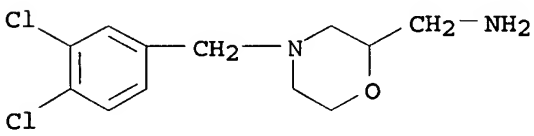


RN 407640-04-4 CAPLUS

CN 2-Morpholinemethanamine, 4-[(3,4-dichlorophenyl)methyl]-, mono(4-methylbenzenesulfonate) (9CI) (CA INDEX NAME)

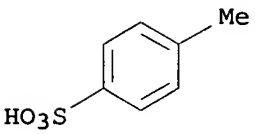
CM 1

CRN 407640-03-3
CMF C12 H16 Cl2 N2 O



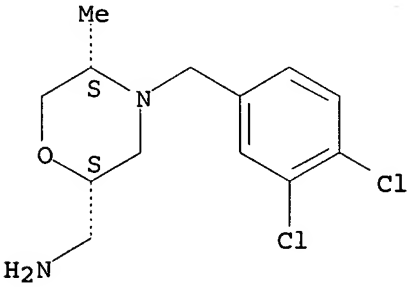
CM 2

CRN 104-15-4
CMF C7 H8 O3 S



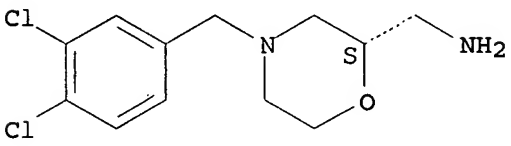
RN 407640-07-7 CAPLUS
CN 2-Morpholinemethanamine, 4-[(3,4-dichlorophenyl)methyl]-5-methyl-, (2R,5R)-rel- (9CI) (CA INDEX NAME)

Relative stereochemistry.



RN 407640-11-3 CAPLUS
CN 2-Morpholinemethanamine, 4-[(3,4-dichlorophenyl)methyl]-, (2S)- (CA INDEX NAME)

Absolute stereochemistry.

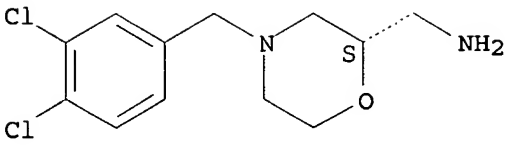


RN 407640-12-4 CAPLUS
CN 2-Morpholinemethanamine, 4-[(3,4-dichlorophenyl)methyl]-, (2S)-, (2S,3S)-2,3-dihydroxybutanedioate (1:1) (9CI) (CA INDEX NAME)

CM 1

CRN 407640-11-3
CMF C12 H16 Cl2 N2 O

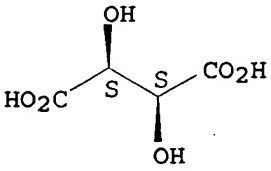
Absolute stereochemistry.



CM 2

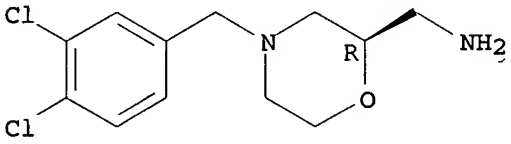
CRN 147-71-7
CMF C4 H6 O6

Absolute stereochemistry.



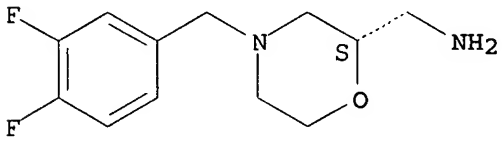
RN 407640-13-5 CAPLUS
CN 2-Morpholinemethanamine, 4-[(3,4-dichlorophenyl)methyl]-, (2R)- (CA INDEX NAME)

Absolute stereochemistry.



RN 407640-25-9 CAPLUS
CN 2-Morpholinemethanamine, 4-[(3,4-difluorophenyl)methyl]-, (2S)- (CA INDEX NAME)

Absolute stereochemistry.

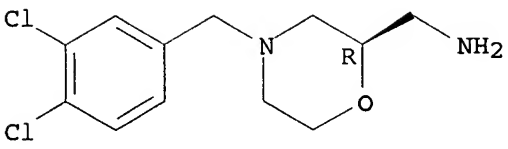


RN 408304-85-8 CAPLUS
CN 2-Morpholinemethanamine, 4-[(3,4-dichlorophenyl)methyl]-, (2R)-, (2R,3R)-2,3-dihydroxybutanedioate (1:1) (9CI) (CA INDEX NAME)

CM 1

CRN 407640-13-5
CMF C12 H16 Cl2 N2 O

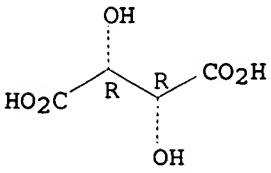
Absolute stereochemistry.



CM 2

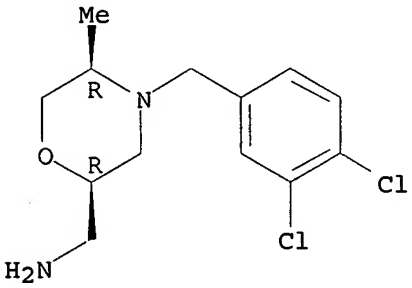
CRN 87-69-4
CMF C4 H6 O6

Absolute stereochemistry.



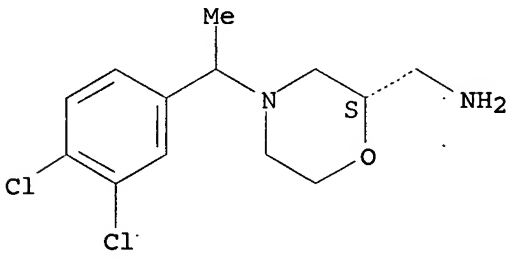
RN 408304-93-8 CAPLUS
CN 2-Morpholinemethanamine, 4-[(3,4-dichlorophenyl)methyl]-5-methyl-, (2R,5R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 408304-99-4 CAPLUS
CN 2-Morpholinemethanamine, 4-[1-(3,4-dichlorophenyl)ethyl]-, dihydrochloride, (2S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



●2 HCl

RE.CNT 10 THERE ARE 10 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L60 ANSWER 18 OF 18 CAPLUS COPYRIGHT 2007 ACS on STN
AN 2002:256245 CAPLUS <<LOGINID::20070424>>
DN 136:294835
TI Preparation of morpholinylacetamides for the treatment of inflammatory diseases.
IN Ancliff, Rachael Anne; Cook, Caroline Mary; Eldred, Colin David; Gore, Paul Martin; Harrison, Lee Andrew; Hodgson, Simon Teanby; Judd, Duncan Bruce; Keeling, Suzanne Elaine; Lewell, Xiao Qing; Robertson, Graeme Michael; Swanson, Stephen
PA Glaxo Group Limited, UK
SO PCT Int. Appl., 94 pp.
CODEN: PIXXD2
DT Patent
LA English
FAN.CNT 2

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 2002026722	A1	20020404	WO 2001-GB4345	20010928
	W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW				
	RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
	CA 2423251	A1	20020404	CA 2001-2423251	20010928
	AU 200190143	A	20020408	AU 2001-90143	20010928
	BR 2001014323	A	20030701	BR 2001-14323	20010928
	EP 1324990	A1	20030709	EP 2001-970023	20010928
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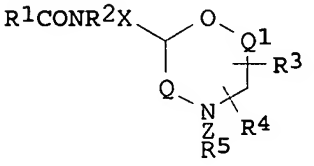
	HU 200302302	A2	20031229	HU 2003-2302	20010928
	JP 2004509952	T	20040402	JP 2002-531106	20010928
	NZ 525056	A	20041126	NZ 2001-525056	20010928
	CN 1678594	A	20051005	CN 2001-819636	20010928
	ZA 2003002411	A	20040705	ZA 2003-2411	20030327
	NO 2003001442	A	20030526	NO 2003-1442	20030328
	IN 2003KN00416	A	20050311	IN 2003-KN416	20030408
	US 2004058923	A1	20040325	US 2003-381767	20030815
	US 7101882	B2	20060905		
	US 2006079525	A1	20060413	US 2005-284544	20051122
PRAI	GB 2000-23902	A	20000929		
	GB 2001-7644	A	20010327		
	WO 2001-GB4345	W	20010928		
	US 2003-381767	A3	20030815		

divisional

OS MARPAT 136:294835
GI



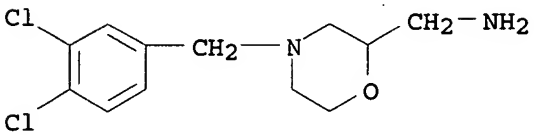
I

AB Title compds. [I; R1 = alkyl, alkenyl, alkynyl, aryl, heteroaryl, etc.; R2 = H, alkyl; X = ethylene, CReRf; Re, Rf = H, alkyl; ReRfC = cycloalkyl; R3, R4 = H, alkyl; Z = bond, CO, SO2, CR9R6(CH2)n, CHR6(CH2)nO, CHR6(CH2)nS, CHR6(CH2)nOCO, CHR6(CH2)nCO, COCHR6(CH2)n, SO2CHR6(CH2)n, etc.; R5 = alkyl, alkenyl, aryl, hetaroaryl, etc.; R6 = H, alkyl, alkoxycarbonyl, aminocarbonyl; R9 = H, alkyl; Q = (CH2)p; Q1 = (CH2)q; n = 0-4; p, q = 1, 2; p+q = 2, 3], were prepared Thus, [4-(3,4-dichlorobenzyl)morpholin-2-yl]methylamine (preparation given) and PhCH2CO2H in N-methylpyrrolidone were microwaved at 600W for 4 min. to give N-[[4-(3,4-dichlorobenzyl)morpholin-2-yl]methyl]-2-phenylacetamide. Tested I bound to CCR-3 with pIC50 = 6.08-8.17.

IT 407640-03-3P 407640-04-4P 407640-05-5P
407640-07-7P 407640-09-9P 407640-11-3P
407640-12-4P 407640-13-5P 407640-25-9P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation of morpholinylacetamides for the treatment of inflammatory diseases)

RN 407640-03-3 CAPLUS

CN 2-Morpholinemethanamine, 4-[(3,4-dichlorophenyl)methyl]- (9CI) (CA INDEX NAME)

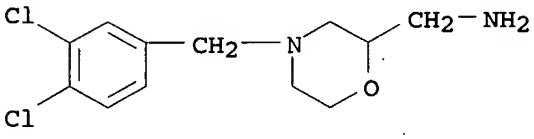


RN 407640-04-4 CAPLUS

CN 2-Morpholinemethanamine, 4-[(3,4-dichlorophenyl)methyl]-, mono(4-methylbenzenesulfonate) (9CI) (CA INDEX NAME)

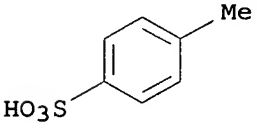
CM 1

CRN 407640-03-3
CMF C12 H16 Cl2 N2 O

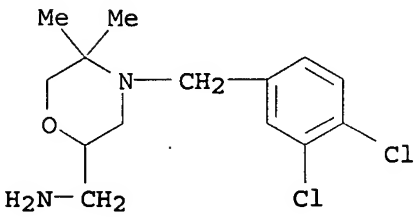


CM 2

CRN 104-15-4
CMF C7 H8 O3 S

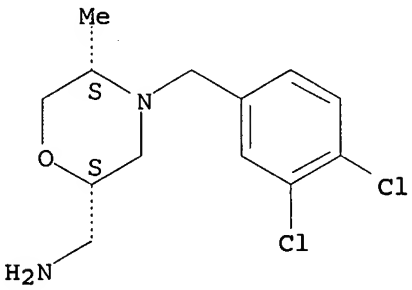


RN 407640-05-5 CAPLUS
CN 2-Morpholinemethanamine, 4-[(3,4-dichlorophenyl)methyl]-5,5-dimethyl- (9CI) (CA INDEX NAME)

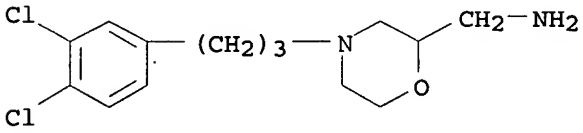


RN 407640-07-7 CAPLUS
CN 2-Morpholinemethanamine, 4-[(3,4-dichlorophenyl)methyl]-5-methyl-, (2R,5R)-rel- (9CI) (CA INDEX NAME)

Relative stereochemistry.

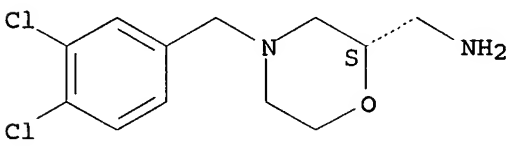


RN 407640-09-9 CAPLUS
CN 2-Morpholinemethanamine, 4-[3-(3,4-dichlorophenyl)propyl]- (9CI) (CA INDEX NAME)



RN 407640-11-3 CAPLUS
CN 2-Morpholinemethanamine, 4-[(3,4-dichlorophenyl)methyl]-, (2S)- (CA INDEX NAME)

Absolute stereochemistry.



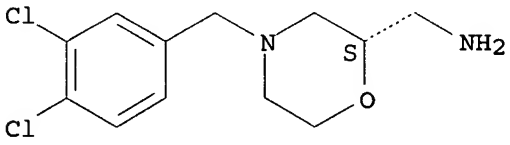
RN 407640-12-4 CAPLUS

CN 2-Morpholinemethanamine, 4-[(3,4-dichlorophenyl)methyl]-, (2S)-, (2S,3S)-2,3-dihydroxybutanedioate (1:1) (9CI) (CA INDEX NAME)

CM 1

CRN 407640-11-3
CMF C12 H16 Cl2 N2 O

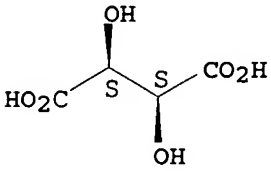
Absolute stereochemistry.



CM 2

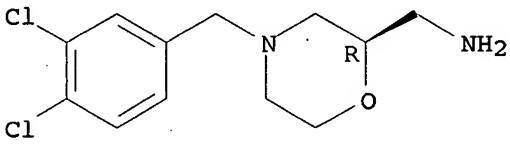
CRN 147-71-7
CMF C4 H6 O6

Absolute stereochemistry.



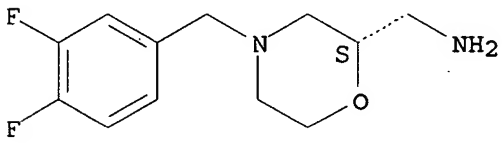
RN 407640-13-5 CAPLUS
CN 2-Morpholinemethanamine, 4-[(3,4-dichlorophenyl)methyl]-, (2R)- (CA INDEX NAME)

Absolute stereochemistry.



RN 407640-25-9 CAPLUS
CN 2-Morpholinemethanamine, 4-[(3,4-difluorophenyl)methyl]-, (2S)- (CA INDEX NAME)

Absolute stereochemistry.



RE.CNT 6 THERE ARE 6 CITED REFERENCES AVAILABLE FOR THIS RECORD

L33 ANSWER 1 OF 42 CAPLUS COPYRIGHT 2007 ACS on STN
AN 2006:1044021 CAPLUS <<LOGINID::20070424>>
DN 146:7898
TI A Mitsunobu diol cyclisation to chiral morpholines and dioxanes
AU Wilkinson, Mark C.; Bell, Rebecca; Landon, Robert; Nikiforov, Petar O.; Walker, Andrew J.
CS GlaxoSmithKline, Chemical Development, Medicines Research Centre, Stevenage, SG1 2NY, UK
SO Synlett (2006), (13), 2151-2153

not sure if motivation

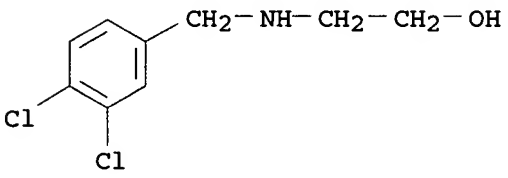
L33 ANSWER 2 OF 42 CAPLUS COPYRIGHT 2007 ACS on STN
AN 2006:542524 CAPLUS <<LOGINID::20070424>>
DN 145:46088
TI Substituted piperazines as CB1 antagonists and their preparation, pharmaceutical compositions, and their use for treatment of metabolic disorders
IN Gilbert, Eric J.; Miller, Michael W.; Scott, Jack D.; Stamford, Andrew W.; Greenlee, William J.; Weinstein, Jay
PA Schering Corp., USA
SO PCT Int. Appl., 383 pp.
CODEN: PIXXD2
DT Patent
LA English
FAN.CNT 1

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI WO 2006060461	A1	20060608	WO 2005-US43281	20051201
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KN, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, LY, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SM, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
US 2006241121	A1	20061026	US 2005-292264	20051201
PRAI US 2004-633106P	P	20041203		

OS MARPAT 145:46088
GI

L33 ANSWER 3 OF 42 CAPLUS COPYRIGHT 2007 ACS on STN
AN 2006:358343 CAPLUS <<LOGINID::20070424>>
Correction of: 2005:481373
DN 145:123922
Correction of: 143:26022
TI Acyclic and cyclic carbamic acids and esters, and their sulfur, selenium, tellurium, and phosphorus analogues'
AU Rossi, L.
CS Dipartimento di Chimica, Ingegneria Chimica e Materiali, Universita degli Studi, Moneluco di Roio/L'Aquila, I-67040, Italy
SO Science of Synthesis (2005), 18, 461-648
CODEN: SSCYJ9
PB Georg Thieme Verlag
DT Journal; General Review
LA English
AB A review of the preparation and synthetic applications of acyclic and cyclic carbamic acids and esters, and their sulfur, selenium, tellurium, and

phosphorus analogs.
IT 40172-06-3
RL: RCT (Reactant); RACT (Reactant or reagent)
(preparation and application of carbamates and analogs thereof)
RN 40172-06-3 CAPLUS
CN Ethanol, 2-[[(3,4-dichlorophenyl)methyl]amino]- (CA INDEX NAME)



L33 ANSWER 4 OF 42 CAPLUS COPYRIGHT 2007 ACS on STN
AN 2006:99812 CAPLUS <<LOGINID::20070424>>
DN 144:191974
TI Preparation of 5-substituted-2-(phenylamino)benzamides as MAPK or ERK kinase (MEK) inhibitors
IN Isshiki, Yoshiaki; Kohchi, Yasunori; Mizuguchi, Eisaku; Iikura, Hitoshi; Matsubara, Yasuaki; Tsujii, Shinji; Shimma, Nobuo; Miwa, Masanori; Aida, Satoshi; Kohchi, Masami; Murata, Takeshi; Aso, Kosuke
PA Chugai Seiyaku Kabushiki Kaisha, Japan
SO PCT Int. Appl., 294 pp.
CODEN: PIXXD2
DT Patent
LA Japanese
FAN.CNT 1

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI WO 2006011466	A1	20060202	WO 2005-JP13620	20050726
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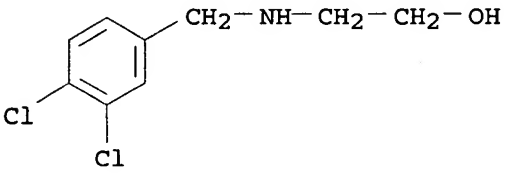
RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
AU 2005265769	A1	20060202	AU 2005-265769	20050726
CA 2575232	A1	20060202	CA 2005-2575232	20050726
PRAI JP 2004-218004	A	20040726		
JP 2005-72093	A	20050314		
WO 2005-JP13620	W	20050726		

OS MARPAT 144:191974
GI

L33 ANSWER 5 OF 42 CAPLUS COPYRIGHT 2007 ACS on STN
AN 2005:510460 CAPLUS <<LOGINID::20070424>>
DN 143:193961
TI Asymmetric synthesis of an aminomethyl morpholine via double allylic substitution
AU Wilkinson, Mark. C.
CS GlaxoSmithKline, Chemical Development Division, Stevenage, SG1 2NY, UK
SO Tetrahedron Letters (2005), 46(28), 4773-4775
CODEN: TELEAY; ISSN: 0040-4039
PB Elsevier B.V.

DT Journal
LA English
OS CASREACT 143:193961
AB The development of an asym. route to an aminomethyl morpholine intermediate via palladium-catalyzed allylic substitution is described.
IT 40172-06-3
RL: RCT (Reactant); RACT (Reactant or reagent)
(asym. preparation of aminomethyl morpholine intermediate by allylic substitution)
RN 40172-06-3 CAPLUS
CN Ethanol, 2-[[(3,4-dichlorophenyl)methyl]amino]- (CA INDEX NAME)



RE.CNT 9 THERE ARE 9 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L33 ANSWER 6 OF 42 CAPLUS COPYRIGHT 2007 ACS on STN
AN 2004:339479 CAPLUS <<LOGINID::20070424>>
DN 141:71528
TI Naphtho[2,1-b][1,5]- and [1,2-f][1,4]oxazocines as selective NK1 antagonists
AU Ohnmacht, Cyrus J.; Albert, Jeffrey S.; Bernstein, Peter R.; Rumsey, William L.; Masek, Brian B.; Dembofsky, Bruce T.; Koether, Gerard M.; Andisik, Donald W.; Aharony, David
CS AstraZeneca Pharmaceuticals LP, Department of Medicinal Chemistry, Wilmington, DE, 19850-5437, USA
SO Bioorganic & Medicinal Chemistry (2004), 12(10), 2653-2669

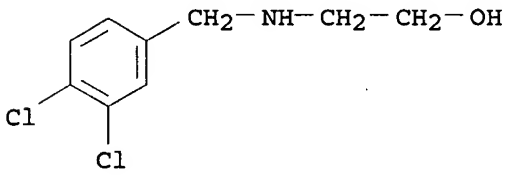
L33 ANSWER 7 OF 42 CAPLUS COPYRIGHT 2007 ACS on STN
AN 2003:931345 CAPLUS <<LOGINID::20070424>>
DN 140:5056
TI Preparation of aminoalkyloxaazacycloalkanes and -thiaazacycloalkanes as CCR-3 receptor antagonists
IN Dowle, Michael Dennis; Gore, Paul Martin; Hodgson, Simon Teanby; Johnson, Martin Redpath; Judd, Duncan Bruce; Redfern, Tracy Jane; Robinson, John Edward; Swanson, Stephen; Trivedi, Naimisha
PA Glaxo Group Limited, UK
SO PCT Int. Appl., 84 pp.
CODEN: PIXXD2
DT Patent
LA English
FAN.CNT 1

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI WO 2003097618	A1	20031127	WO 2003-EP5446	20030520

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NI, NO, NZ, OM, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR,

BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG
AU 2003240705 A1 20031202 AU 2003-240705 20030520
PRAI GB 2002-11759 A 20020522
WO 2003-EP5446 W 20030520
OS MARPAT 140:5056
GI

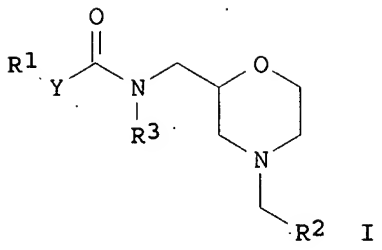
CCR-3 receptor antagonists)
RN 40172-06-3 CAPLUS
CN Ethanol, 2-[[(3,4-dichlorophenyl)methyl]amino]- (CA INDEX NAME)



38 ANSWER 8 OF 42 CAPLUS COPYRIGHT 2007 ACS on STN
AN 2003:796699 CAPLUS <<LOGINID::20070424>>
DN 139:307779
TI Preparation of N-(morpholin-2-yl)methylacetamides as CCR-3 antagonists useful in the treatment of inflammatory diseases
IN Ancliff, Rachael Ann; Cook, Caroline Mary; Eldred, Colin David; Gore, Paul Martin; Harrison, Lee Andrew; Hayes, Martin Alistair; Hodgson, Simon Teanby; Judd, Duncan Bruce; Keeling, Suzanne Elaine; Lewell, Xiao Qing; Mills, Gail; Robertson, Graeme Michael; Swanson, Stephen; Walker, Andrew John; Wilkinson, Mark
PA Glaxo Group Limited, UK
SO PCT Int. Appl., 50 pp.
CODEN: PIXXD2
DT Patent
LA English
FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 2003082863	A1	20031009	WO 2003-EP3350	20030327
	W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
	RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
	AU 2003215677	A1	20031013	AU 2003-215677	20030327
	EP 1495020	A1	20050112	EP 2003-745300	20030327
	R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, SK				
	JP 2005529094	T	20050929	JP 2003-580328	20030327
PRAI	GB 2002-7445	A	20020328		
	WO 2003-EP3350	W	20030327		

OS MARPAT 139:307779
GI



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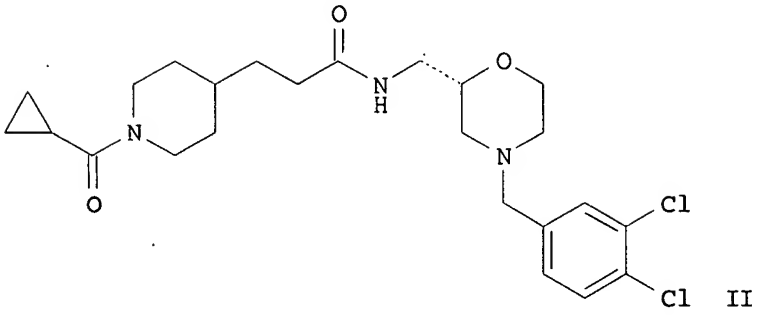
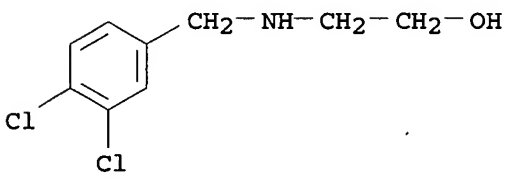


II

RN 40172-06-3 CAPLUS
CN Ethanol, 2-[[(3,4-dichlorophenyl)methyl]amino]- (CA INDEX NAME)

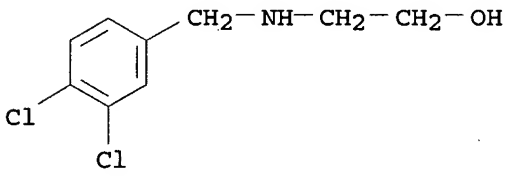


L33 ANSWER 9 OF 42 CAPLUS COPYRIGHT 2007 ACS on STN
AN 2003:796698 CAPLUS <<LOGINID::20070424>>
DN 139:307778
TI Preparation of 2-acylaminomethyl-4-benzylmorpholines as CCR3 antagonists useful as antiinflammatories.
IN Ancliff, Rachael Ann; Cook, Caroline Mary; Eldred, Colin David; Gore, Paul Martin; Harrison, Lee Andrew; Hayes, Martin Alistair; Hodgson, Simon Teanby; Judd, Duncan Bruce; Keeling, Suzanne Elaine; Lewell, Xiao Qing; Mills, Gail; Robertson, Graeme Michael; Swanson, Stephen; Walker, Andrew John; Wilkinson, Mark
PA Glaxo Group Limited, UK
SO PCT Int. Appl., 96 pp.
CODEN: PIXXD2
DT Patent
LA English
FAN.CNT 1

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI WO 2003082862	A1	20031009	WO 2003-EP3347	20030327

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR,

BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG
AU 2003216907 A1 20031013 AU 2003-216907 20030327
EP 1487827 A1 20041222 EP 2003-712119 20030327
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, SK
JP 2005527564 T 20050915 JP 2003-580327 20030327
PRAI GB 2002-8158 A 20020328
WO 2003-EP3347 W 20030327
OS MARPAT 139:307778
GI
RN 40172-06-3 CAPLUS
CN Ethanol, 2-[[(3,4-dichlorophenyl)methyl]amino]- (CA INDEX NAME)



(33 ANSWER 10 OF 42 CAPLUS COPYRIGHT 2007 ACS on STN
AN 2003:796697 CAPLUS <<LOGINID::20070424>>
DN 139:307777
TI Preparation of morpholinylmethylureas as CCR-3 antagonists
IN Ancliff, Rachael Ann; Cook, Caroline Mary; Eldred, Colin David; Gore, Paul Martin; Harrison, Lee Andrew; Hayes, Martin Alistair; Hodgson, Simon Teanby; Judd, Duncan Bruce; Keeling, Suzanne Elaine; Lewell, Xiao Qing; Mills, Gail; Robertson, Graeme Michael; Swanson, Stephen; Walker, Andrew John; Wilkinson, Mark; et al.
PA Glaxo Group Limited, UK
SO PCT Int. Appl., 111 pp.
CODEN: PIXXD2
DT Patent
LA English
FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 2003082861	A2	20031009	WO 2003-EP3335	20030327
	WO 2003082861	A3	20040311		
	W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
	RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
	CA 2480106	A1	20031009	CA 2003-2480106	20030327
	AU 2003226757	A1	20031013	AU 2003-226757	20030327
	EP 1487828	A2	20041222	EP 2003-745294	20030327
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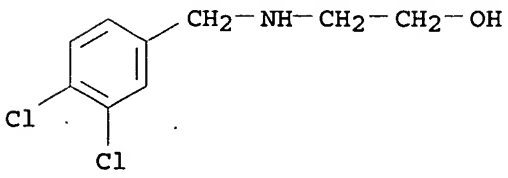
	BR 2003008780	A	20041228	BR 2003-8780	20030327
	CN 1656092	A	20050817	CN 2003-811550	20030327
	JP 2005526815	T	20050908	JP 2003-580326	20030327
	IN 2004KN01402	A	20060512	IN 2004-KN1402	20040922
	NO 2004004448	A	20041026	NO 2004-4448	20041019
	US 2006063765	A1	20060323	US 2005-509162	20050610
PRAI	GB 2002-7434	A	20020328		

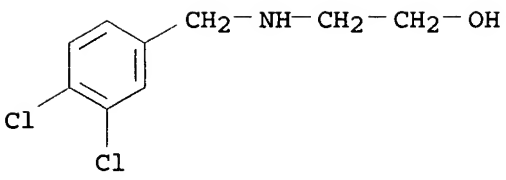
GB 2003-1608 A 20030124
WO 2003-EP3335 W 20030327
OS MARPAT 139:307777
GI
RN 40172-06-3 CAPLUS
CN Ethanol, 2-[[(3,4-dichlorophenyl)methyl]amino]- (CA INDEX NAME)



L33 ANSWER 14 OF 42 CAPLUS COPYRIGHT 2007 ACS on STN
AN 2003:796674 CAPLUS <<LOGINID::20070424>>
DN 139:307774
TI Process for the preparation of aminoalkylmorpholines from hydroxyalkylamines and aminoalkylepoxides.
IN Hayes, Martin Alistair; Mills, Gail; Swanson, Stephen; Walker, Andrew John; Wilkinson, Mark
PA Glaxo Group Limited, UK
SO PCT Int. Appl., 35 pp.
CODEN: PIXXD2
DT Patent
LA English
FAN.CNT 2

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI WO 2003082835	A1	20031009	WO 2003-EP3343	20030327
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
CA 2479819	A1	20031009	CA 2003-2479819	20030327
AU 2003226760	A1	20031013	AU 2003-226760	20030327
EP 1487809	A1	20041222	EP 2003-745297	20030327
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, SK				
BR 2003008720	A	20050104	BR 2003-8720	20030327
CN 1642928	A	20050720	CN 2003-807386	20030327
JP 2005532281	T	20051027	JP 2003-580303	20030327
IN 2004KN01175	A	20060512	IN 2004-KN1175	20040813
ZA 2004006548	A	20050919	ZA 2004-6548	20040817
NO 2004004036	A	20040929	NO 2004-4036	20040924
US 2005222147	A1	20051006	US 2005-509519	20050502
PRAI GB 2002-7450	A	20020328		
GB 2000-23902	A	20000929		
GB 2001-7644	A	20010327		
WO 2003-EP3343	W	20030327		

OS MARPAT 139:307774
GI
RN 40172-06-3 CAPLUS
CN Ethanol, 2-[[(3,4-dichlorophenyl)methyl]amino]- (CA INDEX NAME)

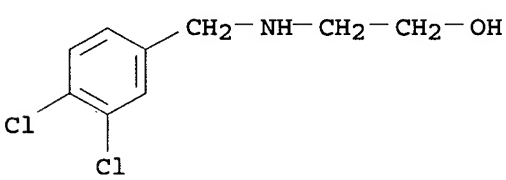


L33 ANSWER 12 OF 42 CAPLUS COPYRIGHT 2007 ACS on STN
AN 2003:796673 CAPLUS <<LOGINID::20070424>>
DN 139:292256
TI Preparation of 3-[[[[[[(2S)-4-(3,4-dichlorobenzyl)morpholin-2-yl]methyl]amino]carbonyl]amino]methyl]-N-ethylbenzamide as a chemokine CCR-3 antagonist.
IN Ancliff, Rachael Ann; Cook, Caroline Mary; Eldred, Colin David; Gore, Paul Martin; Harrison, Lee Andrew; Hayes, Martin Alistair; Hodgson, Simon Teanby; Judd, Duncan Bruce; Keeling, Suzanne Elaine; Lewell, Xiao Qing; Mills, Gail; Robertson, Graeme Michael; Swanson, Stephen; Walker, Andrew John; Wilkinson, Mark
PA Glaxo Group Limited, UK
SO PCT Int. Appl., 25 pp.
CODEN: PIXXD2
DT Patent
LA English
FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 2003082834	A2	20031009	WO 2003-EP3338	20030327
	WO 2003082834	A3	20040325		
	W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
	RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
	AU 2003226758	A1	20031013	AU 2003-226758	20030327
	EP 1490345	A2	20041229	EP 2003-745295	20030327
	R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, SK				
	JP 2006504626	T	20060209	JP 2003-580302	20030327
PRAI	GB 2002-7447	A	20020328		
	WO 2003-EP3338	W	20030327		

OS MARPAT 139:292256
GI

40172-06-3 CAPLUS
CN Ethanol, 2-[[(3,4-dichlorophenyl)methyl]amino]- (CA INDEX NAME)



L33 ANSWER 13 OF 42 CAPLUS COPYRIGHT 2007 ACS on STN
AN 2003:796497 CAPLUS <<LOGINID::20070424>>

DN 139:307773
TI Preparation of heterocyclylalkylureidomethylmorpholines as chemokine CCR-3 antagonists for the treatment of inflammatory conditions
IN Ancliff, Rachael Ann; Cook, Caroline Mary; Eldred, Colin David; Gore, Paul Martin; Harrison, Lee Andrew; Hayes, Martin Alistair; Hodgson, Simon Teanby; Judd, Duncan Bruce; Keeling, Suzanne Elaine; Lewell, Xiao Qing; Mills, Gail; Robertson, Graeme Michael; Swanson, Stephen; Walker, Andrew John; Wilkinson, Mark
PA Glaxo Group Limited, UK; et al.
SO PCT Int. Appl., 39 pp.
CODEN: PIXXD2
DT Patent
LA English
FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 2003082295	A1	20031009	WO 2003-EP3349	20030327
	W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
	RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
	AU 2003226763	A1	20031013	AU 2003-226763	20030327
	EP 1487454	A1	20041222	EP 2003-745197	20030327
	R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, SK				
	JP 2005527557	T	20050915	JP 2003-579832	20030327
PRAI	GB 2002-7439	A	20020328		
	WO 2003-EP3349	W	20030327		

OS MARPAT 139:307773
GI

antagonists for the treatment of inflammatory conditions)

RN 40172-06-3 CAPLUS
CN Ethanol, 2-[[(3,4-dichlorophenyl)methyl]amino]- (CA INDEX NAME)

(33 ANSWER 14 OF 42 CAPLUS COPYRIGHT 2007 ACS on STN
AN 2003:796496 CAPLUS <<LOGINID::20070424>>
DN 139:307772
TI Preparation of acylaminomethylmorpholines for use as CCR-3 antagonists for the treatment of inflammatory diseases
IN Ancliff, Rachael Ann; Cook, Caroline Mary; Eldred, Colin David; Gore, Paul Martin; Harrison, Lee Andrew; Hayes, Martin Alistair; Hodgson, Simon Teanby; Judd, Duncan Bruce; Keeling, Suzanne Elaine; Lewell, Xiao Qing; Mills, Gail; Robertson, Graeme Michael; Swanson, Stephen; Walker, Andrew John; Wilkinson, Mark
PA Glaxo Group Limited, UK
SO PCT Int. Appl., 93 pp.
CODEN: PIXXD2
DT Patent
LA English
FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 2003082294	A1	20031009	WO 2003-EP3348	20030327
	W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
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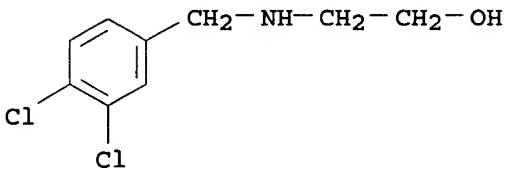
	AU 2003226762	A1	20031013	AU 2003-226762	20030327
	EP 1492537	A1	20050105	EP 2003-745299	20030327
	R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, SK				
	JP 2006504625	T	20060209	JP 2003-579831	20030327
PRAI	GB 2002-7443	A	20020328		
	WO 2003-EP3348	W	20030327		

OS MARPAT 139:307772
GI

the treatment of inflammatory diseases)
RN 40172-06-3 CAPLUS
CN Ethanol, 2-[[(3,4-dichlorophenyl)methyl]amino]- (CA INDEX NAME)



(33 ANSWER 15 OF 42 CAPLUS COPYRIGHT 2007 ACS on STN
AN 2003:796495 CAPLUS <<LOGINID::20070424>>
DN 139:307771
TI Preparation of 4-[[[[[[(2S)-4-(3,4-dichlorobenzyl)morpholin-2-yl]methyl]amino]carbonyl]amino]methyl]benzamide benzenesulfonate dihydrate and related solvates as a CCR-3 antagonists for the treatment of inflammatory conditions
IN Cook, John Spencer; Landon, Robert Philip; Walker, Andrew John; Wilkinson, Mark
PA Glaxo Group Limited, UK
SO PCT Int. Appl., 36 pp.
CODEN: PIXXD2

DT Patent
LA English
FAN.CNT 1

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI WO 2003082293	A1	20031009	WO 2003-EP3345	20030327
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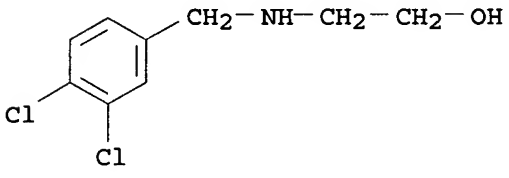
CA 2479912	A1	20031009	CA 2003-2479912	20030327
AU 2003226761	A1	20031013	AU 2003-226761	20030327
EP 1487456	A1	20041222	EP 2003-745298	20030327
EP 1487456	B1	20060215		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, SK				
BR 2003008480	A	20050118	BR 2003-8480	20030327
CN 1642554	A	20050720	CN 2003-807364	20030327
JP 2005526808	T	20050908	JP 2003-579830	20030327
AT 317698	T	20060315	AT 2003-745298	20030327
ES 2258724	T3	20060901	ES 2003-3745298	20030327
ZA 2004007463	A	20051012	ZA 2004-7463	20040916
NO 2004004323	A	20041027	NO 2004-4323	20041012
US 2006089497	A1	20060427	US 2005-509521	20050610
PRAI GB 2002-7432	A	20020328		
WO 2003-EP3345	W	20030327		

OS MARPAT 139:307771

AB 4-[[[[[[(2S)-4-(3,4-dichlorobenzyl)morpholin-2-yl]methyl]amino]carbonyl]amino]methyl]benzamide (I) benzenesulfonate.(ROH)n (R = H, alkyl; n = 0.8-2.2), were prepared Thus, I (preparation given) in EtOH/H2O at 40° was treated sequentially with aqueous PhSO3H, H2O, iso-Pr acetate, and EtOH followed by cooling to 0°, dilution with cyclohexane, and seeding with authentic product to give I benzenesulfonate dihydrate. This showed a pIC50 value of >5 in a CCR3 binding assay and inhibited CCR3 eosinophil chemotaxis with a fpKi value of >5.

IT 40172-06-3
RL: RCT (Reactant); RACT (Reactant or reagent)
(preparation of dichlorobenzylmorpholinylmethylaminocarbonylaminomethylbenzamide benzenesulfonate dihydrate and related solvates as CCR-3 antagonists for the treatment of inflammatory conditions)

RN 40172-06-3 CAPLUS
CN Ethanol, 2-[[(3,4-dichlorophenyl)methyl]amino]- (CA INDEX NAME)



L33 ANSWER 16 OF 42 CAPLUS COPYRIGHT 2007 ACS on STN
AN 2003:796494 CAPLUS <<LOGINID::20070424>>
DN 139:307770

TI Preparation of aralkylureidomorpholines as CCR-3 antagonists for the treatment of inflammatory conditions

IN Ancliff, Rachael Ann; Cook, Caroline Mary; Eldred, Colin David; Gore, Paul Martin; Harrison, Lee Andrew; Hayes, Martin Alistair; Hodgson, Simon Teanby; Judd, Duncan Bruce; Keeling, Suzanne Elaine; Lewell, Xiao Qing; Mills, Gail; Robertson, Graeme Michael; Swanson, Stephen; Walker, Andrew John; Wilkinson, Mark

PA Glaxo Group Limited, UK

SO PCT Int. Appl., 61 pp.
CODEN: PIXXD2

DT Patent

LA English

FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 2003082292	A1	20031009	WO 2003-EP3340	20030327
	W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
	RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
	AU 2003226759	A1	20031013	AU 2003-226759	20030327
	EP 1487455	A1	20041222	EP 2003-745296	20030327
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	JP 2005526807	T	20050908	JP 2003-579829	20030327
PRAI	GB 2002-7436	A	20020328		
	WO 2003-EP3340	W	20030327		

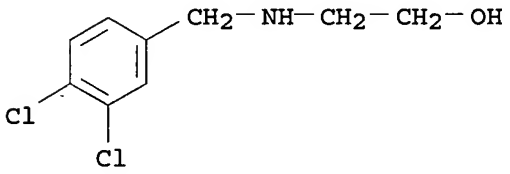
OS MARPAT 139:307770

GI

treatment of inflammatory conditions) ·

RN 40172-06-3 CAPLUS

CN Ethanol, 2-[[(3,4-dichlorophenyl)methyl]amino]- (CA INDEX NAME)



L33 ANSWER 17 OF 42 CAPLUS COPYRIGHT 2007 ACS on STN

AN 2003:796493 CAPLUS <<LOGINID::20070424>>

DN 139:307769

TI Preparation of N-[[(2S)-4-(3,4-difluorobenzyl)morpholin-2-yl]methyl]-2-[3-[(methylsulfonyl)amino]phenylacetamide as a chemokine CCR3 antagonist for the treatment of inflammatory conditions

IN Ancliff, Rachael Ann; Cook, Caroline Mary; Eldred, Colin David; Gore, Paul Martin; Harrison, Lee Andrew; Hayes, Martin Alistair; Hodgson, Simon Teanby; Judd, Duncan Bruce; Keeling, Suzanne Elaine; Lewell, Xiao Qing; Mills, Gail; Robertson, Graeme Michael; Swanson, Stephen; Walker, Andrew John; Wilkinson, Mark

PA Glaxo Group Limited, UK

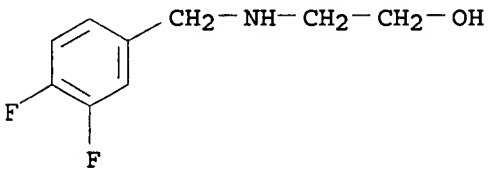
SO PCT Int. Appl., 27 pp.
CODEN: PIXXD2

DT Patent

LA English
FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 2003082291	A1	20031009	WO 2003-EP3339	20030327
	W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
	RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
	CA 2479910	A1	20031009	CA 2003-2479910	20030327
	AU 2003216905	A1	20031013	AU 2003-216905	20030327
	EP 1487453	A1	20041222	EP 2003-712117	20030327
	R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, SK				
	BR 2003008719	A	20050104	BR 2003-8719	20030327
	CN 1642553	A	20050720	CN 2003-806865	20030327
	JP 2005525390	T	20050825	JP 2003-579828	20030327
	IN 2004KN01219	A	20060217	IN 2004-KN1219	20040820
	ZA 2004006990	A	20051108	ZA 2004-6990	20040901
	NO 2004004098	A	20041004	NO 2004-4098	20040927
	US 2006058299	A1	20060316	US 2005-509417	20050512
PRAI	GB 2002-7449	A	20020328		
	WO 2003-EP3339	W	20030327		

OS MARPAT 139:307769
GI
N 610769-18-1 CAPLUS
CN Ethanol, 2-[[(3,4-difluorophenyl)methyl]amino]- (9CI) (CA INDEX NAME)



L33 ANSWER 18 OF 42 CAPLUS COPYRIGHT 2007 ACS on STN
AN 2002:256246 CAPLUS <<LOGINID::20070424>>
DN 136:294836
TI Preparation of morpholinylmethylureas for the treatment of inflammatory diseases.
IN Ancliff, Rachael Anne; Cook, Caroline Mary; Eldred, Colin David; Gore, Paul Martin; Harrison, Lee Andrew; Hodgson, Simon Teanby; Judd, Duncan Bruce; Keeling, Suzanne Elaine; Lewell, Xiao Qing; Robertson, Graeme Michael; Swanson, Stephen
PA Glaxo Group Limited, UK
SO PCT Int. Appl., 86 pp.
CODEN: PIXXD2
DT Patent
LA English
FAN.CNT 1

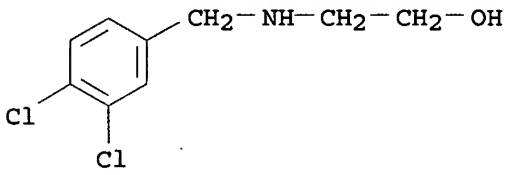
	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 2002026723	A1	20020404	WO 2001-GB4350	20010928
	W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH,				

GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR,
LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PH, PL,
PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG,
US, UZ, VN, YU, ZA, ZW
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY,
DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF,
BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG

	CA 2423305	A1	20020404	CA 2001-2423305	20010928
	AU 200190146	A	20020408	AU 2001-90146	20010928
	BR 2001014321	A	20030701	BR 2001-14321	20010928
	EP 1324991	A1	20030709	EP 2001-970027	20010928
	EP 1324991	B1	20061115		
	R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR				
	HU 200303107	A2	20040301	HU 2003-3107	20010928
	JP 2004509953	T	20040402	JP 2002-531107	20010928
	NZ 525055	A	20040924	NZ 2001-525055	20010928
	EP 1586567	A1	20051019	EP 2005-76503	20010928
	R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR				
	AT 345331	T	20061215	AT 2001-970027	20010928
	ZA 2003002461	A	20040628	ZA 2003-2461	20030327
	NO 2003001443	A	20030526	NO 2003-1443	20030328
	IN 2003KN00419	A	20050311	IN 2003-KN419	20030408
	US 2004058907	A1	20040325	US 2003-381871	20030721
	US 7157457	B2	20070102		
PRAI	GB 2000-23973	A	20000929		
	GB 2001-7643	A	20010327		
	EP 2001-970027	A3	20010928		
	WO 2001-GB4350	W	20010928		

OS MARPAT 136:294836
GI

diseases)
RN 40172-06-3 CAPLUS
CN Ethanol, 2-[[(3,4-dichlorophenyl)methyl]amino]- (CA INDEX NAME)

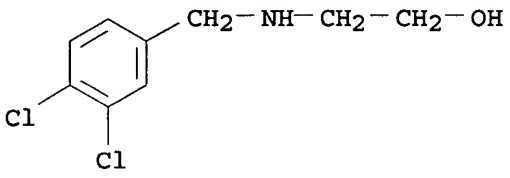


L33 ANSWER 19 OF 42 CAPLUS COPYRIGHT 2007 ACS on STN
AN 2002:256245 CAPLUS <<LOGINID::20070424>>
DN 136:294835
TI Preparation of morpholinylacetamides for the treatment of inflammatory diseases.
IN Ancliff, Rachael Anne; Cook, Caroline Mary; Eldred, Colin David; Gore, Paul Martin; Harrison, Lee Andrew; Hodgson, Simon Teanby; Judd, Duncan Bruce; Keeling, Suzanne Elaine; Lewell, Xiao Qing; Robertson, Graeme Michael; Swanson, Stephen
PA Glaxo Group Limited, UK
SO PCT Int. Appl., 94 pp.
CODEN: PIXXD2
DT Patent
LA English
FAN.CNT 2

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE

PI WO 2002026722 A1 20020404 WO 2001-GB4345 20010928
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG
CA 2423251 A1 20020404 CA 2001-2423251 20010928
AU 200190143 A 20020408 AU 2001-90143 20010928
BR 2001014323 A 20030701 BR 2001-14323 20010928
EP 1324990 A1 20030709 EP 2001-970023 20010928
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR
HU 200302302 A2 20031229 HU 2003-2302 20010928
JP 2004509952 T 20040402 JP 2002-531106 20010928
NZ 525056 A 20041126 NZ 2001-525056 20010928
CN 1678594 A 20051005 CN 2001-819636 20010928
ZA 2003002411 A 20040705 ZA 2003-2411 20030327
NO 2003001442 A 20030526 NO 2003-1442 20030328
IN 2003KN00416 A 20050311 IN 2003-KN416 20030408
US 2004058923 A1 20040325 US 2003-381767 20030815
US 7101882 B2 20060905
US 2006079525 A1 20060413 US 2005-284544 20051122
PRAI GB 2000-23902 A 20000929
GB 2001-7644 A 20010327
WO 2001-GB4345 W 20010928
US 2003-381767 A3 20030815
OS MARPAT 136:294835
GI

N 40172-06-3 CAPLUS
CN Ethanol, 2-[[(3,4-dichlorophenyl)methyl]amino]- (CA INDEX NAME)



L33 ANSWER 20 OF 42 CAPLUS COPYRIGHT 2007 ACS on STN
AN 2001:762979 CAPLUS <<LOGINID::20070424>>
DN 135:303918
TI Preparation of internally cyclized naphthamides as neurokinin antagonists for use as medicaments
IN Albert, Jeffrey Scott; Bernstein, Peter; Ohnmacht, Cyrus, Jr.; Russell, Keith; Shenvi, Ashokkumar Bhikkappa
PA Astrazeneca AB, Swed.
SO PCT Int. Appl., 65 pp.
CODEN: PIXXD2
DT Patent
LA English
FAN.CNT 1

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI WO 2001077089	A1	20011018	WO 2001-SE754	20010405

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EE, ES, FI, GB, GD, GE, GH, GM,

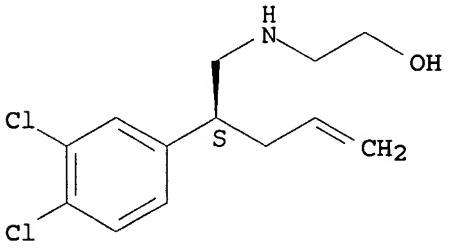
HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS,
LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO,
RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ,
VN, YU, ZA, ZW
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY,
DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF,
BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG

	EP 1276729	A1	20030122	EP 2001-920055	20010405
	R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR				
	JP 2003530388	T	20031014	JP 2001-575563	20010405
	US 2003158170	A1	20030821	US 2002-240852	20021002
	US 6846814	B2	20050125		
	US 2007021406	A1	20070125	US 2005-42752	20050124
PRAI	US 2000-195177P	P	20000406		
	US 2000-195365P	P	20000406		
	GB 2000-8727	A	20000411		
	GB 2000-8728	A	20000411		
	WO 2001-SE754	W	20010405		
	US 2002-240852	A3	20021002		

OS MARPAT 135:303918
GI

RN 367260-25-1 CAPLUS
CN Ethanol, 2-[[(2S)-2-(3,4-dichlorophenyl)-4-pentenyl]amino]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



(3 ANSWER 21 OF 42 CAPLUS COPYRIGHT 2007 ACS on STN
AN 2000:383926 CAPLUS <<LOGINID::20070424>>
DN 133:17490
TI Preparation of [1,4]diazepino[2,1-g][1,7]naphthyridine, [1,4]diazonino[2,1-g][1,7]naphthyridine, 13H-[1,4]diazocino[2,1-g][1,7]naphthyridine, and pyrido[3,2-f][1,4]oxazepine derivatives and related compounds as antiemetics
IN Doi, Takayuki; Yamamoto, Masaki; Fukui, Hideo
PA Takeda Chemical Industries, Ltd., Japan
SO PCT Int. Appl., 284 pp.
CODEN: PIXXD2
DT Patent
LA Japanese
FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 2000032192	A1	20000608	WO 1999-JP6569	19991125
	W: AE, AL, AM, AU, AZ, BA, BB, BG, BR, BY, CA, CN, CR, CU, CZ, DM, EE, GD, GE, HR, HU, ID, IL, IN, IS, JP, KG, KR, KZ, LC, LK, LR, LT, LV, MA, MD, MG, MK, MN, MX, NO, NZ, PL, RO, RU, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, US, UZ, VN, YU, ZA, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
	RW: GH, GM, KE, LS, MW, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE,				

DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG

	CA 2352612	A1	20000608	CA 1999-2352612	19991125
	EP 1145714	A1	20011017	EP 1999-972920	19991125
	R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO				
	JP 2000273042	A	20001003	JP 1999-336187	19991126
PRAI	JP 1998-337438	A	19981127		
	JP 1999-10907	A	19990119		
	WO 1999-JP6569	W	19991125		

OS MARPAT 133:17490

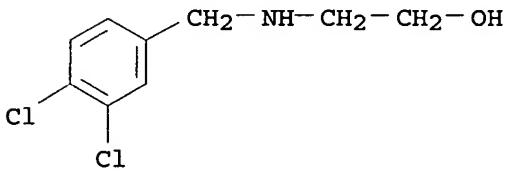
GI For diagram(s), see printed CA Issue.

AB Drugs comprising compds. represented by general formula (I) (wherein the ring M is a heterocycle having, as the partial structure X:Y, N:C, CO-N or CS-N; Ra and Rb are bonded to each other to form the ring A, or Ra and Rb are the same or different and each represents hydrogen or a substituent of the ring M; the rings A and B are each an optionally substituted homocyclic or heterocycle and at least one of them is an optionally substituted heterocycle; the ring C is an optionally substituted homocyclic or heterocycle; the ring Z is an optionally substituted nitrogen-containing heterocycle; and n is an integer of 1 to 6) or salts thereof combined with emetic drugs are claimed. The compds. I or salts thereof are useful as antiemetic agents which, in particular, can rapidly and safely inhibit even at a small dose emesis induced by emetic drugs such as anticancer agents, morphine, and apomorphine. Thus, a mixture of (R)-N-[3,5-bis(trifluoromethyl)benzyl]-7,8-dihydro-7-(4-hydroxy-3-methylbutyl)-5-(4-methylphenyl)-8-oxo-6-pyrido[3,4-b]pyridinecarboxamide (preparation given), Et3N, and MeSO2Cl in THF was stirred at room temperature for 30 min, followed by treatment of the product with NaH in THF at room temperature for 1.5 h to give (9R)-7-[3,5-bis(trifluoromethyl)benzyl]-6,7,8,9,10,11-hexahydro-9-methyl-5-(4-methylphenyl)-6,13-dioxo-13H-[1,4]diazocino[2,1-g][1,7]naphthyridine (II). II at 1-10 mg/kg p.o. in vivo inhibited cisplatin-induced emesis in male ferret. Pharmaceutical formulations containing I were prepared

IT 40172-06-3
RL: RCT (Reactant); RACT (Reactant or reagent)
(preparation of 13H-[1,4]diazocino[g][1,7]naphthyridine derivs. and related compds. as antiemetics)

RN 40172-06-3 CAPLUS

CN Ethanol, 2-[[(3,4-dichlorophenyl)methyl]amino]- (CA INDEX NAME)



RE.CNT 11 THERE ARE 11 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L33 ANSWER 22 OF 42 CAPLUS COPYRIGHT 2007 ACS on STN

AN 1999:613656 CAPLUS <<LOGINID::20070424>>

DN 131:228734

TI Preparation of diazocinonaphthyridines, diazepinonaphthyridines, and related compounds having tachykinin receptor antagonistic activity for preventing or treating depression, anxiety, manic-depressive illness or

psychopathy.

IN Natsugari, Hideaki; Doi, Takayuki; Ikeura, Yoshinori

PA Takeda Chemical Industries, Ltd., Japan

SO PCT Int. Appl., 207 pp.
CODEN: PIXXD2

DT Patent

LA English

FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 9947132	A2	19990923	WO 1999-JP1358	19990318
	WO 9947132	A3	19991111		
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	RW: GH, GM, KE, LS, MW, SD, SL, SZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
	CA 2321155	A1	19990923	CA 1999-2321155	19990318
	AU 9928532	A	19991011	AU 1999-28532	19990318
	AU 751114	B2	20020808		
	JP 11322748	A	19991124	JP 1999-72954	19990318
	BR 9908895	A	20001205	BR 1999-8895	19990318
	EP 1061926	A2	20001227	EP 1999-909233	19990318
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	HU 200100934	A2	20010928	HU 2001-934	19990318
	EP 1184036	A2	20020306	EP 2001-127194	19990318
	R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, FI				
	NO 2000004144	A	20001010	NO 2000-4144	20000818
	US 2002132817	A1	20020919	US 2002-97791	20020313
PRAI	JP 1998-69999	A	19980319		
	EP 1999-909233	A3	19990318		
	WO 1999-JP1358	W	19990318		
	US 1999-308311	A1	19990518		

OS MARPAT 131:228734

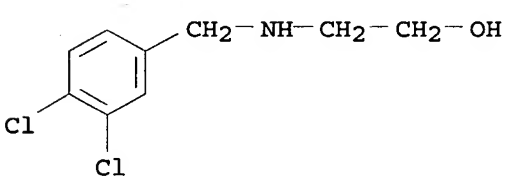
GI

AB Pharmaceutical compns. for preventing or treating depression, anxiety, manic-depression, or psychopathy [I; XY = N:C, CON, CSN; Ra, Rb = H, substituent; RaRb = atoms to form a (substituted) (heterocyclic) ring; B, E = (substituted) homocyclic or heterocyclic ring, Z = (substituted) N-containing heterocyclic ring; n = 1-6; with provisos], are claimed. Thus, (9R)-7-[3,5-bis(trifluoromethyl)benzyl]-6,7,8,9,10,11-hexahydro-9-methyl-5-(4-methylphenyl)-6,13-dioxo-13H-[1,4]-diazocino[2,1-g][1,7]naphthyridine (II) (preparation described) antagonized substance P with IC50 = 0.43 nM. A II tablet formulation is given.

IT 40172-06-3
RL: RCT (Reactant); RACT (Reactant or reagent)
(preparation of diazocinonaphthyridines, diazepinonaphthyridines, and related compds. having tachykinin receptor antagonistic activity)

RN 40172-06-3 CAPLUS

CN Ethanol, 2-[[(3,4-dichlorophenyl)methyl]amino]- (CA INDEX NAME)

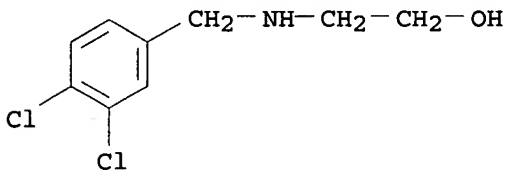


L33 ANSWER 23 OF 42 CAPLUS COPYRIGHT 2007 ACS on STN
AN 1999:518708 CAPLUS <<LOGINID::20070424>>
DN 131:157765
TI Preparation of tetrahydroisoquinolinylphthalazines and pharmaceutical compositions containing them
IN Fujita, Kazushi; Fujiwara, Norio; Kawakami, Hajime
PA Sumitomo Pharmaceuticals Co., Ltd., Japan
SO Jpn. Kokai Tokkyo Koho, 18 pp.
CODEN: JKXXAF
DT Patent
LA Japanese
FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	JP 11222486	A	19990817	JP 1998-37995	19980203
PRAI	JP 1998-37995		19980203		

OS MARPAT 131:157765
GI

RN 40172-06-3 CAPLUS
CN Ethanol, 2-[[(3,4-dichlorophenyl)methyl]amino]- (CA INDEX NAME)



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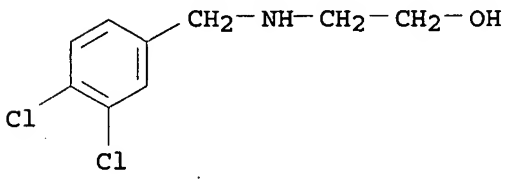
L33 ANSWER 24 OF 42 CAPLUS COPYRIGHT 2007 ACS on STN
AN 1998:427772 CAPLUS <<LOGINID::20070424>>
DN 129:95515
TI Preparation of medium-ring polycyclic heterocycles as tachykinin receptor antagonists
IN Natsugari, Hideaki; Ishimaru, Takenori; Doi, Takayuki; Ikeura, Yoshinori; Kimura, Chiharu; Tarui, Naoki
PA Takeda Chemical Industries, Ltd., Japan
SO U.S., 66 pp., Cont.-in-part of U.S. Ser. No. 621,360.
CODEN: USXXAM
DT Patent
LA English
FAN.CNT 3

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	US 5770590	A	19980623	US 1996-717801	19960923
	JP 09263585	A	19971007	JP 1996-66337	19960322
	JP 2976097	B2	19991110		
	JP 09263587	A	19971007	JP 1997-20386	19960322
	CN 1140172	A	19970115	CN 1996-106081	19960323
	US 5786352	A	19980728	US 1996-621360	19960325
	SG 69968	A1	20000125	SG 1996-6546	19960325
	US 6147071	A	20001114	US 1998-87894	19980601
	US 6489315	B1	20021203	US 2000-644306	20000823
PRAI	JP 1995-91436	A	19950324		
	JP 1995-207553	A	19950720		
	JP 1995-264727	A	19950918		
	JP 1996-30033	A	19960123		
	JP 1996-66337	A	19960322		
	US 1996-621360	A2	19960325		

	JP 1996-214698	A	19960814
	US 1998-87894	A3	19980601

OS MARPAT 129:95515
GI

RN 40172-06-3 CAPLUS
CN Ethanol, 2-[[(3,4-dichlorophenyl)methyl]amino]- (CA INDEX NAME)

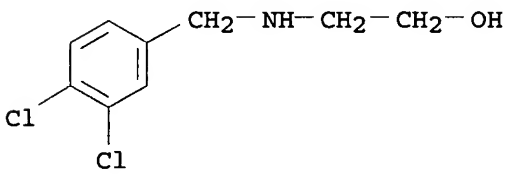


L33 ANSWER 25 OF 42 CAPLUS COPYRIGHT 2007 ACS on STN
AN 1997:44662 CAPLUS <<LOGINID::20070424>>
DN 126:59751
TI Preparation of di- and tricarboxybenzamides and analogs as squalene synthetase and protein farnesyltransferase inhibitors
IN Baker, William R.; Rosenberg, Saul H.; Fung, K. L. Anthony; Rockway, Todd W.; Fakhoury, Stephen A.; Garvey, David S.; Donner, B. Gregory; O'Connor, Stephen J.; Prasad, Rajnandan N.; Shen, Wang; Stout, David M.; Sullivan, Gerard M.
PA Abbott Laboratories, USA
SO PCT Int. Appl., 241 pp.
CODEN: PIXXD2
DT Patent
LA English
FAN.CNT 3

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 9634851	A1	19961107	WO 1996-US6193	19960502
	W: AU, CA, JP, KR, MX				
	RW: AT, BE, CH, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE				
	US 5783593	A	19980721	US 1996-633262	19960429
	AU 9656731	A	19961121	AU 1996-56731	19960502
PRAI	US 1995-429095	A	19950503		
	US 1996-633262	A	19960429		
	US 1993-147708	B2	19931104		
	US 1994-289711	B2	19940909		
	US 1994-322783	B2	19941018		
	WO 1996-US6193	W	19960502		

OS MARPAT 126:59751
GI

RN 40172-06-3 CAPLUS
CN Ethanol, 2-[[(3,4-dichlorophenyl)methyl]amino]- (CA INDEX NAME)

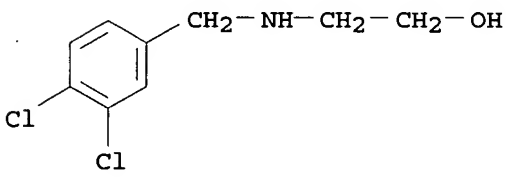


L33 ANSWER 26 OF 42 CAPLUS COPYRIGHT 2007 ACS on STN
AN 1996:728630 CAPLUS <<LOGINID::20070424>>

DN 126:8145
TI Preparation of polycyclic heterocycles as tachykinin receptor antagonists
IN Natsugari, Hideaki; Ishimaru, Takenori; Doi, Takayuki; Ikeura, Yoshinori; Kimura, Chiharu
PA Takeda Chemical Industries, Ltd., Japan
SO Eur. Pat. Appl., 94 pp.
CODEN: EPXXDW
DT Patent
LA English
FAN.CNT 3

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	EP 733632	A1	19960925	EP 1996-104500	19960321
	EP 733632	B1	20030604		
	R: AT, BE, CH, DE, DK, ES, FI, FR, GB, GR, IE, IT, LI, LU, NL, PT, SE				
	NO 9601160	A	19960925	NO 1996-1160	19960321
	NO 309272	B1	20010108		
	TW 394773	B	20000621	TW 1996-85103427	19960321
	AT 242243	T	20030615	AT 1996-104500	19960321
	ES 2194937	T3	20031201	ES 1996-104500	19960321
	CA 2172421	A1	19960925	CA 1996-2172421	19960322
	AU 9648261	A	19961003	AU 1996-48261	19960322
	AU 699611	B2	19981210		
	HU 9600732	A2	19970328	HU 1996-732	19960322
	IN 1996CA00519	A	20050304	IN 1996-CA519	19960322
	CN 1140172	A	19970115	CN 1996-106081	19960323
	IL 117631	A	20001121	IL 1996-117631	19960324
	BR 9601125	A	19980106	BR 1996-1125	19960325
	SG 69968	A1	20000125	SG 1996-6546	19960325
	US 6489315	B1	20021203	US 2000-644306	20000823
PRAI	JP 1995-91436	A	19950324		
	JP 1995-207553	A	19950720		
	JP 1995-264727	A	19950918		
	JP 1996-30033	A	19960123		
	US 1996-621360	A3	19960325		
	US 1998-87894	A3	19980601		

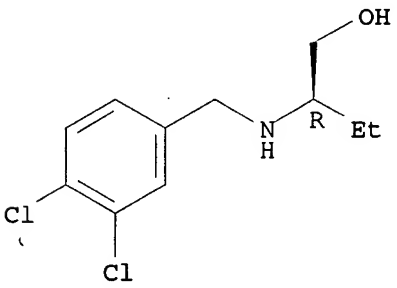
OS MARPAT 126:8145
GI For diagram(s), see printed CA Issue.
AB Title compds. [I; R = (CH2)nR4; R1,R2 = H or a substituent; R1R2 = atoms to complete a (hetero)cyclic ring; ring B = heterocyclic ring; R3,R4 = (hetero)cyclic ring; X-Y = N:C, C(O)N, C(S)N; n = 1-6] were prepared Thus, 4-BrC6H4Me was condensed with 2,3-pyridinedicarboxylic acid and the product amidated by HN(CH2CN)2 to give, after cyclization in 5 addnl. steps, 7-[3,5-bis(trifluoromethyl)benzyl]-6,7,8,9-tetrahydro-5-(4-methylphenyl)-6,11-dioxo-11H-pyrazino[2,1-g][1,7]naphthyridine. Data for in vitro biol. activity of selected I were given.
IT 40172-06-3
RL: RCT (Reactant); RACT (Reactant or reagent)
(preparation of polycyclic heterocycles as tachykinin receptor antagonists)
RN 40172-06-3 CAPLUS



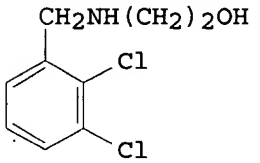
L33 ANSWER 27 OF 42 CAPLUS COPYRIGHT 2007 ACS on STN
AN 1988:68571 CAPLUS <<LOGINID::20070424>>

DN 108:68571
TI A search for new derivatives of chiral aminoalcohols with an antiarrhythmic activity
AU Eckstein, Marian; Tobiasz-Otrebska, Kazimiera; Kadlubowska, Danuta; Bartlomowicz, Barbara; Kulawiak, Stanislaw; Gawronska-Szklarz, Barbara; Woyke, Malgorzata; Czerny, Boguslaw; Juzwiak, Stefania
CS Dep. Chem. Technol. Drugs, Med. Acad., Krakow, 31-065, Pol.
SO Polish Journal of Pharmacology and Pharmacy (1987), 39(3), 309-15
CODEN: PJPPAA; ISSN: 0301-0244
DT Journal
LA English
AB Twelve new (R) and (S) Schiff bases and twelve new (R) and (S) 2-benzylamino-1-butanols were obtained. Pharmacol. tests showed a weak antiarrhythmic and hypotensive activity of the screened chiral 2-benzylamino-1-butanols.
IT 70218-75-6P 70218-93-8P
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation and antiarrhythmic and hypotensive activity of)
RN 70218-75-6 CAPLUS
CN 1-Butanol, 2-[[(3,4-dichlorophenyl)methyl]amino]-, (R)- (9CI) (CA INDEX NAME)

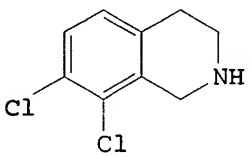
Absolute stereochemistry.



L33 ANSWER 28 OF 42 CAPLUS COPYRIGHT 2007 ACS on STN
AN 1980:604421 CAPLUS <<LOGINID::20070424>>
DN 93:204421
TI Intramolecular Friedel-Crafts alkylations. II. An efficient synthesis of biologically active 1,2,3,4-tetrahydroisoquinolines
AU Mendelson, W. L.; Spainhour, C. B., Jr.; Jones, S. S.; Lam, B. L.; Wert, K. L.
CS Dep. Org. Chem., Smith Kline and French Lab., Philadelphia, PA, 19101, USA
SO Tetrahedron Letters (1980), 21(15), 1393-6
CODEN: TELEAY; ISSN: 0040-4039
DT Journal
LA English
OS CASREACT 93:204421
GI

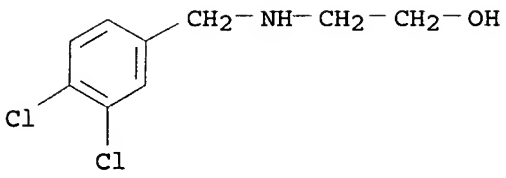


I



II

RN 75416-49-8 CAPLUS
CN Ethanol, 2-[[(3,4-dichlorophenyl)methyl]amino]-, hydrochloride (9CI) (CA INDEX NAME)



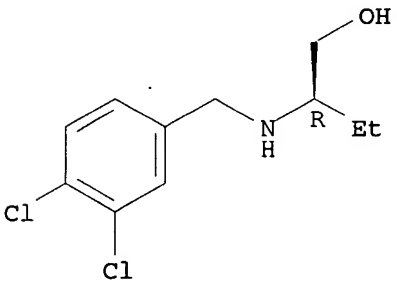
● HCl

L33 ANSWER 29 OF 42 CAPLUS COPYRIGHT 2007 ACS on STN
AN 1979:203672 CAPLUS <<LOGINID::20070424>>
DN 90:203672
TI Optically active mandelic acid salts
IN Halmos, Imre Aurel; Forsyth, Christopher Sheldon
PA American Cyanamid Co., USA
SO Ger. Offen., 75 pp.
CODEN: GWXXBX
DT Patent
LA German
FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	DE 2838882	A1	19790315	DE 1978-2838882	19780906
	CA 1113119	A1	19811124	CA 1978-310578	19780905
	NL 7809116	A	19790308	NL 1978-9116	19780906
	US 4239912	A	19801216	US 1978-968041	19781208
PRAI	US 1977-831023	A	19770906		
	US 1977-831024	A	19770906		
	US 1977-831025	A	19770906		

GI
RN 70218-75-6 CAPLUS
CN 1-Butanol, 2-[[(3,4-dichlorophenyl)methyl]amino]-, (R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

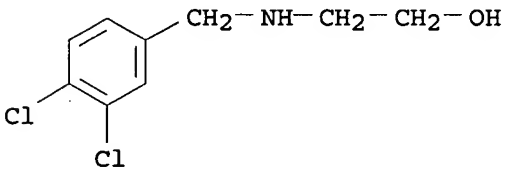


L33 ANSWER 30 OF 42 CAPLUS COPYRIGHT 2007 ACS on STN
AN 1977:595531 CAPLUS <<LOGINID::20070424>>
DN 87:195531
TI Aminoethanol microbicides
IN Kirino, Osamu; Ohishi, Tadashi; Ohshita, Hirofumi; Kato, Hisao; Fujinami, Akira
PA Sumitomo Chemical Co., Ltd., Japan
SO Jpn. Kokai Tokkyo Koho, 8 pp.
CODEN: JKXXAF
DT Patent

LA Japanese
FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	JP 52079025	A	19770702	JP 1975-155472	19751224
	JP 53037411	B	19781009		
PRAI	JP 1975-155472	A	19751224		

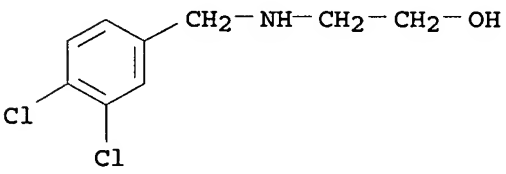
AB N-substituted aminoethanols R1N(R2)(CH2)2OH (R1 = alkenyl, haloalkenyl, alkynyl, alcoxyalkyl, benzyl, or substituted benzyl; R2 = H or alkyl) effectively control microbiol infection in plants. Thus, β-allylaminoethanol [2424-00-2] prepared from allylamine, triethylamine and ethylene bromohydrin [540-51-2] sprayed on tomato plants completely prevented Fusarium oxysporum infection.
IT 40172-06-3P
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation and fungicide activity of)
RN 40172-06-3 CAPLUS
CN Ethanol, 2-[[(3,4-dichlorophenyl)methyl]amino]- (CA INDEX NAME)



L33 ANSWER 31 OF 42 CAPLUS COPYRIGHT 2007 ACS on STN
AN 1973:72181 CAPLUS <<LOGINID::20070424>>
DN 78:72181
TI 8-Aminotheophylline derivatives
PA Laboratoire Lebrun S. A.
SO Fr. Demande, 15 pp.
CODEN: FRXXBL
DT Patent
LA French
FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	FR 2116302	A5	19720713	FR 1970-43891	19701207
	FR 2116302	B1	19740621		
PRAI	FR 1970-43891	A	19701207		

GI For diagram(s), see printed CA Issue.
AB 8-Aminotheophyllines I (R = alkyl, aralkyl, hydroxyalkyl, chloroalkyl, aminoalkyl; R1 = alkyl, aralkyl, aminoalkyl; NRR1 = substituted piperazino, piperidino, pyrrolidino) (52 compds.) were prepared by treating 8-chlorotheophylline or 8-bromotheophylline with RR1NH. I displayed coronary dilator, diuretic, spasmolytic, and bronchodilator activities greater than that of theophylline, accompanied by lower toxicity.
IT 40172-06-3
RL: RCT (Reactant); RACT (Reactant or reagent)
(amination of halotheophyllines by)
RN 40172-06-3 CAPLUS
CN Ethanol, 2-[[(3,4-dichlorophenyl)methyl]amino]- (CA INDEX NAME)



L33 ANSWER 32 OF 42 CAPLUS COPYRIGHT 2007 ACS on STN
AN 1970:100186 CAPLUS <<LOGINID::20070424>>
DN 72:100186
TI Synthesis of iodinated benzylamine derivatives as contrast agents for use in x-ray diagnosis
AU Hebky, Jaromir; First, B.; Polacek, J.; Karasek, M.
CS Vyzk. Ust. Farm. Biochem., Prague, Czech.
SO Collection of Czechoslovak Chemical Communications (1970), 35(3), 867-81
CODEN: CCCCAK; ISSN: 0010-0765
DT Journal
LA English
GI For diagram(s), see printed CA Issue.
AB Concentration of mother liquors after isolation of 2,4,6-triiodo-3-aminobenzyl alc. (prepared by iodination of 3-H2NC6H4CH2OH with ICl in dilute aqueous HCl) gave 4,6-diiodo-3-aminobenzyl alc., m. 165-7° (decomposition) (1:1 dilute aqueous HCl). A mixture of 26 g 2,4,6-triiodo-3-aminobenzyl chloride (I), 50 ml EtCO2H, 40 ml (EtCO)2O, and 2 drops concentrated H2SO4 heated under stirring 2 hr at 60° and poured into H2O gave 26.9 g 2,4,6-triiodo-3-propionylaminobenzyl chloride, m. 225-6° (decomposition) (EtOH). 2,4,6-Triiodo-3-butyrylaminobenzyl chloride, m. 231-2° (decomposition) (EtOH), was prepared analogously. A mixture of 36.4 g I, 70 ml (PrCO)2O, and 3 drops concentrated H2SO4 heated 1 hr at 125° and poured into hot H2O gave 77% 2,4,6-triiodo-3-dibutyrylaminobenzyl chloride, m. 101-2° (decomposition) (EtOH). 2,4,6-Triiodo-3-diacetylaminobenzyl chloride (II), m. 195-6° (CHCl3), and 2,4,6-triiodo-3-dipropionylaminobenzyl chloride, m. 157-8° (decomposition) (EtOH), were prepared analogously. A mixture of 28 g 2,4,6-triiodo-3-acetylaminobenzyl chloride (III), 60 ml (PrCO)2O, and 2 drops concentrated H2SO4 heated 2 hr at 125-30° and poured into H2O gave 25.6 g 2,4,6-triiodo-3-(N-acetylbutyrylamino)benzyl chloride, m. 88-90°. A suspension of 11.1 g 2,4,6-triiodo-3-dimethylaminomethyleneamino-benzyl alc. (IV) in 110 ml CHCl3 treated dropwise over 15 min under stirring and cooling (with tap H2O) with 54 g PBr3 in 20 ml CHCl3, the whole refluxed 2 hr, decomposed dropwise with H2O, made alkaline with 10% aqueous NaOH, and extracted with C6H6 gave 8.5 g 2,4,6-triiodo-3-dimethylaminomethyleneaminobenzyl bromide, m. 136-7° (EtOH). A stirred suspension of 31.1 g I in 75 ml HCONMe2 treated dropwise at 15-18° over 30 min with 9.5 g POCl3 in 30 ml CHCl3, the whole heated 2 hr at 50-60°, the solid collected at 20°, shaken with 250 ml C6H6 and 50 ml 10% aqueous NaOH, and the C6H6 layer evaporated gave 28.2 g 2,4,6-triiodo-3-dimethylaminomethyleneaminobenzyl chloride (V), m. 132-4° (decomposition) (EtOH). A stirred mixture of 11.1 g IV, 48 ml SOCl2, and 5 ml HCONMe2 heated 3 hr at 50°, cooled, the solid collected, made alkaline with 10% aqueous NaOH, and extracted with C6H6 gave 8.2 g V. A stirred suspension of 5.63 g 2,4,6-triiodo-3-aminobenzyl bromide (VI) in 12 ml HCONMe2 treated dropwise over 30 min at <18° with 1.65 g POCl3 in 5 ml CHCl3, the whole heated at 50-60° 2 hr, kept at room temperature overnight, the solid collected, made alkaline, and extracted with C6H6 gave 3.5 g V. Treatment of 2,4,6-triiodo-3-methoxybenzyl alc. with SOCl2 gave 74% 2,4,6-triiodo-3-methoxybenzyl chloride, m. 112-13° (CHCl3). A mixture of 16.8 g III, 12.7 g MeI, 12 g powdered NaOH, and 100 ml dioxane stirred at room temperature 3 hr, filtered, the filtrate evaporated, and the residue treated with ice-cold H2O gave 13.9 g 2,4,6-triiodo-3-(N-acetylmethylamino)benzyl chloride, m. 197° (decomposition) (EtOH). A stirred mixture of 30.15 g II, 5.25 g (HOCH2CH2)2NH, 9.3 g NaHCO3, and 150 ml EtOH refluxed 7 hr, filtered while hot, and the filtrate concentrated gave 70% N-(2,4,6-triiodo-3-diacetylaminobenzyl)diethanolamine, m. 160-1° (EtOH); HCl salt, m. 187-8° (decomposition) (EtOH) [procedure A]. A mixture of 28 g III, 12.1 g (HOCH2)3CNH2, 9.3 g NaHCO3, and 150 ml iso-BuOH refluxed 90 min, evaporated, the residue precipitated with M HCl,

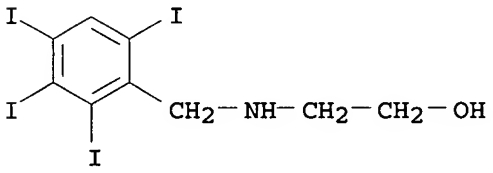
and the precipitate treated with aqueous NH3 gave 69% N-(2,4,6-triiodo-3-acetylaminobenzyl)trimethylolmethylamine, m. 140-5°; HCl salt m. >130° (decomposition) (H2O) [procedure B]. A mixture of 8.45 g VI, 3 g N-methylpiperazine, and 30 ml C6H6 refluxed 2 hr, the precipitate collected, extracted with boiling H2O, the extract filtered, the filtrate made alkaline with aqueous NH3, and extracted with Et2O gave 10% N1-methyl-N2-(2,4,6-triiodo-3-aminobenzyl)piperazine, m. 160-4° (decomposition); HCl salt m. 168-71° (decomposition) (MeOH) [procedure C]. A mixture of 2.02 g 2,3,4,6-tetraiodobenzyl bromide, 0.75 g N-methylpiperazine, and 10 ml C6H6 refluxed 2 hr, filtered, the filtrate precipitated with ethereal HCl, the precipitate collected, dissolved in H2O, and the solution precipitated with aqueous NH3 gave 10% N1-methyl-N2-(2,4,5,6-tetraiodobenzyl)piperazine, m. 167-9° (EtOH) [procedure D]. The following VII were prepared similarly (R, R1, procedure A-D, % yield, and m.p. given): NH2, N(CH2CH2OH)2, A, 79.5, 111° (EtOH) [HCl salt m. 196° (H2O)]; NH2, NHC(CH2OH)3, B, 90, 182-4° (iso-BuOH) [HCl salt m. 205° (decomposition) (EtOH)]; NH2, NHCMe(CH2OH)2, A, 43, 149-50° (EtOH); NH2, NH(CH2)2OH, B, 38, 162-4° (iso-BuOH); NH2, NH(CH2)3OH, B, 77.4, 104° (EtOH); NH2, piperazino, A, 31.5, 153-5° (EtOH) [HCl salt m. 190-200° (decomposition)]; NH2, N-methyl-N-(1-deoxy-D-sorbityl)amino, A, 66.3, 166-9° (EtOH); NHAc, N(CH2CH2OH)2 (VIII), A, 76.5, 164° (Me2CO) [HCl salt m. 203-4° (EtOH)]; NHAc, NHCMe(CH2OH)2, A, 76.5, - [HCl salt m. 139-41° (H2O)]; NHAc, N-methyl-N-(1-deoxy-D-sorbityl)amino, A, 37.2, 139-46° (EtOH); NHAc, (1-deoxy-D-arabityl)amino, A, 71, 221-2° (EtOH); NHCOEt, N(CH2CH2OH)2, A, 74, 122-5° (Kofler) [HCl salt m. 218-19° (decomposition) (EtOH)]; N(COEt)2, N(CH2CH2OH)2, A, 81,98-100°; NHCOPr, N(CH2CH2OH)2, A, 76, 130-1° (Kofler) [HCl salt m. 212-14° (decomposition) (EtOH-H2O)]; N(COPr)2, N(CH2CH2OH)2, A, 75, 105-6° (EtOH-H2O); AcNCOPr, N(CH2CH2OH)2, A, 60, - [HCl salt m. 165-8° (decomposition) (EtOH-C6H6)]; AcNMe, N(CH2CH2OH)2, -, 42, - [HCl salt m. 193-6° (decomposition) (EtOH-Et2O)]; AcNCH2CH2OH, N(CH2CH2OH)2, -, 56.3, - [HCl salt m. 192-7° (decomposition) (EtOH)]; EtCONCH2CH(OH)CH2OH, NHCMe(CH2OH)2, -, 42.5, - [HCl salt m. 130-4°]; N:CHNMe2, N(CH2CH2OH)2, A, 74.4, 157-8° (EtOH) [HCl salt m. 226-8° (decomposition) (EtOH)]; N:CHNMe2, NEt2, A, 89, - [HCl salt m. 94-104° (decomposition)]; N:CHNMe2, NHCMe(CH2OH)2, A, 81, 62-9° (Kofler) [HCl salt m. 220° (decomposition) (EtOH)]; N:CHNMe2, N-methyl-N-(1-deoxy-D-sorbityl)amino, A, 76, >150° (decomposition); N:CHNMe2, cyclohexylamino, A, 91, -; N:CHNMe2, morpholino, A, 64, 146° (EtOH); N:CHNMe2, NHC(CH2OH)3, A, 69, 161° (EtOH); I, N(CH2CH2OH)2, A, 71.7, 138° (EtOH); I, NHC(CH2OH)3, B, 56, 198° (EtOH); I, NHCMe(CH2OH)2, A, 58.8, 164-5° (EtOH); I, NH(CH2)2OH, B, 76.4, 182° (iso-BuOH); I, NH(CH2)3OH, B, 95.8, 112-15° (iso-BuOH); I, morpholino, A, 73.8, 136° (EtOH); I, NHCH2Ph, B, 55, 117-18° (EtOH); I, piperidino, A, 38.2, 80-2° (EtOH); I, cyclohexylamino, A, 41, 82° (EtOH); I, (1-deoxy-D-arabityl)amino, A, 31, 193-6° (iso-BuOH); I, N-methyl-N-(1-deoxy-D-sorbityl)amino, A, 59, 197° (iso-BuOH); OH, N(CH2CH2OH)2, A, 78, 70-3° (decomposition); OMe, N(CH2CH2OH)2, A, 84.5, - [HCl salt m. 184-5° (decomposition) (EtOH)]. A mixture of 1.12 g III, 0.5 g hexamethylenetetramine, and 30 ml CHCl3 refluxed 8 hr, evaporated, the residue stirred 15 min with 5 ml EtOH and 0.5 ml concentrated aqueous HCl, made alkaline with aqueous NH3, evaporated, the residue triturated with CHCl3, the insol. portion filtered off, the filtrate precipitated with ethereal HCl, and the HCl salt treated with aqueous NH3 gave 37% 2,4,6-triiodo-3-acetylamino-benzylamine, m. 208-10° (decomposition). A mixture of 31.5 g 2,3,4,6-tetraiodobenzyl chloride, 7.3 g Et2NH, 4.6 g NaHCO3, and 160 ml EtOH heated in a rotatory autoclave 7 hr

at 100°, the solid refluxed in 100 ml CHCl3, the insol. inorg. salts filtered off, and the filtrate evaporated gave 50.7% N-(2,3,4,6-tetraiodobenzyl)diethylamine, m. 86° (EtOH). A solution of 22.05 g VIII, 150 ml EtOH, and 56 ml 5M KOH treated with 19.4 g ClCH2CH(OH)CH2OH, the whole stirred at room temperature 3 hr, kept overnight, neutralized with 1:4 dilute aqueous HCl, evaporated, the residue extracted with EtOH, the extract adjusted to pH 4 with ethanolic HCl, evaporated, and the residue purified gave 69% N-[2,4,6-triiodo-3-(N-acetyl-β-γ-dihydroxypropylamino)benzyl]diethanolamine HCl salt (IX), m. 202-4° (Kofler block) (85% aqueous EtOH). When administered orally, IX shows a rapid resorption and is suitable for rapid cholangiography and cholecystography.

IT 10254-90-7P
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of)

RN 10254-90-7 CAPLUS

CN Ethanol, 2-[(2,3,4,6-tetraiodobenzyl)amino]- (7CI, 8CI) (CA INDEX NAME)



L33 ANSWER 33 OF 42 CAPLUS COPYRIGHT 2007 ACS on STN

AN 1968:2696 CAPLUS <<LOGINID::20070424>>

DN 68:2696

TI X-ray contrast agents

IN Hebky, Jaromir; Jelinek, Vaclav; First, Bohumil; Karasek, Miroslav

SO Czech., 4 pp.
CODEN: CZXXA9

DT Patent

LA Czech

FAN.CNT 1

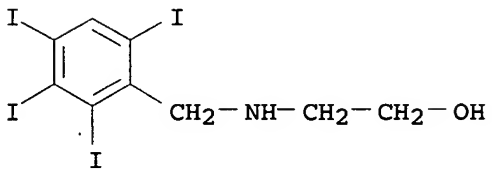
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
CS 121655		19670115	CS	19641221

GI For diagram(s), see printed CA Issue.

AB Substituted benzyl halides with amines yield I which are administered and excreted in the urine and bile. I are useful in pelviscopy and are prepared by refluxing 2,4,6-triiodo-3-aminobenzyl chloride or iodide (or the corresponding tetraiodo derivative) with a slight excess of the amine in absolute. EtOH, filtering hot, and crystallizing the separated I from EtOH (X, Y, % yield, and m.p. given): N(CH2CH2OH)2, NH2, 79.5, 111°; NHC(CH2OH)3, NH2, 90.0, 182-4°; piperazino, NH2, 31.5, 153-5°; N(CH2CH2OH)2, NAc2, 70%, 160-1°; N-methylglucaminyl, NHAc, 58.6, 139-46°; NHC(CH2OH)3, NHAc, 68.9, 129° (decomposition); N(CH2CH2OH)2, NHAc, 76.5, (HCl salt m. 204-5°); N-(1-amino-1-deoxy-D-arabitol) residue, NHAc, 71, 221-2°; NEt2, I, 50.7, 86°; N(CH2CH2OH)2, I, 71.7, 138°; N-methylglucaminyl, I, 59, 197°; morpholino, I, 73.8, 136°; NHCMe(CH2OH)2, I, 58.8, 164-5°; piperidino, I, 38.2, 80-2°; NHCH2Ph, I, 55, 117-18°; NHC6H11, I, 41, 82°; NHCH2CH2CH2OH, I, 95.8, 112°; NHCH2CH2OH, I, 76.4, 182°; NHCH2CH2OH, NH2, 83.3, 162-4°; NHCH2CH2CH2OH, NH2, 77.4, 104°; NHC(CH2OH)3, I, 56, 198°. Cf. following abstrs.

IT 10254-90-7P
RL: SPN (Synthetic preparation); PREP (Preparation)

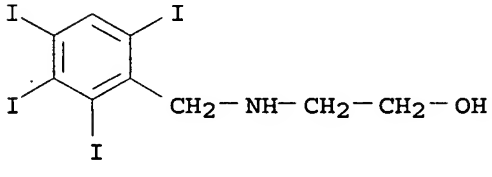
(preparation of)
RN 10254-90-7 CAPLUS
CN Ethanol, 2-[(2,3,4,6-tetraiodobenzyl)amino]- (7CI, 8CI) (CA INDEX NAME)



L33 ANSWER 34 OF 42 CAPLUS COPYRIGHT 2007 ACS on STN
AN 1966:481988 CAPLUS <<LOGINID::20070424>>
DN 65:81988
OREF 65:15270b-e
TI X-ray contrast agents
PA SPOFA United Pharmaceutical Works
SO 11 pp.
DT Patent
LA Unavailable
FAN.CNT 1

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI NL 6516674		19660622	NL 1965-16674	19651221
PRAI CS		19641221		

GI For diagram(s), see printed CA Issue.
AB Compds. I and II, which are useful as x-ray contrast agents for the examination of the gall duct and the ureter, are prepared by the reaction of a benzyl halide with an amine. Thus, a mixture of 2,4,6-triiodo-3-aminobenzyl chloride, 8.4 g. HN(CH2CH2OH)2, 9.3 g. Na2CO3, and 100 ml. EtOH is refluxed for 7 hrs. to give 79.5% I (R = R1 = CH2CH2OH, R2 = NH2), m. 111°. Also prepared are the following I (R, R1, R2, m.p., and % yield are given): C(CH2OH)3. H, NH2, 182-4°, 90; CH2CH2OH, CH2CH2OH, NAc2, 160-1°, 70; C(CH2OH)3, H, NHAc, 129° (decomposition) (HCl salt), 68.9; CH2CH2OH, CH2CH2OH, NHAc, 204-5° (HCl salt), 76.5; Et, Et, I, 86° 50.7; CH2CH2OH, CH2CH2OH, I, 138°, 71.7; CMe(CH2OH)2, H, I, 164-65°, 58.8; CH2Ph, H, I, 117-18°, 55; cyclohexyl, H, I, 82°, 41; CH2CH2CH2OH, H, I, 112, 95.8; CH2CH2OH, H, I, 182°, 76.4; CH2CH2OH, H, NH2, 162-4°, 83.3; CH2CH2CH2OH, H, NH2, 104° 77.4; C(CH2OH)3, H, I, 198°, 56. In the same manner are prepared the following substituted N-benzylamines (II) (R, R1, m.p., and % yield given): piperazino, NH2, 153-5°, 31.5; N-methylglucamino, NHAc, 139-46°, 58.6; 1-deoxy-D-1-arabitylamino, AcNH, 221-2°, 71; N-methylglucamino, I, 197°, 59; morpholine, I, 136°, 73.8; piperidino, I, 80-82°, 38.2%.
IT 10254-90-7P, Ethanol, 2-[(2,3,4,6-tetraiodobenzyl)amino]-
RL: PREP (Preparation)
(preparation of)
RN 10254-90-7 CAPLUS
CN Ethanol, 2-[(2,3,4,6-tetraiodobenzyl)amino]- (7CI, 8CI) (CA INDEX NAME)



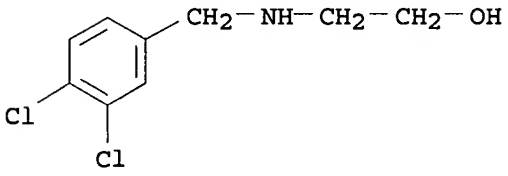
L33 ANSWER 35 OF 42 CAPLUS COPYRIGHT 2007 ACS on STN
AN 1959:11873 CAPLUS <<LOGINID::20070424>>
DN 53:11873
OREF 53:2255g-i,2256a
TI 3-Aralkyl-2-oxazolidones and 3-aralkyl-2-pentoxazolidones
IN Surrey, Alexander R.
PA Sterling Drug Inc.
DT Patent
LA Unavailable
FAN.CNT 1

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 2843585		19580715	US 1954-416401	19540315

AB The title compds., RnC6H5-n-XN.Y.O.CO (I), where R is Cl, NO2, NH2, and the like, n is 1-3, and X and Y are alkylene radicals of 1-4 and 2-6 C atoms, resp., have analgesic and antipyretic activities. They are made by treating RnC6H5-nXNHYOH (cf. C.A. 51, 2032c, 7437i) with an ester of Cl3CCO2H or a trichloroacetyl halide. Thus, 11 g. 2,4-Cl2C6H3CH2NH(CH2)2-OH and 9.6 g. Cl3CCO2Et is heated and stirred 2 hrs. on a steam bath, taken up in (CH2Cl)2, the solution washed with 2N HCl, dried, filtered with C, the solvent evaporated in vacuo, the residual oil triturated with heptane, the solid washed with pentane, and recrystd. (C6H6-pentane) to give 3-(2,4-dichlorobenzyl)-2-oxazolidone, m. 72.2-4.3° (corrected). The following I, in which X is CH2, are prepared similarly [Rn, Y, and m.p. (corrected) given]: 3,4-Cl2, CH2CH2, 68.0-9.6°; 4-Cl, CH2CH2, 72.1-3.5°; (II) 4-NO2, CH2CH2 148.0-50.3°; 2,4-Cl2, CH2CHMe, 75.4-7.6°; 3,4-O2CH2, CH2CH2, 59.3-62.2°; 4-OEt, CH2CH2, 63.4-6.1°; 2-Cl, CH2CH2, 70.0-2.1°; 2,6-Cl2, CH2CH2, 115.8-18.1°; 2,4-Cl2, (CH2)3, 108.8-11.1°; 4-OBu, CH2CH2, -- (b0.04 170-5°); 3,4-(OMe)2, CH2CH2, 94.1-6.8°; 2,4-Cl2, CH2CH2CHMe, 96.9-9.0°; 4-OEt, (CH2)3, 89.8-92.8°; 4-OMe, (CH2)3, 62.5-6.1°; 3,4-O2CH2, (CH2)3, 99.7-100.7°; 4-OH, CH2CH2, 128.2-9.2°; 2-Cl, (CH2)3, 75.6-6.8°. II (26.5 g.), 120 g. Fe filings, 5 ml. HOAc, 100 ml. H2O, and 400 ml. EtOH is heated and vigorously stirred 2 hrs. on a steam bath, excess Na2CO3 added, the mixture filtered hot through kieselguhr, the EtOH distilled, the oily residue taken up in CHCl3, dried over K2CO3, and the CHCl3 removed in vacuo to yield 3-(4-aminobenzyl)-2-oxazolidone, m. 127.5-9.0°; HCl salt, m. 190.2-2.1° (corrected) (EtOH).

IT 75416-49-8P, Ethanol, 2-(3,4-dichlorobenzylamino)-, hydrochloride
RL: PREP (Preparation)
(preparation of)

RN 75416-49-8 CAPLUS
CN Ethanol, 2-[[(3,4-dichlorophenyl)methyl]amino]-, hydrochloride (9CI) (CA INDEX NAME)



● HCl

L33 ANSWER 36 OF 42 CAPLUS COPYRIGHT 2007 ACS on STN

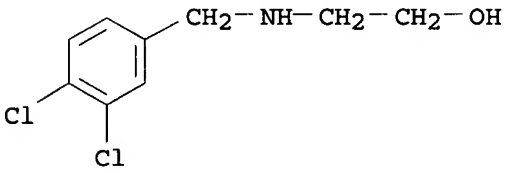
AN 1959:11872 CAPLUS <<LOGINID::20070424>>
DN 53:11872
OREF 53:2255d-g
TI Diphenyl sulfone derivatives
IN Hentrich, Winfried; Grundmann, Christoph J.
PA DEHYDAG Deutsche Hydrierwerke G. m. b. H.
DT Patent
LA Unavailable
FAN.CNT 1

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI DE 859023		19521211	DE 1941-D4317	19410606

AB Carboxylic acids containing heterocyclic rings or their functional derivs. such as chlorides and esters, react preferably with compds. of the general formula R.NH-C6H4XC6H4Y in the presence of solvents and thinning agents such as C5H5N, COMe2, dioxane, or H2O with formation of a SO2 group and/or a R.NH group (R = H or a hydrocarbon group, X = SO2 or a group which may be converted into SO2, such as thioether S, or sulfoxide SO; Y = R.NH or a substituent which may be converted into a R.NH such as a halogen atom attached to the nucleus, an azo, azoxy, azomethino, NO2, NO, or acylamino group). E.g. 4-amino-4-nitrodiphenyl sulfone 28 in C6H6 boiled with nicotinyl chloride 14.5 parts by weight and with anhydrous C5H5N 10 parts by volume yields 4-nicotinylamino-4'-nitrodiphenyl sulfone which is reduced with H and 5 weight-% of a 10% Pd-BaSO4 catalyst to 4-nicotinylamino-4'-aminodiphenyl sulfone. Finely powdered 4,4'-diaminodiphenyl sulfone 25 and the Et ester of 3,5-dimethylisoxazole-4-carboxylic acid yield crystalline 4,4'-bis(3'',5''-dimethylisoxazolecarbonamino)-diphenyl sulfone.

IT 75416-49-8P, Ethanol, 2-(3,4-dichlorobenzylamino)-, hydrochloride
RL: PREP (Preparation)
(preparation of)

RN 75416-49-8 CAPLUS
CN Ethanol, 2-[[(3,4-dichlorophenyl)methyl]amino]-, hydrochloride (9CI) (CA INDEX NAME)



● HCl

L33 ANSWER 37 OF 42 CAPLUS COPYRIGHT 2007 ACS on STN
AN 1957:57047 CAPLUS <<LOGINID::20070424>>
DN 51:57047
OREF 51:10594a-d
TI Hydrogenated carbomycin derivatives and their therapeutic products
PA Chas. Pfizer & Co., Inc.
DT Patent
LA Unavailable
FAN.CNT 1

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI GB 755119		19560815	GB 1954-4644	19540217

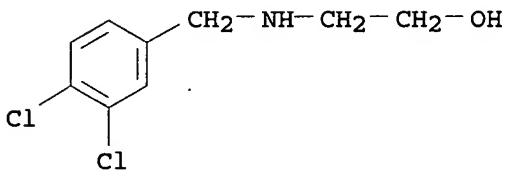
AB Tetrahydro- (I) and hexahydrocarbomycin (II) and their salts are prepared by low pressure hydrogenation with a noble metal catalyst. Thus, 50 g. of carbomycin (III), dissolved in 10.65 l. EtOH (IV), mixed with 25 g. 5% Pd

on C in 200 ml. IV, hydrogenated at 24° and 1 atmospheric for 3 hrs., filtered and concentrated in vacuo, the residue triturated with H2O, washed, dried in vacuo, crystalline from iso-PrOH-petr. ether gave I, m. 120-1.5°. Similarly, I HCl salt was prepared from 5 weight-% III HCl salt in H2O with 10% Pd on C catalyst and employing MeOH for treating hydrogenated residue. I phosphate was prepared by adding dilute H3PO4 to a dilute aqueous suspension of I to a pH of 5, concentrating in vacuo to a small volume, treating with IV, filtering, washing and drying. I and II display a high order of activity against gram-pos. bacteria, Rickettsia and other microorganisms; they have a low toxicity and they are useful in the same fields as the parent II.

IT 75416-49-8P, Ethanol, 2-(3,4-dichlorobenzylamino)-, hydrochloride
RL: PREP (Preparation)
(preparation of)

RN 75416-49-8 CAPLUS

CN Ethanol, 2-[[(3,4-dichlorophenyl)methyl]amino]-, hydrochloride (9CI) (CA INDEX NAME)



● HCl

L33 ANSWER 38 OF 42 CAPLUS COPYRIGHT 2007 ACS on STN

AN 1957:57046 CAPLUS <<LOGINID::20070424>>

DN 51:57046

OREF 51:10594a

TI 4-Aralkyl-3-morpholones and 4-aralkyl-3-homomorpholones

PA Sterling Drug Inc.

DT Patent

LA Unavailable

FAN.CNT 1

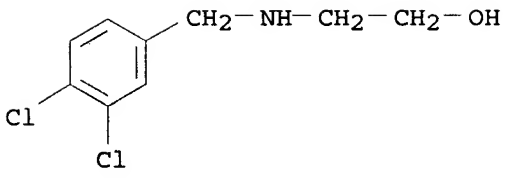
	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	GB 767246		19570130	GB 1955-956	19550112

AB See U.S. 2,771,468 (C.A. 51, 7437i).

IT 75416-49-8P, Ethanol, 2-(3,4-dichlorobenzylamino)-, hydrochloride
RL: PREP (Preparation)
(preparation of)

RN 75416-49-8 CAPLUS

CN Ethanol, 2-[[(3,4-dichlorophenyl)methyl]amino]-, hydrochloride (9CI) (CA INDEX NAME)

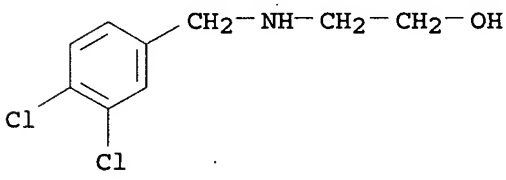


● HCl

L33 ANSWER 39 OF 42 CAPLUS COPYRIGHT 2007 ACS on STN
AN 1957:57045 CAPLUS <<LOGINID::20070424>>
DN 51:57045
OREF 51:10593h-i,10594a
TI Guanamines
IN Thrower, Ronald D.; Pinchin, Frank J.
PA British Oxygen Co. Ltd.
DT Patent
LA Unavailable
FAN.CNT 1

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
GB 758601		19561003	GB	

AB Guanamines are prepared from dicyandiamide (I) with a nitrile or polynitrile in a hydroxylated organic solvent containing at least 10% by weight NH3, in the presence of a basic catalyst such as an alkali metal, alkaline earth metal, alkali hydroxide or amide, or a strongly basic alkali metal compound at 20-120°. Thus, in a stainless steel autoclave fitted with a stirrer was placed I 196, benzonitrile (II) 206, NaOH 13.9, and MeOH 137, the vessel charged with liquid NH3 59, giving a pressure of 9 atmospheric at 20°, then heated to 90° and a temperature of 85-90° maintained 1 hr. (maximum pressure reached was 18 atmospheric), the solvent distilled, the solid residue stirred with H2O 2200, HOAc added to pH 7, the product filtered off, washed with H2O 2200, and dried yielding benzoguanamine 358 parts (96% based on II), m. 226°. Similarly, a 97% yield of phenylacetoguanamine, m. 244°, was obtained from PhCH2CN and a 93% yield of 4-cyanovaleroguanamine (no m.p. given) from adiponitrile.
IT 75416-49-8P, Ethanol, 2-(3,4-dichlorobenzylamino)-, hydrochloride
RL: PREP (Preparation)
(preparation of)
RN 75416-49-8 CAPLUS
CN Ethanol, 2-[[(3,4-dichlorophenyl)methyl]amino]-, hydrochloride (9CI) (CA INDEX NAME)



● HCl

L33 ANSWER 40 OF 42 CAPLUS COPYRIGHT 2007 ACS on STN
AN 1957:39497 CAPLUS <<LOGINID::20070424>>
DN 51:39497
OREF 51:7437i,7438a-h
TI 4-Aralkyl-3-morpholones and 4-aralkyl-3-homomorpholones
IN Surrey, Alexander R.
PA Sterling Drug Inc.
DT Patent
LA Unavailable
FAN.CNT 1

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 2771468		19561120	US 1954-411551	19540219

GI For diagram(s), see printed CA Issue.

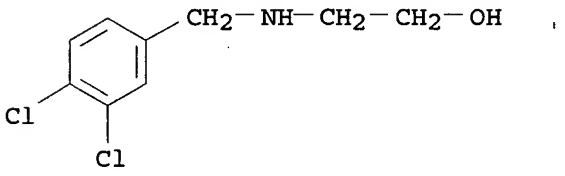
AB ArXN.CO.CR1R2.O.Y, having analgesic and antipyretic properties, were prepared, where Ar was Ph, naphthyl, biphenylyl, furyl, pyridyl, or thienyl, X was lower alkylene having 1-4 C atoms, Y was lower alkylene having 2-6 C atoms with its free valences separated by 2-3 C atoms, and R1 and R2 were H or lower alkyl radicals. (Throughout this abstract, all m.ps. are corrected except where otherwise noted). Iso-PrC6H4CHO (44.3 g.) and 18.3 g. H2N(CH2)2OH heated 1 h. on a steam bath in vacuo, the mixture dissolved in 125 mL. hot EtOH, reduced catalytically with 0.5 g. PdCl2 and 3.5 g. C at 2 atmospheric H, the catalyst filtered off, the EtOH distilled in vacuo, and the residue recrystd. once from heptane and once from Et2O gave 4-iso-PrC6H4CH2NHCH2CH2OH, m. 80.9-3.3° [HCl salt, m. 129.4-32.2° (from EtOH-Et2O)]. Similarly were prepared the following RC6H4CH2NHYOH (I) (Y = (CH2)2 in all compds.) (R, m.p. of base, m.p. of HCl salt given): 4-MeO, 38-9° 112.2-13.6°; 4-iso-PrO, 75-6.6°, 134.9-5.4°; 4-EtO, 63-3.6° 103-4.6°; 4-PrO, 67-8.2° 134.2-8.2°; 4-AmO, 51.9-5.0°, 144-5.5°; 3,4-CH2O2, 62.6-4.4°, 152-2.6°; 4-BuO, -, 146.6-7.5°. Treatment of an aralkyl halide with an alkanolamine also afforded the I. By this method were prepared the following I (R, Y, b.p. of base, m.p. of HCl salt given): 2,4-Cl2, (CH2)2, 62-2.8°, 184.7-6.7°; 3,4-Cl2, (CH2)2, -, 145.9-8.1°; 2-Cl, (CH2)2, -, 135.2-6.9°; 4-Cl, (CH2)2, 126-31°/0.7, 172.7-3.8°; 2,4-Cl2, (CH2)3, 150-5°/0.5, -; 3,4-Cl2, (CH2)3, 165-72°/0.6-0.8, -; 2,4-Cl2, CH2CHMe, -, 152.4-4.2°. ClCH2COCl (22.8 g.) added over 35 min. with stirring at 5° to a mixture of 44 g. 2,4-Cl2C6H3CH2NH(CH2)2OH, 500 mL. H2O containing 8 g. NaOH, and 200 mL. (CH2Cl)2 (II), the mixture allowed to warm up to room temperature with stirring, the aqueous layer extracted with II, the II solns. combined, and the product separated from solution filtered off, and recrystd. from II gave 24.5 g. 2,4-Cl2C6H3CH2N[(CH2)2OH]COCH2Cl (III) (an addnl. 22.0 g. III was obtained from the filtrate), m. 102.8-5.0°. Similarly were obtained the following RC6H4(CH2)nN(YOH)(COCH2Cl) (R, n, Y, m.p. given): 4-iso-Pr, 1, (CH2)2, 85.8-7.2°; 4-Cl, 1, (CH2)2, 76-7.2°; 3,4-CH2O2, 1, (CH2)2, 92.5-5.2°; 4-O2N, 1, (CH2)2, 117.9-20.1°; H, 1, (CH2)2, 85.3-7.9°; 4-EtO, 1, (CH2)2, 65.3-6.9°; 3,4-Cl2, 1, CH2CHMe, 83.3-6.9°; 3,4-Cl2, (CH2)2, -; 2-Cl, 1, (CH2)2, -; 4-BuO, 1, (CH2)2, -; 2,4-Cl2, 1, CH2CHMe, -; 3,4-(MeO)2, 1, (CH2)2, -; 2,6-Cl2, 1, (CH2)2, -; 2,4-Cl2, (CH2)3, 84-6° (uncor.); 2,4-Cl2, 2, (CH2)2, -; 4-MeO, 1, (CH2)3, -; 4-Cl, 1, (CH2)3, -; H, 1, (CH2)3, -; 3,4-CH2O2, 1, (CH2)3, -; 3,4-Cl2, 1, (CH2), -; 2,4-Cl2, 1, CH2CMe2, -. 2,4-Cl2C6H3CH2N[(CH2)2OH](COCH2Cl) (443 g.) in 3 l. absolute EtOH containing 98 g. KOH stirred 3 h. at room temperature, the mixture filtered, the filtrate evaporated, and the residue (335 g.) recrystd. from C6H6-C5H12 or from a large volume of iso-PrOH gave 4-(2,4-dichlorobenzyl)-3-morpholone, m. 95.2-5.8°. Similarly were prepared the following RC6H4(CH2)nN.CO.CH2.O.Y (R, n, Y, m.p.

or b.p. given): 4-O2N, 1, (CH2)2, 138.8-9.6°; 3,4-Cl2, 1, (CH2)2, 69.2-172° (softens); 4-iso-Pr, 1, (CH2)2, b0.8 157°; 2-Cl, 1, (CH2)2, b0.4 140-2°; 4-Cl, 1, (CH2)2, 48.2-9.0°; 4-EtO, 1, (CH2)2, 60.5-8.0°; 4-BuO, 1, (CH2)2, 48.8-52.9°; 3,4-CH2O2, 1, (CH2)2, 83.3-90.2°; H, 1, (CH2)2, b0.7 125°; 3,4-Cl2, 1, CH2CHMe, 77.3-85.3°; 2,4-Cl2, 1, CH2CHMe, 68.0-70.9°; 3,4-(MeO)2, 1, (CH2)2, b0.04 155°; 2,6-Cl2, 1, (CH2)2, 109.5-11.6°; 2,4-Cl2, 1, (CH2)3, 90.1-1.8°; 2,4-Cl2, 2, (CH2)2, 83.7-6.8°; 4-MeO, 1, (CH2)3, 85.8-8.1°; 4-Cl, 1, (CH2)3, 83.4-5.8°; H, 1, (CH2)3, 66.9-70.4°; 3,4-CH2O2, 1, (CH2)3, 80-2.2°; 3,4-Cl2,1, (CH2)3, 80.6-2.8°; 2,4-Cl2, 1, CH2CMe2, 81.2-3.5°. 4-(4-Nitrobenzyl)-3-morpholone (9 g.) hydrogenated 5 h. in 50 cc. absolute EtOH over 0.25 PdCl2 and 1.5 g. C, 9.0 lb. H taken up, the catalyst and C filtered off, the filtrate evaporated in vacuo, and the residue recrystd. once from C6H6 and once from iso-PrOH gave the 4-H2N compound, m. 112.4-15.0°.

IT 75416-49-8P, Ethanol, 2-(3,4-dichlorobenzylamino)-, hydrochloride
RL: PREP (Preparation)
(preparation of)

RN 75416-49-8 CAPLUS

CN Ethanol, 2-[[(3,4-dichlorophenyl)methyl]amino]-, hydrochloride (9CI) (CA INDEX NAME)



● HCl

L33 ANSWER 41 OF 42 CAPLUS COPYRIGHT 2007 ACS on STN

AN 1957:9536 CAPLUS <<LOGINID::20070424>>

DN 51:9536

OREF 51:2032c-i

TI N-Benzyl-N-(hydroxyalkyl)dihaloacetamides

IN Surrey, Alexander R.

PA Sterling Drug Inc.

DT Patent

LA Unavailable

FAN.CNT 1

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI US 2732402		19560124	US	
DE 1057596			DE	

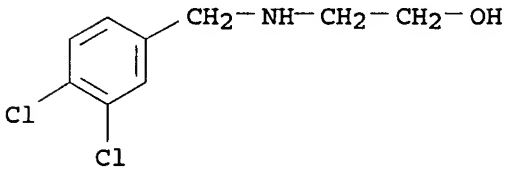
AB The substituted dihaloacetamides have amebicidal activity. The intermediate substituted benzyl amino alkanols were prepared (1) by treating a substituted benzaldehyde with an alkanolamine and catalytically reducing the resultant anil or (2) by treating a substituted benzyl chloride with an alkanolamine. (All m.ps. corrected) 4-Iso-PrC6H4CHO (44.3 g.) and 18.3 g. H2NCH2CH2OH heated 1 hr. in vacuo on a steam bath, the mixture dissolved in 125 cc. hot EtOH, and reduced with 0.5 g. PdCl2 and 3.5 g. C at about 2 atmospheric H, the catalyst filtered off, the EtOH distilled from the filtrate in vacuo, and the residue, which solidified, recrystd. from heptane and once from Et2O yielded 2-(4-isopropylbenzylamino)ethanol, m. 80.9-3.3° [HCl salt, m. 129.4-32.2° (from EtOH-Et2O)]. Similarly were prepared

the following 2-(substituted-benzylamino)ethanols [substituent(s), m.p., m.p. of HCl salt given]: 4-MeO, 38-9° (from hexane), 112.2-13.6° (from iso-PrOH-Et2O); 4-iso-PrO, 75-6.6°, 134.9-5.4°; 4-EtO, 63-3.6°, 103-4.6°; 4-PrO, 67-8.2°, 134.2-8.2°; 4-AmO, 51.9-5.0°, 144-5°; 3,4-CH2O2, 62.6-4.4°, 152.0-2.6°; 4-BuO, -, 146.6-7.5°; 2,4-Cl2, 62-2.8°, 184.7-6.7°; 3,4-Cl2 (I), -, 145.9-8.1°; 2-Cl, -, 135.2-6.9°; 4-Cl, b0.7 126-31° (nD25 1.5470), 172.7-3.8°; 2,4-Cl2, b0.5 150-5° (nD25 1.5600), -. 1(2,4-Dichlorobenzylamino)-1-propanol, b0.6-0.8 165-72°, -; 1-(2,4-dichlorobenzylamino)-2-propanol, -, 152.4-4.2°. CHCl2COCl (4 g.) in 30 ml. ClCH2CH2Cl (II) added dropwise with stirring and cooling to 12 g. I in 100 ml. II, the temperature maintained at -5 to 0°, when the addition was complete the mixture let warm up to room temperature with stirring, the precipitate filtered off, the filtrate washed with N HCl and water, dried, II removed in vacuo, and the residue triturated with Et2O gave 5.5 g. N-(3,4-dichlorobenzyl)-N-(2-hydroxyethyl)dichloroacetamide, m. 99.4-101.5° (from C6H6-pentane). Similarly, were prepared the following N,N-disubstituted dichloroacetamides (N,N-substituents, m.p. given): 2,4-Cl2C6H3CH2 and HOCH2CH2, 112.4-13.4° (from II); 4-ClC6H4CH2 and HOCH2CH2, 94.4-7.2° (from Et2O-pentane); 4-EtOC6H4CH2 and HOCH2CH2, 76.9-9.1° (from Et2O-pentane); 4-iso-PrC6H4CH2 and HOCH2CH2, 84.5-5.5° (from heptane); 3,4-CH2O2C6H3CH2 and HOCH2CH2, 101.9-3.4° (from Et2O); 4-O2NC6H4CH2 and HOCH2CH2, 132.2-3.6° (from EtOH); 4-BuOC6H4CH2 and HOCH2CH2, 88° (from Et2O-pentane); 2,4-Cl2C6H3CH2 and MeCHOHCH2, 135.1-8.0° (from II); 3,4-Cl2C6H3CH2 and MeCH(OH)CH2, 120.0-1.8° (from II); 2-ClC6H4CH2 and HOCH2CH2, 76.6-9.3° (from Et2O-pentane); 3,4-Cl2C6H3CH2 and HOCH2CH2CH2, 91.9-7.5° (from II-pentane); 2,4-Cl2C6H3CH2 and HOCH2 CH2CH2, 83.7-6.7° (from C6H6-pentane); 3,4-(MeO)2C6H3CH2 and HOCH2CH2, 116.6-17.7° (from II-pentane). N-(2,4-Dichlorobenzyl)-N-(2-hydroxyethyl)dibromoacetamide, 115-17.2° (from II and a little hexane); N-(3,4-dichlorobenzyl)-N-(2-hydroxyethyl)dibromoacetamide, 115.5-28.8° (from II-pentane).

IT 75416-49-8P, Ethanol, 2-(3,4-dichlorobenzylamino)-, hydrochloride
RL: PREP (Preparation)
(preparation of)

RN 75416-49-8 CAPLUS

CN Ethanol, 2-[[(3,4-dichlorophenyl)methyl]amino]-, hydrochloride (9CI) (CA INDEX NAME)



● HCl

L33 ANSWER 42 OF 42 CAPLUS COPYRIGHT 2007 ACS on STN

AN 1955:27879 CAPLUS <<LOGINID::20070424>>

DN 49:27879

OREF 49:5342g-i,5343a-g

TI New amebicides. I. The preparation of some N-benzyl-N-hydroxyalkyldichloroacetamides

AU Surrey, Alexander R.

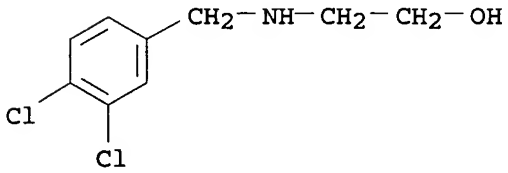
CS Sterling-Winthrop Research Inst., Rensselaer, NY
SO Journal of the American Chemical Society (1954), 76, 2214-16
CODEN: JACSAT; ISSN: 0002-7863
DT Journal
LA Unavailable
AB A series of N-benzyl-N-hydroxyalkyldichloroacetamides has been prepared from the corresponding N-benzylhydroxyalkylamines with CHCl2COCl (I), CHCl2CO2Me, or CHCl2CO2Et. Several of the products were potent amebicidal agents. 2,4-Cl2C6H3CH2Cl (78.2 g.) added dropwise with stirring to 80 g. H2N(CH2)2OH, the mixture stirred 2 hrs., let stand overnight at room temperature, and poured with stirring into a large volume H2O, the solid product extracted with CHCl3, the extract dried with K2CO3 and distilled, and the residue recrystd. from Skellysolve C yielded 56 g. 2,4-Cl2C6H3CH2NH(CH2)2OH (II), m. 62-2.8°; HCl salt, m. 184.7-6.7°. Similarly were prepared the following substituted N-benzylethanolamines (substituent, m.p., and m.p. of the HCl salt given): o-Cl (III), - (b0.8 122-4°), 135.2-6.9°; p-Cl, - (b0.7 126-31°), 172.7-3.8°; 2,6-Cl2, 57-9°, -; 3,4-Cl2, oil, 145.9-8.1°; p-EtO, 63-3.6°, 103-4.6°; p-PrO, 67-8.2°, 134.2-8.2°; p-iso-PrO, 75-6.6°, 134.9-5.4°; p-BuO (IV), 62.8-3.8°, 146.6-7.5°; p-AmO, 51.9-55°, 144-5.5°; 3,4-methylenedioxy, 62.6-4.4°, 152-2.6°. p-Me2CHC6H4CHO (44.3 g.) and 18.3 g. H2N(CH2)2OH heated 1 hr. on a steam bath'in vacuo, the mixture dissolved in 125 cc. hot EtOH, hydrogenated at 2 atmospheric pressure over Pd-C, and filtered, the filtrate evaporated, and the residue recrystd. from Skellysolve C gave 43 g. p-Me2CHC6H4CH2NH(CH2)2OH (V), m. 80.9-3.3°; HCl salt, m. 129.4-32.2°. In a similar run with p-MeOC6H4CHO the resulting product was distilled to give p-MeOC6C6H4CH2NH(CH2)2OH (VI), b6 139°, nD25 1.5431, which treated with alc. HCl gave the HCl salt, m. 112.2-13.6°; VI.HCl treated with a base gave VI as a solid, m. 38-9°. The following substituted N-benzyl-2-hydroxypropylamines (substituents and m.p. or b.p./mm. given) were prepared from the appropriate Cl2C6H3CH2Cl and H2NCH2CH(OH)Me: 2,4-Cl2, 73.8-5.0° (from Skellysolve B); 3,4-Cl2, 54.4-6.4° (from Skellysolve B); and the following substituted N-benzyl-3-hydroxypropylamines from H2N(CH2)3OH: 2,4-Cl2, b0.5 150-5°, nD25 1.5600; 3,4-Cl2, b0.8 155-60°, nD25 1.5539. I (4.63 g.) in 20 cc. (CH2Cl)2 added dropwise with stirring and cooling at 0-5° to 14 g. IV in 100 cc. (CH2Cl)2, the mixture let stand at room temperature overnight, diluted with Et2O, the precipitated IV.HCl (8 g.) filtered off, the filtrate washed with N HCl and H2O, dried with Drierite, and distilled, the residue dissolved in Et2O, filtered with charcoal, and diluted with Skellysolve A to incipient turbidity, and the precipitate (5 g.), m. 81-5°, recrystd. from Et2O-Skellysolve A gave 48% p-BuOC6H4CH2N(OCCHCl2)(CH2)2OH, m. 88.0-8.9° (method A). Similarly was prepared by method A PhCH2N(OCCHCl2)(CH2)2OH (VII), m. 64.2-4.8° (from C6H6-Skellysolve A); and the following substitution products of VII (substituents, % yield, and m.p. given): p-Cl, 60, 94.4-7.2° (from Et2O-Skellysolve A); 3,4-Cl2, 61, 99.4-101.5° (from C6H6-Skellysolve A); p-iso-Pr, 47, 84.5-5.5° (from Et2O-Skellysolve A); 3,4-methylenedioxy, 30, 101.9-3.4° [from (CH2Cl)2-Skellysolve A]; p-O2N (at 25°), 64, 132.2-3.6° (from EtOH). I (12 g.) in 30 cc. (CH2Cl)2 added with stirring during 1 hr. to 15 g. III in 100 cc. (CH2Cl)2 and 80 cc. N aqueous NaOH below 0°, the mixture warmed to room temperature with stirring, the organic layer washed successively with N aqueous NaOH, H2O, N HCl, and H2O, dried, and distilled and the residue recrystd. from Skellysolve A gave 59% o-ClC6H4CH2N(OCCHCl2)(CH2)2OH, m. 76.6-9.3°. II (5.5 g.) and 7 g. CHBr2CO2Et heated 3-4 hrs. at 60°, the resulting viscous mixture stirred into dilute HCl and extracted with CHCl3, the extract washed with H2O and evaporated, and the residue recrystd.

from (CH2Cl)2 and a small amount of Skellysolve B gave 3.5 g. (33%) 2,4-Cl2C6H3CH2N(OCCHBr2)(CH2)2OH (VIII), m. 115.0-17.2° (method B). Similarly was prepared the 3,4-Cl2 isomer of VIII, 38%, m. 115.5-28.8°. By method B were prepared the following substitution products of VII (substituents, % yield, and m.p. given): 2,4-Cl2 (IX), 65, 112.4-13.4° (from C6H6-Skellysolve A); 2,6-Cl2, 55, 171.1-3.7° (from EtOH); p-EtO, 81, 76.9-9.1° (from Et2O-Skellysolve A); 3,4-(MeO)2, 25, 116.6-17.7° [from (CH2Cl)2]. 2,4-Cl2C6H3CH2N(OCCHCl2)(CH2)3OH , m. 83.7-6.7° [from (CH2Cl)2], was prepared in 58% yield by method A; and the 3,4-isomer, m. 91.9-7.5° [from (CH2Cl)2], in 70% yield by method B. By method B were prepared and recrystd. from (CH2Cl)2 2,4-Cl2C6H3CH2N(OCCHCl2CH2CH(OH)Me , m. 135.1-38°, in 69% yield; and the 3,4-isomer, m. 120.0-1.8°, in 31% yield. IX was very effective both in vitro and in vivo as an antiamebic agent.

IT 40172-06-3, Ethanol, 2-[3,4-dichlorobenzylamino]-
(and hydrochlorides)

RN 40172-06-3 CAPLUS

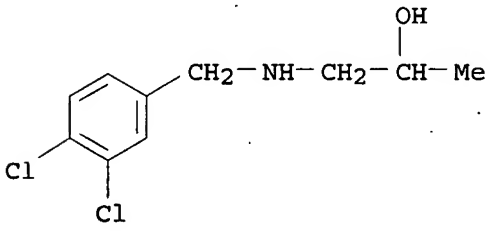
CN Ethanol, 2-[[(3,4-dichlorophenyl)methyl]amino]- (CA INDEX NAME)



IT 856977-77-0P, 2-Propanol, 1-[3,4-dichlorobenzylamino]-
RL: PREP (Preparation)
(preparation of)

RN 856977-77-0 CAPLUS

CN 2-Propanol, 1-[3,4-dichlorobenzylamino]- (5CI) (CA INDEX NAME)



L42 ANSWER 1 OF 2 CAPLUS COPYRIGHT 2007 ACS on STN
AN 2003:796673 CAPLUS
DN 139:292256
TI Preparation of 3-[[[[[[(2S)-4-(3,4-dichlorobenzyl)morpholin-2-yl]methyl]amino]carbonyl]amino]methyl]-N-ethylbenzamide as a chemokine CCR-3 antagonist.
IN Ancliff, Rachael Ann; Cook, Caroline Mary; Eldred, Colin David; Gore, Paul Martin; Harrison, Lee Andrew; Hayes, Martin Alistair; Hodgson, Simon Teanby; Judd, Duncan Bruce; Keeling, Suzanne Elaine; Lewell, Xiao Qing; Mills, Gail; Robertson, Graeme Michael; Swanson, Stephen; Walker, Andrew John; Wilkinson, Mark
PA Glaxo Group Limited, UK
SO PCT Int. Appl., 25 pp.
CODEN: PIXXD2
DT Patent
LA English
FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 2003082834	A2	20031009	WO 2003-EP3338	20030327
	WO 2003082834	A3	20040325		
	W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
	RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
	AU 2003226758	A1	20031013	AU 2003-226758	20030327
	EP 1490345	A2	20041229	EP 2003-745295	20030327
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	JP 2006504626	T	20060209	JP 2003-580302	20030327
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OS MARPAT 139:292256
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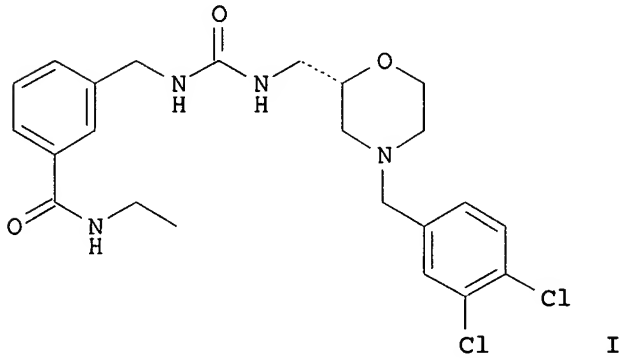


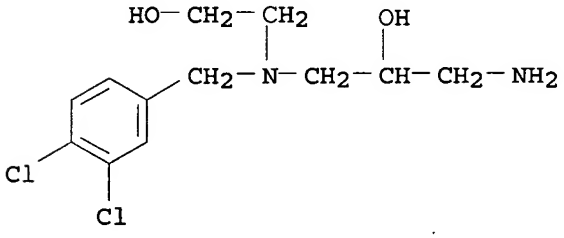
I

AB Title compound (I) was prepared To a stirred solution of 3-[[[[[[(2S)-4-(3,4-dichlorobenzyl)morpholin-2-yl]methyl]amino]carbonyl]amino]methyl]benzoic acid (preparation given) in DMF was added a solution of 1-(3-dimethylaminopropyl)-

3-ethylcarbodiimide hydrochloride and 1-hydroxybenzotriazole in DMF at 20°. N,N-diisopropylethylamine and a 2 M solution of EtNH2 in THF were added, and the mixture was stirred at 20° for 18.5 h to give I. I showed pIC50 = 9.2 in a CCR3 binding assay and showed fpKi = 10.0 in a CCR3 eosinophil chemotaxis inhibition assay.

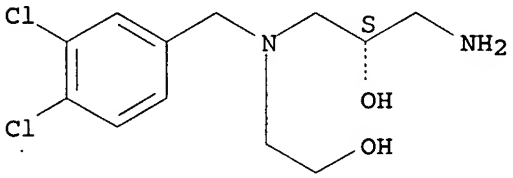
IT 609842-11-7DP, N-protected 609842-12-8DP, N-protected
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation of dichlorobenzylmorpholinylmethylaminocarbonylaminomethylethyl benzamide as a chemokine CCR-3 antagonist)

RN 609842-11-7 CAPLUS
CN 2-Propanol, 1-amino-3-[[(3,4-dichlorophenyl)methyl](2-hydroxyethyl)amino]- (9CI) (CA INDEX NAME)



RN 609842-12-8 CAPLUS
CN 2-Propanol, 1-amino-3-[[(3,4-dichlorophenyl)methyl](2-hydroxyethyl)amino]-, (2S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



L42 ANSWER 2 OF 2 CAPLUS COPYRIGHT 2007 ACS on STN

AN 2003:796493 CAPLUS
DN 139:307769
TI Preparation of N-[[(2S)-4-(3,4-difluorobenzyl)morpholin-2-yl]methyl]-2-[3-[(methylsulfonyl)amino]phenylacetamide as a chemokine CCR3 antagonist for the treatment of inflammatory conditions
IN Ancliff, Rachael Ann; Cook, Caroline Mary; Eldred, Colin David; Gore, Paul Martin; Harrison, Lee Andrew; Hayes, Martin Alistair; Hodgson, Simon Teanby; Judd, Duncan Bruce; Keeling, Suzanne Elaine; Lewell, Xiao Qing; Mills, Gail; Robertson, Graeme Michael; Swanson, Stephen; Walker, Andrew John; Wilkinson, Mark
PA Glaxo Group Limited, UK
SO PCT Int. Appl., 27 pp.
CODEN: PIXXD2
DT Patent
LA English
FAN.CNT 1

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI WO 2003082291	A1	20031009	WO 2003-EP3339	20030327

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PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, TJ, TM, TN, TR, TT, TZ,
UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW
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FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR,
BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG

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	AU 2003216905	A1	20031013	AU 2003-216905	20030327
	EP 1487453	A1	20041222	EP 2003-712117	20030327
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	CN 1642553	A	20050720	CN 2003-806865	20030327
	JP 2005525390	T	20050825	JP 2003-579828	20030327
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	NO 2004004098	A	20041004	NO 2004-4098	20040927
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OS MARPAT 139:307769

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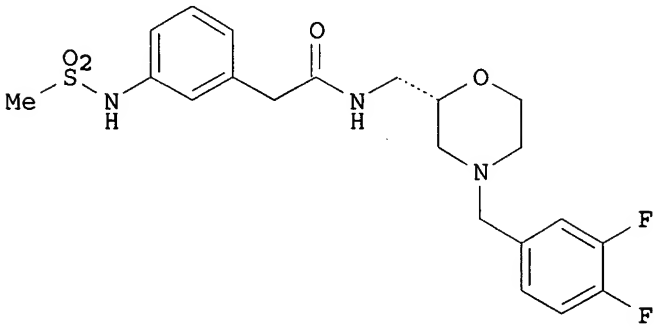


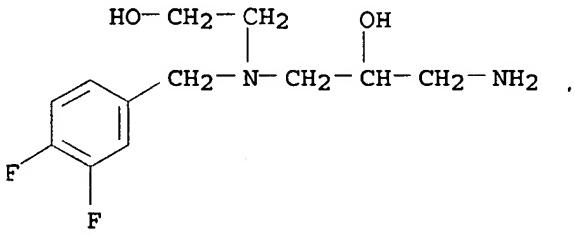
I

AB Title compound (I) was prepared To a stirred solution of 3-[(methylsulfonyl)amino]phenylacetic acid in DMF was added a solution of 1-(3-dimethylaminopropyl)-3-ethylcarbodiimide hydrochloride, 1-hydroxybenzotriazole, and 1-[(2S)-4-(3,4-difluorobenzyl)morpholin-2-yl]methylamine in DMF at 22° N,N-diisopropylethylamine was added to the mixture which was then stirred at 22° for 18 h. to give I. In the CCR-3 binding assay I possessed a pIC50 = 8.0 in the CCR-3 eosinophil chemotaxis inhibitory assay possessed an fpKi = 8.4.

IT 610769-20-5DP, N-protected
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation of difluorobenzylmorpholinylmethylmethylsulfonylaminophenylacetamide as a chemokine CCR3 antagonist for the treatment of inflammatory conditions)

RN 610769-20-5 CAPLUS

CN 2-Propanol, 1-amino-3-[[(3,4-difluorophenyl)methyl](2-hydroxyethyl)amino]-(9CI) (CA INDEX NAME)



RE.CNT 5 THERE ARE 5 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT